```
=> d his full

     (FILE 'HOME' ENTERED AT 06:50:57 ON 09 MAY 2005)

     FILE 'REGISTRY' ENTERED AT 06:51:27 ON 09 MAY 2005
               ACT WARD337F0/A
               ---------
L1             STR
L2             SCR 2039 OR 2041 OR 2050 OR 2049 OR 2050 OR 2048 OR 2053 OR 205
L3             SCR 2026
L4        434968 SEA SSS FUL L1 AND L3 NOT L2
               ---------
L5             STR L1
L6             0 SEA SUB=L4 SSS SAM L5
L7             0 SEA SUB=L4 SSS SAM L5 AND L3 NOT L2
L8             STR L5
L9            50 SEA SUB=L4 SSS SAM L8
L10            2 SEA SUB=L4 CSS SAM L8

     FILE 'HCAPLUS' ENTERED AT 06:59:15 ON 09 MAY 2005
               E DNA/CT
               E E3+ALL
               E E3
               E E3+ALL
               E E392
               E E3+ALL
L11            QUE ABB=ON  PLU=ON  (BIOPOLYMERS+NT OR NUCLEIC ACIDS+OLD,NT)/CT

               E SACCHARIDES/CT
               E E3+ALL
               E E2
               E E3+OLD,NT1/CT
L12            QUE ABB=ON  PLU=ON  CARBOHYDRATES+OLD,NT1/CT OR CARBOHYDRATE#/C
               W
               E POLYSACCHARIDES/CT
               E E3+ALL
L13            QUE ABB=ON  PLU=ON  POLYSACCHARIDES+OLD,NT/CT
               E PROTEIN/CT
               E E3+ALL
               E PEPTIDES/CT
               E E3+ALL
               E OLIGOSACCHARIDES/CT
               E E3+ALL
L14            QUE ABB=ON  PLU=ON  (PEPTIDES+NT OR OLIGOSACCHARIDES+OLD,NT)/CT

L15         2805 SEA ABB=ON  PLU=ON  L12 (L) DI
L17        40784 SEA ABB=ON  PLU=ON  L11 (L) PREP+NT/RL
L18        31776 SEA ABB=ON  PLU=ON  L13 (L) PREP+NT/RL
L19          793 SEA ABB=ON  PLU=ON  L15 (L) PREP+NT/RL
L20              QUE ABB=ON  PLU=ON  L12 (L) PREP+NT/RL
L21        62024 SEA ABB=ON  PLU=ON  L14 (L) PREP+NT/RL
               E KOESTER H/AU
L22           97 SEA ABB=ON  PLU=ON  ("KOESTER H"/AU OR "KOESTER H D"/AU OR
               "KOESTER H JR"/AU OR "KOESTER H M"/AU OR "KOESTER H W"/AU)
               E KOESTER HUBERT/AU
L23           83 SEA ABB=ON  PLU=ON  ("KOESTER HUBERT"/AU OR "KOESTER HUBERTUS"/
               AU OR "KOESTER HUBERTUS JR"/AU)
               E KOSTER H/AU
L24           48 SEA ABB=ON  PLU=ON  ("KOSTER H"/AU OR "KOSTER H A"/AU OR
               "KOSTER H H"/AU OR "KOSTER H J"/AU OR "KOSTER H M"/AU OR
               "KOSTER H P G"/AU OR "KOSTER H T"/AU OR "KOSTER H W"/AU)
               E KOSTER HUBERT/AU
L25           63 SEA ABB=ON  PLU=ON  "KOSTER HUBERT"/AU
               E WORL R/AU
L26            4 SEA ABB=ON  PLU=ON  ("WORL RALF"/AU OR "WORL RALF J"/AU)
L27            8 SEA ABB=ON  PLU=ON  (HK (1A) PHARM? OR (KOSTER OR KOESTER)
               (1A) HUBERT)/CS,PA
               D BIB
L28              QUE ABB=ON  PLU=ON  PY<=1998 OR AY<=1998 OR PRY<=1998 OR
               PRD<19980427 OR AD<19980427 OR PRD<19980427
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     FILE 'REGISTRY' ENTERED AT 07:49:32 ON 09 MAY 2005
L29             STR L1
L30              50 SEA SUB=L4 SSS SAM L29
L31          281076 SEA SUB=L4 SSS FUL L29
L32          153892 SEA ABB=ON  PLU=ON  L4 NOT L31

     FILE 'HCAPLUS' ENTERED AT 07:53:18 ON 09 MAY 2005
L33           61713 SEA ABB=ON  PLU=ON  L32 (L) RACT+NT/RL
L34            1710 SEA ABB=ON  PLU=ON  L33 AND (L17 OR L18 OR L19 OR L20 OR L21)
L35               2 SEA ABB=ON  PLU=ON  L34 AND (L22 OR L23 OR L24 OR L25 OR L26
                    OR L27)
L36            1708 SEA ABB=ON  PLU=ON  L34 NOT L35
L37             992 SEA ABB=ON  PLU=ON  L36 AND L28
L38              86 SEA ABB=ON  PLU=ON  L37 AND US/PC.B
                    D TI TOT
                    SEL AN 2-7 11 13-14 73 86 58-67 47 54 29-34 23 20 10-18 L38
L39              37 SEA ABB=ON  PLU=ON  ("119:117758"/AN OR "122:240452"/AN OR
                    "122:291536"/AN OR "123:257082"/AN OR "124:146762"/AN OR
                    "124:176075"/AN OR "124:279156"/AN OR "124:30423"/AN OR
                    "124:344114"/AN OR "124:56728"/AN OR "124:9343"/AN OR "125:1096
                    93"/AN OR "127:190987"/AN OR "129:161815"/AN OR "129:335730"/AN
                     OR "129:343721"/AN OR "130:14166"/AN OR "130:25346"/AN OR
                    "130:49512"/AN OR "131:253316"/AN OR "132:177252"/AN OR
                    "133:120573"/AN OR "134:29709"/AN OR "136:139888"/AN OR
                    "136:167702"/AN OR "136:217004"/AN OR "136:341005"/AN OR
                    "137:279361"/AN OR "137:57599"/AN OR "137:63428"/AN OR
                    "138:369117"/AN OR "138:384134"/AN OR "139:18316"/AN OR
                    "139:22450"/AN OR "139:307973"/AN OR "139:7171"/AN OR "1974:463
                    664"/AN OR "1993:517758"/AN OR "1995:487827"/AN OR "1995:511411
                    "/AN OR "1995:604042"/AN OR "1995:735700"/AN OR "1995:773016"/A
                    N OR "1995:810933"/AN OR "1995:913775"/AN OR "1995:964979"/AN
                    OR "1996:161606"/AN OR "1996:172242"/AN OR "1996:410943"/AN OR
                    "1997:456106"/AN OR "1998:534879"/AN OR "1998:703420"/AN OR
                    "1998:719163"/AN OR "1998:752223"/AN OR "1998:774218"/AN OR
                    "1998:788690"/AN OR "1999:622240"/AN OR "2000:121638"/AN OR
                    "2000:508194"/AN OR "2000:874218"/AN OR "2002:136062"/AN OR
                    "2002:182118"/AN OR "2002:345946"/AN OR "2002:516677"/AN OR
                    "2002:534070"/AN OR "2002:755212"/AN OR "2002:84615"/AN OR
                    "2003:355869"/AN OR "2003:376382"/AN OR "2003:408777"/AN OR
                    "2003:435354"/AN OR "2003:448053"/AN OR "2003:836578"/AN OR
                    "81:63664"/AN) AND L38
                    D SCA
                    D TI TOT
                    SEL AN 1-5 7 10-11 34-37 28-30 14-25 L39
L40              27 SEA ABB=ON  PLU=ON  ("119:117758"/AN OR "122:240452"/AN OR
                    "122:291536"/AN OR "124:146762"/AN OR "124:176075"/AN OR
                    "124:56728"/AN OR "125:109693"/AN OR "127:190987"/AN OR
                    "129:161815"/AN OR "129:335730"/AN OR "129:343721"/AN OR
                    "130:14166"/AN OR "130:25346"/AN OR "130:49512"/AN OR "131:2533
                    16"/AN OR "132:177252"/AN OR "133:120573"/AN OR "134:29709"/AN
                    OR "136:217004"/AN OR "136:341005"/AN OR "137:279361"/AN OR
                    "138:384134"/AN OR "139:18316"/AN OR "139:22450"/AN OR
                    "139:307973"/AN OR "139:7171"/AN OR "1974:463664"/AN OR
                    "1993:517758"/AN OR "1995:487827"/AN OR "1995:511411"/AN OR
                    "1995:810933"/AN OR "1995:913775"/AN OR "1995:964979"/AN OR
                    "1996:410943"/AN OR "1997:456106"/AN OR "1998:534879"/AN OR
                    "1998:703420"/AN OR "1998:719163"/AN OR "1998:752223"/AN OR
                    "1998:774218"/AN OR "1998:788690"/AN OR "1999:622240"/AN OR
                    "2000:121638"/AN OR "2000:508194"/AN OR "2000:874218"/AN OR
                    "2002:182118"/AN OR "2002:345946"/AN OR "2002:755212"/AN OR
                    "2003:376382"/AN OR "2003:408777"/AN OR "2003:435354"/AN OR
                    "2003:448053"/AN OR "2003:836578"/AN OR "81:63664"/AN) AND L39

=> b reg
FILE 'REGISTRY' ENTERED AT 08:16:49 ON 09 MAY 2005
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 8 MAY 2005 HIGHEST RN 850006-33-6
DICTIONARY FILE UPDATES: 8 MAY 2005 HIGHEST RN 850006-33-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

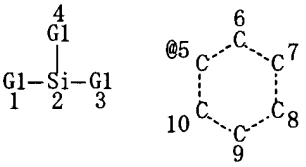
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

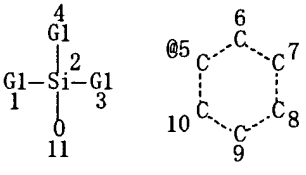
=> d que sta 132
L1 STR



VAR G1=5/AK
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L2 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2050 OR 2048 OR 2053 O
R 2052 OR 2043 OR 2054
L3 SCR 2026
L4 434968 SEA FILE=REGISTRY SSS FUL L1 AND L3 NOT L2
L29 STR



VAR G1=5/AK
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
L31 281076 SEA FILE=REGISTRY SUB=L4 SSS FUL L29
L32 153892 SEA FILE=REGISTRY ABB=ON PLU=ON L4 NOT L31

=> b hcap
FILE 'HCAPLUS' ENTERED AT 08:16:57 ON 09 MAY 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 May 2005 VOL 142 ISS 20
FILE LAST UPDATED: 8 May 2005 (20050508/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr l35 tot

L35 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:863434 HCAPLUS
DN 136:2484
ED Entered STN: 29 Nov 2001
TI Mass spectrometric detection of polypeptides
IN Little, Daniel; **Koster, Hubert**; Higgins, G. Scott; Lough, David
PA Sequenom, Inc., USA
SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 922,201.
CODEN: USXXAM
DT Patent
LA English
IC ICM C12Q001-68
ICS C12Q001-00; C12P021-00
INCL 435006000
CC 9-5 (Biochemical Methods)
Section cross-reference(s): 3
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6322970	B1	20011127	US 1998-146054	19980902
	US 6207370	B1	20010327	US 1997-922201	19970902
	EP 1296143	A2	20030326	EP 2002-25544	19980902
	EP 1296143	A3	20040204		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	US 6387628	B1	20020514	US 2000-664977	20000918
	US 2003003465	A1	20030102	US 2001-7557	20011106
PRAI	US 1997-922201	A2	19970902		
	EP 1998-943528	A3	19980902		
	US 1998-146054	A3	19980902		
	US 2000-664977	A1	20000918		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6322970	ICM	C12Q001-68

	ICS	C12Q001-00; C12P021-00
	INCL	435006000
US 6322970	NCL	435/006.000; 435/004.000; 435/069.100
	ECLA	G01N033/68A4
US 6207370	NCL	435/006.000; 435/091.200
	ECLA	G01N033/68A4
EP 1296143	ECLA	G01N033/68A4
US 6387628	NCL	435/006.000; 435/091.200
	ECLA	G01N033/68A4
US 2003003465	NCL	435/006.000; 435/007.100; 435/069.100; 435/455.000
	ECLA	G01N033/68A4

AB A process for determining the identity of a target polypeptide using mass spectroscopy is provided. Depending on the target polypeptide to be identified, a process as disclosed can be used, for example, to diagnose a genetic disease or chromosomal abnormality, a predisposition to a disease or condition, or infection by a pathogenic organism; or for determining identity or heredity. Kits for performing the disclosed processes also are provided. A process for obtaining information on a sequence of a target nucleic acid mol. by determining the identity of a polypeptide encoded by the nucleic acid mol. comprises: (a) preparing the encoded polypeptide from a target nucleic acid mol. by in vitro translation, or by in vitro transcription followed by translation, of the target nucleic acid mol.; (b) determining the mol. mass of the encoded polypeptide by mass spectrometry; and (c) determining the identity of the polypeptide by comparing the mol. mass of the polypeptide with the mol. mass of a corresponding known polypeptide, thereby obtaining information on a sequence of nucleotides in the target nucleic acid mol.

ST polypeptide mass spectrometry; nucleic acid protein mass spectrometry; genetic disease diagnosis protein mass spectrometry; infection diagnosis protein mass spectrometry

IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(APC, polymorphic region in; mass spectrometric detection of polypeptides)

IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(BRCA1, polymorphic region in; mass spectrometric detection of polypeptides)

IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(BRCA2, polymorphic region in; mass spectrometric detection of polypeptides)

IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(CFTR, polymorphic region in; mass spectrometric detection of polypeptides)

IT Nucleic acid amplification (method)
(DNA; mass spectrometric detection of polypeptides)

IT Ion cyclotron resonance mass spectrometry
(Fourier transform; mass spectrometric detection of polypeptides)

IT Nervous system, disease
(Huntington's chorea; mass spectrometric detection of polypeptides)

IT Histocompatibility antigens
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(MHC (major histocompatibility complex), polymorphic region in gene for; mass spectrometric detection of polypeptides)

IT Nervous system, disease
(Machado-Joseph; mass spectrometric detection of polypeptides)

IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(TP53, polymorphic region in; mass spectrometric detection of polypeptides)

IT Spinal muscular atrophy
(X-linked spinal and bulbar muscular atrophy; mass spectrometric detection of polypeptides)

IT Eubacteria
(as host cell or pathogen; mass spectrometric detection of polypeptides)

IT Fluoropolymers, uses
RL: DEV (Device component use); USES (Uses)

(as support; mass spectrometric detection of polypeptides)
IT Capillary tubes
Needles (tools)
(as supports; mass spectrometric detection of polypeptides)
IT Glass, uses
Glass beads
Metals, uses
Plastics, uses
Polyamides, uses
RL: DEV (Device component use); USES (Uses)
(as supports; mass spectrometric detection of polypeptides)
IT Magnetic particles
(beads, as supports; mass spectrometric detection of polypeptides)
IT Silica gel, uses
RL: DEV (Device component use); USES (Uses)
(beads, as supports; mass spectrometric detection of polypeptides)
IT Eukaryota
(cell, in vitro translation in extract free of; mass spectrometric detection of polypeptides)
IT Escherichia coli
Prokaryota
(cell-free extract of; mass spectrometric detection of polypeptides)
IT Transcription, genetic
Translation, genetic
(cell-free; mass spectrometric detection of polypeptides)
IT Proteins
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(conjugates, with tag peptide or support; mass spectrometric detection of polypeptides)
IT Electrospray ionization mass spectrometry
(continuous or pulsed; mass spectrometric detection of polypeptides)
IT Glass, uses
RL: DEV (Device component use); USES (Uses)
(controlled pore, beads, as supports; mass spectrometric detection of polypeptides)
IT Brain, disease
(dentatorubral-pallidoluysian atrophy; mass spectrometric detection of polypeptides)
IT Fungi
Protista
Virus
(detection of infection from; mass spectrometric detection of polypeptides)
IT Transplant and Transplantation
(determining compatibility in; mass spectrometric detection of polypeptides)
IT **Nucleic acids**
RNA
RL: ANT (Analyte); **BPN (Biosynthetic preparation)**; PRP (Properties); ANST (Analytical study); BIOL (Biological study); **PREP (Preparation)**
(determining identity of polypeptide encoded by nucleic acid to obtain information on sequence of; mass spectrometric detection of polypeptides)
IT Genetic inheritance
(determination of identity or; mass spectrometric detection of polypeptides)
IT Pathogen
(diagnosis of infection with; mass spectrometric detection of polypeptides)
IT Chromosome aberrations
Disease, animal
Infection
(diagnosis of; mass spectrometric detection of polypeptides)
IT Oligonucleotides
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(dinucleotides, quantifying repeats of; mass spectrometric detection of polypeptides)
IT Glass fibers, uses
RL: DEV (Device component use); USES (Uses)
(filters, as supports; mass spectrometric detection of polypeptides)
IT Risk assessment

(for developing disease or condition associated with allelic variant; mass spectrometric detection of polypeptides)
IT Protein sequences
(for histidine-tagged human spinal cerebellar ataxia 1-associated glutamine repeat region; mass spectrometric detection of polypeptides)
IT Disease, animal
(genetic, diagnosis of; mass spectrometric detection of polypeptides)
IT Triticum aestivum
(germ, in vitro translation in extract of; mass spectrometric detection of polypeptides)
IT Filters
(glass fiber, as supports; mass spectrometric detection of polypeptides)
IT Haemophilus influenzae
(hemagglutinin peptide as tag peptide; mass spectrometric detection of polypeptides)
IT Bond
(hydrophobic, target polypeptide linked to solid support by; mass spectrometric detection of polypeptides)
IT Alkylating agents, biological
Anion exchange
Cation exchange
(in conditioning of target polypeptide; mass spectrometric detection of polypeptides)
IT Antibodies and Immunoglobulins
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(in isolation of encoded polypeptide; mass spectrometric detection of polypeptides)
IT Avidins
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(in isolation of encoded tagged polypeptide; mass spectrometric detection of polypeptides)
IT Cell
(in vitro translation in extract free of; mass spectrometric detection of polypeptides)
IT Reticulocyte
(in vitro translation in lysate of; mass spectrometric detection of polypeptides)
IT Codons
RL: NUU (Other use, unclassified); USES (Uses)
(initiation, primer encoding; mass spectrometric detection of polypeptides)
IT Reagents
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(interacting with tag in isolating tagged polypeptide; mass spectrometric detection of polypeptides)
IT Bond
(ionic, target polypeptide linked to solid support by; mass spectrometric detection of polypeptides)
IT Time-of-flight mass spectrometry
(linear or reflectron; mass spectrometric detection of polypeptides)
IT Aging, animal
Alleles
DNA sequences
Diagnosis
Forensic analysis
Genetic polymorphism
Genotyping (method)
Immobilization, molecular or cellular
Ion spray mass spectrometry
Ion trap mass spectrometry
Mass spectrometry
Microarray technology
Nucleic acid amplification (method)
Paternity testing
Prostate gland, neoplasm
Quadrupole mass spectrometry
Test kits
Thermospray ionization mass spectrometry
Transcription, genetic

Translation, genetic
(mass spectrometric detection of polypeptides)
IT Mitochondrial DNA
Proteins
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(mass spectrometric detection of polypeptides)
IT Primers (nucleic acid)
RL: NUU (Other use, unclassified); USES (Uses)
(mass spectrometric detection of polypeptides)
IT Mass spectrometry
(massive cluster impact; mass spectrometric detection of polypeptides)
IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(modified, incorporation in conditioning of target polypeptide; mass spectrometric detection of polypeptides)
IT Transcription factors
RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses)
(myc, tag peptide having epitope of; mass spectrometric detection of polypeptides)
IT Muscular dystrophy
(myotonic, type I; mass spectrometric detection of polypeptides)
IT Genetic polymorphism
(nucleotide repeat; mass spectrometric detection of polypeptides)
IT Gene, animal
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(oncogene, polymorphic region in; mass spectrometric detection of polypeptides)
IT Nucleotides, analysis
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(pentanucleotides, quantifying repeats of; mass spectrometric detection of polypeptides)
IT Hemagglutinins
RL: NUU (Other use, unclassified); USES (Uses)
(peptide as tag peptide; mass spectrometric detection of polypeptides)
IT Laser ionization mass spectrometry
(photodesorption, matrix-assisted; mass spectrometric detection of polypeptides)
IT Laser desorption mass spectrometry
(photoionization, matrix-assisted; mass spectrometric detection of polypeptides)
IT Mutation
(point; mass spectrometric detection of polypeptides)
IT Transplant rejection
(polymorphic region associated with; mass spectrometric detection of polypeptides)
IT Dystrophin
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(polymorphic region in gene for; mass spectrometric detection of polypeptides)
IT Gene, animal
RL: PRP (Properties)
(polymorphic region in; mass spectrometric detection of polypeptides)
IT Heat
Light
(polypeptide immobilized by linker cleavable by; mass spectrometric detection of polypeptides)
IT Acids, uses
RL: NUU (Other use, unclassified); USES (Uses)
(polypeptide immobilized by linker cleavable by; mass spectrometric detection of polypeptides)
IT Bacteriophage SP6
Coliphage T7
Enterobacteria phage T3
(primer encoding RNA polymerase promoter for; mass spectrometric detection of polypeptides)
IT Promoter (genetic element)
RL: NUU (Other use, unclassified); USES (Uses)
(primer encoding; mass spectrometric detection of polypeptides)
IT Tandem mass spectrometry
(quadrupole; mass spectrometric detection of polypeptides)

IT Genetic element
RL: NUU (Other use, unclassified); USES (Uses)
(regulatory, primer encoding; mass spectrometric detection of polypeptides)
IT Genetic element
RL: NUU (Other use, unclassified); USES (Uses)
(ribosome-binding site, primer encoding; mass spectrometric detection of polypeptides)
IT Spinal muscular atrophy
(spinal and bulbar muscular atrophy; mass spectrometric detection of polypeptides)
IT Nervous system, disease
(spinocerebellar ataxia 1, trinucleotide repeats associated with; mass spectrometric detection of polypeptides)
IT Holders
(supports; mass spectrometric detection of polypeptides)
IT Epitopes
(tag peptide having myc; mass spectrometric detection of polypeptides)
IT Peptides, uses
RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses)
(tag, nucleic acid encoding; mass spectrometric detection of polypeptides)
IT Quadrupole mass spectrometry
(tandem; mass spectrometric detection of polypeptides)
IT Hydrophilicity
Sulfhydryl group
(target polypeptide linked to solid support by; mass spectrometric detection of polypeptides)
IT Oligonucleotides
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(tetranucleotides, quantifying repeats of; mass spectrometric detection of polypeptides)
IT Genetic polymorphism
(trinucleotide repeat; mass spectrometric detection of polypeptides)
IT Oligonucleotides
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(trinucleotides, quantifying repeats of; mass spectrometric detection of polypeptides)
IT Genetic element
RL: NUU (Other use, unclassified); USES (Uses)
(tsp (transcription start point), primer encoding; mass spectrometric detection of polypeptides)
IT Fragile X syndrome
(type A; mass spectrometric detection of polypeptides)
IT Microtiter plates
(wells, as supports; mass spectrometric detection of polypeptides)
IT Hemoglobins
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(β-globin, polymorphic region in gene for; mass spectrometric detection of polypeptides)
IT 221149-87-7P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; mass spectrometric detection of polypeptides)
IT 207298-33-7P 375793-78-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(as photocleavable linker; mass spectrometric detection of polypeptides)
IT 7429-90-5, Aluminum, uses 7440-21-3, Silicon, uses 7440-22-4, Silver, uses 7440-50-8, Copper, uses 7440-57-5, Gold, uses 9002-88-4, Polyethylene 9003-07-0, Polypropylene 9003-70-7D, Styrene-divinylbenzene copolymer, chloromethylated/hydroxymethylated/phenoxymethylated derivs. 12597-69-2, Steel, uses 24937-79-9, Polyvinylidene difluoride
RL: DEV (Device component use); USES (Uses)
(as support; mass spectrometric detection of polypeptides)
IT 50812-37-8, Glutathione-S-transferase
RL: NUU (Other use, unclassified); USES (Uses)
(as tag peptide; mass spectrometric detection of polypeptides)

IT 24937-47-1, Poly-L-arginine 25104-18-1, Poly-L-lysine 25212-18-4, Poly-L-arginine 26062-48-6, Poly-L-histidine 26854-81-9 38000-06-5, Poly-L-lysine
RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses)
(as tag peptide; mass spectrometric detection of polypeptides)

IT 58-85-5, Biotin 58-85-5D, Biotin, derivs.
RL: NUU (Other use, unclassified); USES (Uses)
(as tag; mass spectrometric detection of polypeptides)

IT 9004-34-6, Cellulose, uses 9004-54-0, Dextran, uses 9012-36-6, Agarose
RL: DEV (Device component use); USES (Uses)
(beads, as support; mass spectrometric detection of polypeptides)

IT 13465-78-6D, Silyl chloride, trialkyl derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(in conditioning of target polypeptide; mass spectrometric detection of polypeptides)

IT 7440-02-0D, Nickel, ions, supported chelates, uses 7440-48-4D, Cobalt, ions, supported chelates, uses 7440-50-8D, Copper, ions, supported chelates, uses 7440-66-6D, Zinc, ions, supported chelates, uses 9013-20-1, Streptavidin
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(in isolation of encoded tagged polypeptide; mass spectrometric detection of polypeptides)

IT 207398-06-9P
RL: BYP (Byproduct); PREP (Preparation)
(in synthesis of photocleavable linker; mass spectrometric detection of polypeptides)

IT 75-24-1, Trimethylaluminum 498-02-2 627-18-9, 3-Bromo-1-propanol **18162-48-6** 40615-36-9 42454-06-8, 5-Hydroxy-2-nitrobenzaldehyde 89992-70-1, 2-Cyanoethyl-N,N-diisopropylchlorophosphoramidite
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(in synthesis of photocleavable linker; mass spectrometric detection of polypeptides)

IT 187794-03-2P 207298-34-8P 207298-35-9P 207298-36-0P 207298-37-1P 207298-39-3P 207298-40-6P 207298-41-7P 207298-42-8P 207298-43-9P 221112-24-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in synthesis of photocleavable linker; mass spectrometric detection of polypeptides)

IT 9001-92-7, Endopeptidase
RL: NUU (Other use, unclassified); USES (Uses)
(mass spectrometric detection of polypeptides)

IT 221111-74-6
RL: ARG (Analytical reagent use); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(nucleotide sequence encoding His-6 tag, as reverse PCR primer for determining CAG repeat associated with SCA-1 ataxia; mass spectrometric detection of polypeptides)

IT 221111-73-5
RL: ARG (Analytical reagent use); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(nucleotide sequence, as forward PCR primer for determining CAG repeat associated with SCA-1 ataxia; mass spectrometric detection of polypeptides)

IT 221149-86-6P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleotide sequence; mass spectrometric detection of polypeptides)

IT 9001-25-6, Blood-coagulation Factor VIIc 9001-28-9, Factor IX 9016-12-0, Hypoxanthine guanine phosphoribosyl transferase 9030-42-6, Ornithine δ-aminotransferase
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(polymorphic region in gene for; mass spectrometric detection of polypeptides)

IT 9014-24-8, RNA polymerase
RL: MSC (Miscellaneous)
(primer encoding promoter for; mass spectrometric detection of polypeptides)

IT 4353-69-9
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(repeat; mass spectrometric detection of polypeptides)
IT 119456-37-0 168462-23-5 182036-73-3 192793-90-1, 4: PN: CN1208770
PAGE: 4 unclaimed DNA
RL: PRP (Properties)
(unclaimed nucleotide sequence; mass spectrometric detection of polypeptides)
IT 375858-29-0
RL: PRP (Properties)
(unclaimed sequence; mass spectrometric detection of polypeptides)
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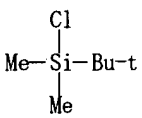
IT **18162-48-6**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(in synthesis of photocleavable linker; mass spectrometric detection of polypeptides)
RN 18162-48-6 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)



L35 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:323255 HCAPLUS
DN 129:1411
ED Entered STN: 30 May 1998
TI High density immobilization of nucleic acids and apparatus for dispensing nanovolumes of liquids and formation of multielement arrays
IN O'Donnell, Maryanne J.; Cantor, Charles R.; Little, Daniel P.; **Koster, Hubert**
PA Sequenom, Inc., USA; O'Donnell, Maryanne J.; Cantor, Charles R.; Little, Daniel P.; **Koster, Hubert**
SO PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07H021-00
ICS C12Q001-68; B01J019-00
CC 3-1 (Biochemical Genetics)
FAN.CNT 19

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9820020	A2	19980514	WO 1997-US20195	19971106
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	EP 937096	B1	20040204		
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	DE 29724341	U1	20001116	DE 1997-29724341	19971106

	JP 2001503760	T2	20010321	JP 1998-521765	19971106
	JP 3516146	B2	20040405		
	AT 259056	E	20040215	AT 1997-946893	19971106
	EP 1457496	A1	20040915	EP 2004-75083	19971106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
	EP 1460083	A1	20040922	EP 2004-75084	19971106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
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	US 2003096426	A1	20030522	US 1999-364774	19990730
	US 2001008615	A1	20010719	US 1999-371150	19990809
	US 6569385	B1	20030527	US 1999-429683	19991028
	US 6818394	B1	20041116	US 2000-297575	20000104
	AU 758454	B2	20030320	AU 2000-42518	20000619
	AU 769545	B2	20040129	AU 2000-69603	20001027
	AU 2001091345	A5	20020103	AU 2001-91345	20011114
	AU 761161	B2	20030529		
	JP 2004125799	A2	20040422	JP 2003-354910	20031015
PRAI	US 1996-746055	A	19961106		
	US 1997-786988	A	19970123		
	US 1997-787639	A	19970123		
	US 1997-947801	A	19971008		
	AU 1996-53651	A3	19960318		
	AU 1996-55446	A3	19960410		
	AU 1998-51980	A3	19971106		
	DE 1997-19782096	IA	19971106		
	EP 1997-946893	A3	19971106		
	JP 1998-521765	A3	19971106		
	WO 1997-US20195	W	19971106		
	US 1999-371150	A3	19990809		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9820020	ICM	C07H021-00
	ICS	C12Q001-68; B01J019-00
WO 9820020	ECLA	B01J019/00C; B01L003/02D; C07B061/00L; C07F009/24A1+Q; C07F009/24A7; C07H021/00C4; C07H021/00F; C12Q001/68B2; C12Q001/68B6; C12Q001/68B10; C12Q001/68B10A+525/197+523/100+531/113; C12Q001/68B10A+565/507; C12Q001/68B10A+565/537+523/100+565/627; C12Q001/68D2G; C12Q001/68E2; C12Q001/68E2+565/518+535/101; C12Q001/68M6; C12Q001/68M6B; G01N035/10M1
US 6024925	NCL	422/100.000; 073/864.150; 073/864.220; 073/864.240; 073/864.250; 222/394.000; 422/065.000; 422/099.000
	ECLA	B01J019/00C; B01L003/02D; C07F009/24A7; C07F009/24A1+Q; C07H021/00C4; C12Q001/68B2; C12Q001/68B6; C12Q001/68B10; C12Q001/68D2G; C12Q001/68E2; C12Q001/68M6; C12Q001/68M6B
DE 29724251	ECLA	B01J019/00C; B01L003/02D; C07F009/24A7; C07F009/24C1+Q
DE 29724252	ECLA	B01J019/00C; B01L003/02D
DE 29724250	ECLA	B01J019/00C; B01L003/02D
DE 29724341	ECLA	B01J019/00C; C07B061/00L; C07F009/24A7; C07F009/24A1+Q; C07H021/00F
US 2003096426	NCL	436/173.000
	ECLA	B01J019/00C; B01L003/02D; C07B061/00L; C07F009/24A1+Q; C07F009/24A7; C07H021/00C4; C07H021/00F; C12Q001/68B2; C12Q001/68B6; C12Q001/68B10; C12Q001/68B10A+525/197+523/100+531/113; C12Q001/68B10A+565/507; C12Q001/68B10A+565/537+523/100+565/627; C12Q001/68D2G; C12Q001/68E2; C12Q001/68E2+565/518+535/101; C12Q001/68M6; C12Q001/68M6B; G01N035/10M1
US 2001008615	NCL	422/102.000; 422/068.100; 422/099.000; 422/100.000; 422/101.000; 436/174.000; 436/175.000
	ECLA	B01J019/00C; C07F009/24A1+Q; C07F009/24A7; C07H021/00C4; C07H021/00F; C12Q001/68B2; C12Q001/68B6; C12Q001/68B10; C12Q001/68D2G; C12Q001/68E2;

		C12Q001/68M6; C12Q001/68M6B; B01L003/02D; C07B061/00L
US 6569385	NCL	422/100.000; 073/863.000; 073/863.010; 073/863.310; 422/068.100; 422/081.000; 422/082.000; 422/099.000; 422/102.000; 436/174.000; 436/180.000
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US 6818394	NCL	435/006.000; 435/089.000; 435/091.100; 435/174.000; 435/177.000; 436/501.000
	ECLA	B01J019/00C; B01L003/02D; C07B061/00L; C07F009/24A1+Q; C07F009/24A7; C07H021/00C4; C07H021/00F; C12Q001/68B2; C12Q001/68B6; C12Q001/68B10; C12Q001/68B10A+525/197+523/100+531/113; C12Q001/68B10A+565/507; C12Q001/68B10A+565/537+523/100+565/627; C12Q001/68D2G; C12Q001/68E2; C12Q001/68E2+565/518+535/101; C12Q001/68M6; C12Q001/68M6B; G01N035/10M1
JP 2004125799	FTERM	2G058/CC02; 2G058/EA11; 2G058/EA14; 2G058/EB00; 2G058/ED17; 4B024/AA11; 4B024/CA04; 4B024/HA19

AB Processes and kits for immobilizing a high d. of nucleic acids on an insol. surface, which are particularly useful for mass spectrometric detection of nucleic acids, are disclosed. Arrays containing the immobilized nucleic acids and use of the immobilized nucleic acids in a variety of solid phase nucleic acid chemical applications, including nucleic acid synthesis (chemical and enzymic), hybridization and/or extension, and sequencing, are provided. Serial and parallel dispensing tools that can deliver defined vols. of fluid to generate multi-element arrays of sample material on a substrate surface are further provided. Tools provided herein can include an assembly of vesicle elements, or pins, wherein each of the pins can include a narrow interior chamber suitable for holding nanoliter vols. of fluid. Methods for dispensing tools that can be employed to generate multi-element arrays of sample material on a substrate surface are also provided. The tool can dispense a spot of fluid to a substrate surface by spraying the fluid from the pin, contacting the substrate surface or forming a drop that touches against the substrate surface. The tool can form an array of sample material by dispensing sample material in a series of steps, while moving the pin to different locations above the substrate surface to form the sample array. The prepared sample arrays may be passed to a plate assembly that disposes the sample arrays for anal. by mass spectrometry. Thiol group-containing DNA was attached to silicon wafers derivatized first by reaction with 3-aminopropyltriethoxysilane, then with N-succinimidyl(4-iodoacetyl)aminobenzoate. DNA immobilized in this way was used as a template for primer extension in order to detect a mutation in the apoE gene using MALDI-TOF spectroscopy. Using the described chemical, DNA arrays were also created using serial and parallel dispensing tools. MALDI-TOF spectroscopy could be used to detect hybridization to specific DNA mols. and to detect primer extension at specific sites. The synthesis of two photocleavable linkers which can be incorporated into oligonucleotides/nucleic acids is given.

ST nucleic acid high density immobilization; app nanovol liq dispensing

IT Mass spectrometry
(Fourier-transform; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT Mutation
(detection of; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT DNA sequence analysis
Electrospray ionization mass spectrometry
Immobilization, biochemical
Ion cyclotron resonance mass spectrometry
Mass spectrometry
Nucleic acid hybridization
Time-of-flight mass spectrometry
(high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT Apparatus
(liquid dispenser; high d. immobilization of nucleic acids and apparatus for

dispensing nanovolumes of liqs. and formation of multielement arrays)

IT Laser ionization mass spectrometry
(photodesorption, matrix-assisted; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT Laser desorption mass spectrometry
(photoionization, matrix-assisted; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT **Nucleic acids**
RL: **BPN (Biosynthetic preparation)**; PRP (Properties); **SPN (Synthetic preparation)**; BIOL (Biological study); **PREP (Preparation)**
(synthesis and sequencing of; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT Nucleic acids
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiol-containing, immobilization of; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT 7440-21-3, Silicon, uses
RL: DEV (Device component use); USES (Uses)
(arrays on; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT 72252-96-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(crosslinker; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT 919-30-2, 3-Aminopropyltriethoxysilane
RL: RCT (Reactant); RACT (Reactant or reagent)
(for derivatization of substrate; high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

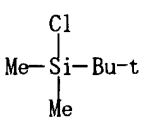
IT 207398-06-9P
RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation)
(high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT 108-24-7, Acetic anhydride 498-02-2 627-18-9, 3-Bromo-1-propanol **18162-48-6**, tert-Butyldimethylsilyl chloride 40615-36-9 42454-06-8, 5-Hydroxy-2-nitrobenzaldehyde 89992-70-1, 2-Cyanoethyl-N,N-diisopropylchlorophosphoramidite
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT 187794-03-2P 207298-33-7P 207298-34-8P 207298-35-9P 207298-36-0P 207298-37-1P 207298-38-2P 207298-39-3P 207298-40-6P 207298-41-7P 207298-42-8P 207298-43-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

IT **18162-48-6**, tert-Butyldimethylsilyl chloride
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(high d. immobilization of nucleic acids and apparatus for dispensing nanovolumes of liqs. and formation of multielement arrays)

RN 18162-48-6 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)



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L40 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:836578** HCAPLUS
DN 139:307973
ED Entered STN: 24 Oct 2003
TI Preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors
IN Johnson, David A.; Sowell, C. Gregory
PA Corixa Corporation, USA
SO U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 43,086.
CODEN: USXXCO
DT Patent
LA English
IC A61K031-739; C08B037-00
INCL 514042000; 536053000
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 15, 34, 63
FAN.CNT 10

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	US 6113918	A	20000905	US 1997-853826	19970508	<--
	US 6303347	B1	20011016	US 1999-439839	19991112	<--
	US 2002048588	A1	20020425	US 2001-905160	20010712	<--
	US 6764840	B2	20040720			
	US 2003092643	A1	20030515	US 2002-43086	20020108	<--
PRAI	US 1997-853826	A2	19970508	<--		
	US 1999-439839	A1	19991112			
	US 2001-905160	A2	20010712			
	US 2002-43086	A2	20020108			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2003199460	IC	A61K031-739IC C08B037-00	
	INCL	514042000; 536053000	
US 2003199460	NCL	514/042.000; 536/053.000	
	ECLA	C07H013/06C; C07H015/04D	<--
US 6113918	NCL	424/278.100; 536/001.110; 536/018.400; 536/117.000; 536/119.000	
	ECLA	C07H015/04D	<--
US 6303347	NCL	435/101.000; 424/278.100; 536/001.110; 536/018.400; 536/117.000; 536/119.000	
	ECLA	C07H015/04D	<--
US 2002048588	NCL	435/101.000; 424/278.100; 536/001.110	
	ECLA	C07H015/04D	<--
US 2003092643	NCL	514/042.000; 536/053.000; 536/054.000; 424/234.100	
	ECLA	C07H013/06C; C07H015/04D	<--

OS MARPAT 139:307973
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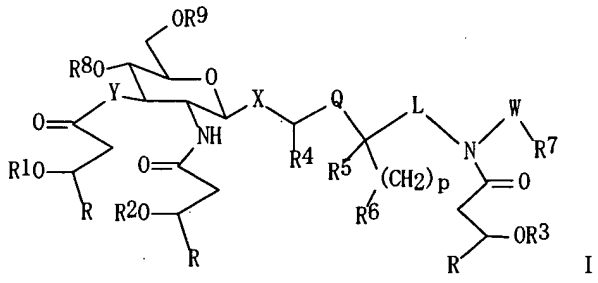

I

AB Aminoalkyl glucosaminide phosphate compds. (AGP) I were prepared wherein, X is selected from the group consisting of O and S at the axial or equatorial position; Y is selected from the group consisting of O and NH; Q is (CH2)n; L is (CH2)m; W is (CH2)q; n, m, p, q are integers from 0 to

6; R is (CH2)10Me; R1-R3 are the same or different and are normal fatty acyl residues having from 1 to about 20 carbon atoms and where one of R1-R3 is optionally hydrogen; R4 and R5 are the same or different and are selected from the group consisting of H and methyl; R6 and R7 are the same or different and are selected from the group consisting of H, hydroxy, alkoxy, phosphono, phosphono-oxy, sulfo, sulfo-oxy, amino, mercapto, cyano, nitro, formyl and carboxy, and esters and amides thereof; and R8 and R9 are the same or different and are selected from the group consisting of phosphono and H, and at least one of R8 and R9 is phosphono, that are adjuvants and immuno-effectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immuno-effectors are also disclosed. Thus, N-[(R)-3-hydroxytetradecanoyl]-O-[2-deoxy-4-O-phosphono-2-[(R)-3-dodecanoyloxytetradecanoylamino]-3-O-[(R)-3-tetradecanoyloxytetradecanoyl]-α-L-D-glucopyranosyl]-L-serine triethylammonium salt was prepared and tested in mice as adjuvants and immuno-effectors. Mice vaccinated with formalin-inactivated influenza and the AGP compds. of the subject invention mounted a protective immune response to an influenza challenge as well as produced antibody to that antigen.

ST antiinfluenza IgG immunoeffector aminoalkyl glucosaminide phosphate prepn; cytokine adjuvant immunoeffector antitetanus toxoid amino acid prepn glycoside; aminoalkyl glucosaminide phosphate prepn adjuvant immunoeffector antitetanus toxoid antibody

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG1; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG2a; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG2b; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Immunostimulants
(adjuvants; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Influenza
(anti; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Macrophage
Vaccines
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Antigens
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Amino acids, preparation
Antibodies and Immunoglobulins
Cytokines
Glycosides
RL: BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT Toxoids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tetanus; preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT 216013-09-1P 216013-19-3P 216013-24-0P 216013-34-2P 216013-41-1P
216013-52-4P 216013-59-1P 216013-65-9P 216013-73-9P 216013-82-0P
216013-88-6P 216013-97-7P 216014-06-1P 216014-15-2P 216014-21-0P
216014-29-8P 216014-37-8P 216014-46-9P 216014-50-5P 216014-56-1P
216014-63-0P 216014-69-6P 216014-76-5P 216014-82-3P 216014-88-9P
216014-92-5P 216014-98-1P 339078-59-0P 339078-61-4P 339078-63-6P
339078-65-8P 339078-67-0P 339078-69-2P 339078-71-6P 339078-73-8P
339078-75-0P 339078-77-2P 339078-79-4P 339078-81-8P 339078-85-2P
339079-17-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT 109361-17-3
RL: CAT (Catalyst use); USES (Uses)
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT 66-84-2 99-73-0, 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8, Undecanoic acid 112-64-1, Myristoyl chloride 764-85-2, Nonanoyl chloride 2456-81-7, 4-Pyrrolidinopyridine 2528-61-2, Heptanoyl chloride 17341-93-4, 2,2,2-Trichloroethyl chloroformate 22348-97-6, Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide methiodide 58577-87-0 65414-74-6, L-Serinamide hydrochloride 66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl chloroformate 66937-71-1 109977-90-4 **122078-72-2**
133099-79-3, D-Serine benzyl ester 134304-48-6 166193-98-2
190586-91-5 216014-70-9 339078-52-3
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT 1738-72-3P 2524-64-3P, Diphenyl chlorophosphate 76062-98-1P
87357-76-4P 91578-89-1P 91681-56-0P 122105-45-7P 122210-01-9P
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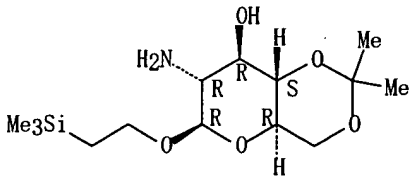
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

IT **122078-72-2**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

RN 122078-72-2 HCAPLUS

CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-amino-2-deoxy-4,6-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

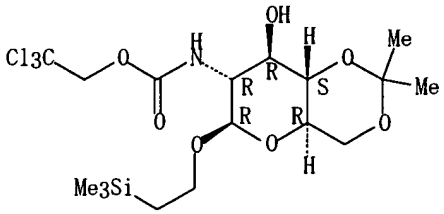
Absolute stereochemistry.



IT **216013-10-4P 216013-90-0P 216013-99-9P 216014-08-3P 216014-23-2P 216014-31-2P 216014-39-0P 220048-54-4P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors)

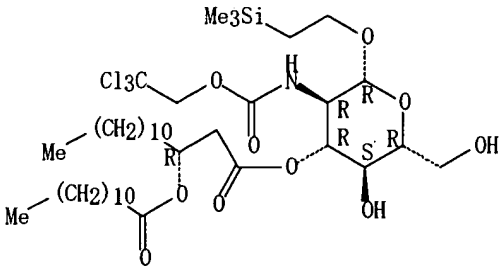
RN 216013-10-4 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



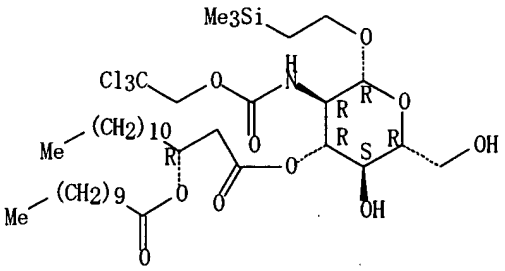
RN 216013-90-0 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxododecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



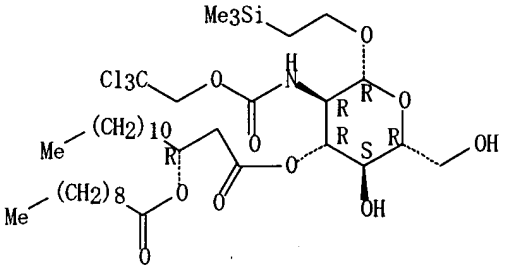
RN 216013-99-9 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxoundecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



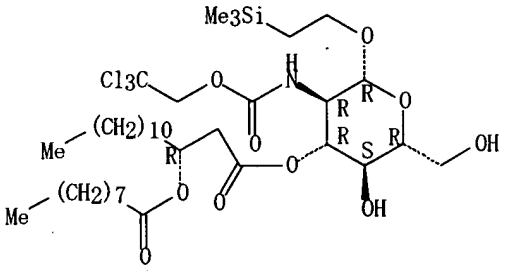
RN 216014-08-3 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxodecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



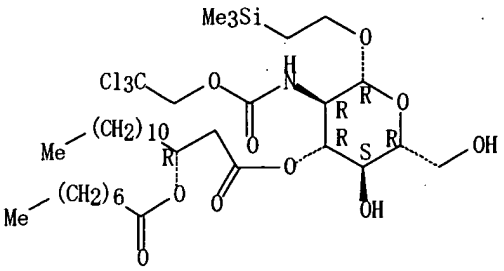
RN 216014-23-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxononyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



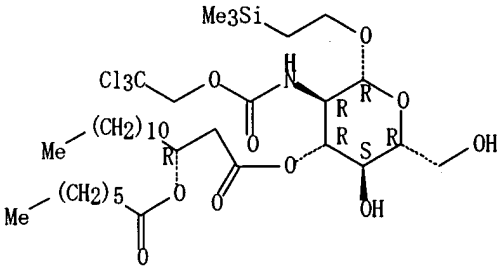
RN 216014-31-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxooctyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



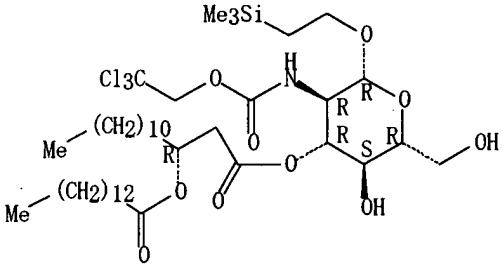
RN 216014-39-0 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxoheptyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220048-54-4 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L40 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:448053** HCAPLUS
DN 139:18316
ED Entered STN: 11 Jun 2003
TI Oligonucleotides functionalized with aminooxy groups for attachment of molecules or particles
IN Manoharan, Muthiah; Lonnberg, Harri; Salo, Harri; Virta, Pasi
PA Isis Pharmaceuticals, Inc., USA
SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 16,520.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07H021-00
ICS C07H021-02; C07H021-04
INCL 536023200; 536025310; 435006000; 435007940

Search done by Noble Jarrell

CC 3-1 (Biochemical Genetics)
Section cross-reference(s): 26

FAN. CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6576752	B1	20030610	US 1999-344260	19990625	<--
	US 6127533	A	20001003	US 1998-16520	19980130	<--
	US 2003088079	A1	20030508	US 1999-370541	19990809	<--
	US 6639062	B2	20031028			
	US 6194598	B1	20010227	US 2000-477902	20000105	<--
	US 2003113769	A1	20030619	US 2002-234764	20020903	<--
	US 6825331	B2	20041130			
PRAI	US 1997-37143P	P	19970214	<--		
	US 1998-16520	A2	19980130	<--		
	US 1998-130973	A2	19980807	<--		
	US 1999-344260	A2	19990625			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 6576752	ICM	C07H021-00	
	ICS	C07H021-02; C07H021-04	
	INCL	536023200; 536025310; 435006000; 435007940	
US 6576752	NCL	536/023.200; 435/006.000; 435/007.940; 536/025.310	
	ECLA	C07H019/06E; C07H019/16E; C07H021/00C4	<--
US 6127533	NCL	536/023.100; 536/026.700; 536/026.800; 536/027.600; 536/027.800; 536/027.810; 536/028.500; 536/028.530; 558/070.000	
	ECLA	C07H019/06E; C07H019/16E; C07H021/00C4	<--
US 2003088079	NCL	536/023.100; 536/024.300; 536/024.500	
	ECLA	C07H019/04; C07H019/06E; C07H019/16E; C07H021/00C4	<--
US 6194598	NCL	558/070.000; 536/025.340; 564/300.000	
	ECLA	C07H015/203; C07H019/06E; C07H019/16E; C07H021/00C4	<--
US 2003113769	NCL	536/023.100; 435/006.000; 536/025.330; 536/025.340	
	ECLA	C07H019/06E; C07H019/16E; C07H021/00C4	<--

OS MARPAT 139:18316

AB Oligonucleotide analogs containing aminooxy functional groups that can be used to attach reporter or affinity groups or for immobilization are described for use as hybridization probes. These oligomers are useful for diagnostic, therapeutic and investigative purposes. Synthesis of precursors for incorporation into oligonucleotides is described.

ST aminooxy oligonucleotide synthesis label immobilization

IT DNA microarray technology
(aminooxy functionalized oligonucleotides for; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT Functional groups
(aminooxy, oligonucleotides derivatized with; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT Glass, uses
RL: DEV (Device component use); USES (Uses)
(controlled pore, immobilization of oligonucleotides on; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT Immobilization, molecular or cellular
(of oligonucleotides, aminooxy groups for; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT Oligonucleotides
Probes (nucleic acid)
RL: PRP (Properties); **SPN (Synthetic preparation)**; **PREP (Preparation)**
(oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT Aldehydes, analysis
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(peptide aldehydes, conjugation to oligonucleotides of; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT 76512-82-8P

Search done by Noble Jarrell

RL: BYP (Byproduct); REM (Removal or disposal); PREP (Preparation); PROC (Process)
(oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT 1972-28-7, Diethylazodicarboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT 539820-48-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT 4836-13-9P 67219-55-0P 212061-20-6P 212061-21-7P 212061-22-8P 539820-44-5P 539820-46-7P 539820-47-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT 249504-22-1P 249504-23-2P 249504-24-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

IT **75-77-4**, Trimethylsilyl chloride, reactions 98-88-4, Benzoyl chloride 112-60-7, Tetraethyleneglycol 288-94-8, 1H-Tetrazole 524-38-9, N-Hydroxyphthalimide 603-35-0, Triphenylphosphine, reactions 951-77-9, 2'-Deoxycytidine 2508-29-4, 5-Aminopentanol 5807-14-7, 1,5,7-Triazabicyclo[4.4.0]dec-5-ene 7529-22-8, N-Methylmorpholine-N-oxide 40615-36-9, 4,4'-Dimethoxytrityl chloride 102691-36-1, 2-Cyanoethyl-N,N,N',N'-tetraisopropylphosphorodiamidite 212061-19-3
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reactions of; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)

RE.CNT 188 THERE ARE 188 CITED REFERENCES AVAILABLE FOR THIS RECORD

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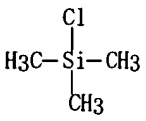
IT **75-77-4**, Trimethylsilyl chloride, reactions
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reactions of; oligonucleotides functionalized with aminooxy groups for attachment of mols. or particles)
RN 75-77-4 HCAPLUS
CN Silane, chlorotrimethyl- (8CI, 9CI) (CA INDEX NAME)



L40 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:435354** HCAPLUS
DN 139:22450
ED Entered STN: 06 Jun 2003
TI Preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance
IN Imanishi, Takeshi; Obika, Satoshi
PA Japan
SO U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S. Ser. No. 904,567.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07H021-02
ICS C07H019-04; C07F009-6512; C07D473-16; C07D473-18
INCL 536023100; 536026100; 536027130; 536028400; 544243000; 544244000; 544268000
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 7
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003105309	A1	20030605	US 2001-29212	20011228 <--
	US 6770748	B2	20040803		
	WO 9839352	A1	19980911	WO 1998-JP945	19980309 <--
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6268490	B1	20010731	US 1999-380638	19990907 <--
PRAI	JP 1997-53409	A	19970307 <--		
	WO 1998-JP945	W	19980309 <--		
	US 1999-380638	A1	19990907		

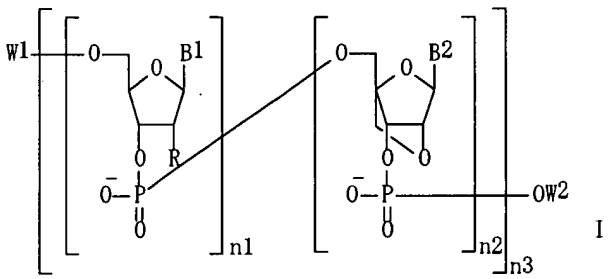
US 2001-904567 B2 20010716

CLASS

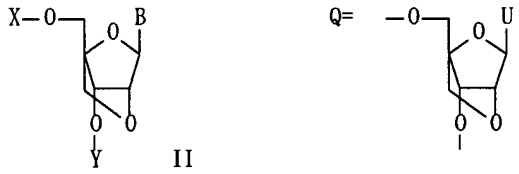
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003105309	ICM	C07H021-02
	ICS	C07H019-04; C07F009-6512; C07D473-16; C07D473-18
	INCL	536023100; 536026100; 536027130; 536028400; 544243000; 544244000; 544268000
US 2003105309	NCL	536/023.100; 536/026.700; 536/026.800; 536/026.900; 536/027.100; 536/027.200; 536/028.100; 536/028.400
	ECLA	C07H019/04; C07H019/06F; C07H019/10E; C07H019/16E; C07H019/20; C07H021/00C4 <--
WO 9839352	ECLA	C07H019/04; C07H019/06F; C07H019/10E; C07H019/16E; C07H019/20; C07H021/00C4 <--
US 6268490	NCL	536/023.100; 536/026.700; 536/026.900; 536/027.210; 536/028.400
	ECLA	C07H019/04; C07H019/06F; C07H019/10E; C07H019/16E; C07H019/20; C07H021/00C4 <--

OS MARPAT 139:22450

GI



I

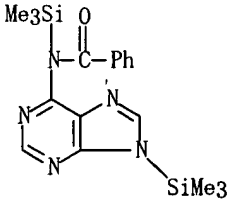


II

AB Oligo- or polynucleotide analogs (I; B1, B2 = pyrimidine or purine nucleic acid base or its analog; R = H, OH, halo, alkoxy; W1, W2 independently = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl, PO3H2, natural nucleoside bonded through a phosphodiester linkage or its analog or oligo- or polynucleotide containing these nucleoside; n1, n2 = an integer of 1-50; provided that n1 and n2 are not simultaneously 0 or all n2 is not 0; n3 = an integer of 1-50; provide when n1 and/or n2 is ≥2, B and B1 are not necessarily identical or R is not necessarily identical) are prepared from nucleoside analogs (II; B = pyrimidine or purine nucleic acid base or analog; X, Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl) or its amidite derivative They can provide antisense mols. of oligonucleotide analogs that are less likely to undergo enzymic hydrolysis in vivo, have a high capability of binding to sense chains, and can be easily synthesized. Thus, 5'-GTTTTTTTTTXXC-3' (X = Q), which was prepared by a Pharmacia Gene Assembler Plus on a controlled pore glass using the phosphoramidite II [B = uracil residue, X = 4,4'-dimethoxytrityl, Y = P[N(CHMe2)2]OCH2CH2CN], showed much higher resistance against hydrolysis by snake venom than natural 5'-GTTTTTTTTTTC-3'. The present invention is expected to be useful as drugs, including anti-neoplastics and antivirals, for treatment of diseases by inhibiting the actions of particular genes.

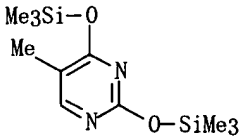
ST antisense oligonucleotide bicyclo nucleoside prepn enzymic hydrolysis resistance

IT Hydrolysis
(enzymic; preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT Drugs
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT **Antisense oligonucleotides**
RL: BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT Venoms
(snake; preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT 536762-55-7P 536762-56-8P 536762-57-9P 536762-58-0P 536762-59-1P
536762-60-4P 536762-61-5P 536762-62-6P 536762-63-7P 536762-64-8P
536762-65-9P 536762-66-0P 536762-67-1P 536762-68-2P 536762-69-3P
536762-70-6P 536762-71-7P 537054-09-4P 537054-10-7P 537054-11-8P
537054-12-9P 537054-13-0P 537054-14-1P 537054-15-2P 537073-71-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT 50-69-1, D-Ribose **18055-47-5** 63592-89-2 63593-03-3
260269-41-8
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT 4099-85-8P **7288-28-0P** 55797-67-6P 195705-07-8P
195705-15-8P 195705-32-9P 200435-88-7P 200435-89-8P 200435-91-2P
200435-92-3P 206055-67-6P 206055-68-7P 209968-94-5P 212970-65-5P
212970-66-6P 212970-67-7P 212970-68-8P 212970-69-9P 212970-70-2P
212970-72-4P 212970-73-5P 212970-75-7P 212970-76-8P 212970-77-9P
212970-78-0P 212970-79-1P 212970-80-4P 212970-81-5P 212970-82-6P
212970-83-7P 212970-84-8P 212970-85-9P 212970-86-0P 446862-75-5P
536734-52-8P
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT 9025-82-5, Phosphodiesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(snake venom; preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
IT **18055-47-5**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)
RN 18055-47-5 HCAPLUS
CN Benzamide, N-(trimethylsilyl)-N-[9-(trimethylsilyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



IT **7288-28-0P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of bicyclo-nucleosides and antisense oligonucleotide duplex analogs and their in vivo enzymic hydrolysis resistance)

RN 7288-28-0 HCAPLUS
CN Pyrimidine, 5-methyl-2,4-bis[(trimethylsilyl)oxy]- (9CI) (CA INDEX NAME)



L40 ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:408777** HCAPLUS
DN 139:7171
ED Entered STN: 29 May 2003
TI Preparation of vitronectin receptor antagonist pharmaceuticals for use in the diagnosis and treatment of cancer
IN Cheesman, Edward H.; Barrett, John A.; Carpenter, Alan P., Jr.; Rajopadhye, Milind; Sworin, Michael
PA Bristol-Myers Squibb Pharma Company, USA
SO U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 466,582.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K005-00
ICS A61M036-14
INCL 424001650; 424001110; 424009100; 534014000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 8, 28, 63, 78
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6569402	B1	20030527	US 2000-599365	20000621 <--
	US 6322770	B1	20011127	US 1999-281207	19990330 <--
	US 2002015680	A1	20020207	US 1999-281209	19990330 <--
	US 6524553	B2	20030225		
	US 6548663	B1	20030415	US 1999-281050	19990330 <--
	US 6558649	B1	20030506	US 1999-466582	19991217 <--
	US 2003124120	A1	20030703	US 2002-269252	20021011 <--
	US 2003143235	A1	20030731	US 2002-306244	20021126 <--
	US 6818201	B2	20041116		
	US 2003149262	A1	20030807	US 2002-306054	20021126 <--
	US 2004014964	A1	20040122	US 2003-348268	20030121 <--
PRAI	US 1998-112831P	P	19981218 <--		
	US 1999-466582	A2	19991217		
	US 1998-80150P	P	19980331 <--		
	US 1998-112715P	P	19981218 <--		
	US 1998-112732P	P	19981218 <--		
	US 1998-112829P	P	19981218 <--		
	US 1999-281050	A3	19990330		
	US 1999-281209	A3	19990330		
	US 2000-599365	A3	20000621		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6569402	ICM	A61K005-00
	ICS	A61M036-14
	INCL	424001650; 424001110; 424009100; 534014000
US 6569402	NCL	424/001.650; 424/001.110; 424/009.100; 534/014.000
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		C07K005/02C; C07K005/08A1A; C07K005/08H; C07K005/10A1A; C07K005/10H; C07K007/02; C07K007/06A; C07K007/64; C07K009/00D2 <--
US 6322770	NCL	424/001.650; 424/001.110; 424/009.100; 424/009.300; 424/009.340; 530/300.000; 534/014.000; 548/361.100 <--
US 2002015680	NCL	424/009.340; 424/001.110; 424/001.690; 424/009.100; 424/009.300; 424/009.400; 424/009.500; 530/300.000; 530/331.000; 534/010.000; 534/014.000
	ECLA	A61K049/00F; C07D401/12+233+215; C07D401/14+233+215+213; C07D401/14+257+233+215; C07D401/14R+257+233+215; C07D401/14R+233+215 <--
US 6548663	NCL	540/465.000; 534/010.000; 534/014.000; 540/474.000; 540/523.000
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US 2003149262 NCL 536/046.000; 540/487.000; 540/504.000; 540/513.000
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US 2004014964 NCL 540/504.000; 514/221.000; 540/506.000
ECLA A61K049/00E12B; C07D401/14+243+235C+213; C07D401/14+243+243+235C+235C+213; C07D401/14+257+233+215; C07D401/14R+257+233+215; C07D403/12+243+235C; C07D403/12+257+243; C07D403/14+257+243+235C; C07D403/14+257+243+243+235C+235C; C07D403/14+257+243+233; C07D403/14R+257+243+23; C07D403/14R+243+235C; C07D403/14R+243+235C+213; C07K005/02C; C07K005/08A1A; C07K005/08H; C07K005/10A1A; C07K005/10H; C07K007/02; C07K007/06A; C07K007/64; C07K009/00D2; A61K049/00F; A61K051/04Z; C07D401/12+233+215; C07D401/12+235C+213; C07D401/12+243+213; C07D401/14+233+215+213 <--

OS MARPAT 139:7171

AB The invention describes novel compds. (Q)d-Ln-Ch [Q is a residue having a 2-(carboxymethyl)-tetrahydro-1,4-benzodiazepine-type moiety; Ln is a linking group; Ch is a metal-bonding unit; d = 1-10] which are useful for the diagnosis and treatment of cancer and the imaging of tumors in a patient. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent. Thus, (S,S,S)-4-[N-[3-[3,6-diaza-10-[N-(benzimidazol-2-ylmethyl)-N-methylcarbamoyl]-5-(carboxymethyl)-4-oxobicyclo[5.4.0]undeca-1(7),8,10-trien-3-yl]propyl]carbamoyl]-4-[4-carboxy-2-[2-[1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)cyclodecyl]acetylamino]butanoylamino]butanoic acid (claimed compound) was prepared and used in the synthesis of 177Lu, 90Y and 111In complexes.

ST vitronectin receptor antagonist prepn imaging anticancer agent; peptidyl benzodiazepine anticancer agent; metal complex benzodiazepine anticancer agent

IT Angiogenesis
Antitumor agents
Human
Imaging agents
Radiopharmaceuticals
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Vitronectin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Coordination compounds
Peptides, preparation
RL: DGN (Diagnostic use); PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Interleukin 2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for

diagnosis and treatment of cancer)

IT Neoplasm
(treatment of; preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α2; preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α; preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β; preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ; preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT 5704-04-1DP, Tricine, technetium-99 complexes 14133-76-7DP, Technetium 99, complexes with vitronectin receptor binding conjugates, preparation 63995-70-0DP, Tppts, technetium-99 complexes 277327-56-7P 277327-58-9P 277327-59-0P 277327-61-4P 277327-62-5P 277327-64-7P 277328-27-5P 277328-39-9P 277328-42-4P 277328-43-5P 277328-45-7P 277328-46-8P 277328-47-9P 278180-25-9P 278180-26-0P 278180-27-1P 278180-28-2P 278180-29-3P 278180-30-6P 278180-31-7P 278180-32-8P 278180-36-2P 278180-38-4P 278180-40-8P 532983-26-9P 532983-27-0P 532983-28-1P 532983-29-2P 532983-30-5P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT 100-46-9, Benzylamine, reactions 769-39-1, 2 3 5 6 Tetrafluorophenol 1155-62-0 2419-56-9 **2916-68-9** 3160-47-2 3338-32-7 4666-16-4 6234-01-1 65915-94-8 66095-18-9 67478-50-6 92809-96-6 107819-90-9 127346-48-9 137076-54-1 171050-05-8 175531-13-2 186305-11-3 193473-82-4 246234-73-1 277328-34-4
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT 47793-84-0P 277315-82-9P 277327-70-5P 277327-73-8P 277327-74-9P 277327-75-0P 277327-76-1P 277327-79-4P 277327-81-8P 277327-84-1P 277327-89-6P 277327-91-0P 277327-93-2P 277327-95-4P 277327-96-5P 277327-97-6P 277327-98-7P 277328-00-4P 277328-01-5P 277328-02-6P 277328-03-7P 277328-04-8P 277328-05-9P 277328-06-0P 277328-09-3P 277328-14-0P **277328-19-5P** **277328-20-8P** **277328-21-9P** 277328-23-1P 277328-25-3P 277328-26-4P 277328-28-6P 277328-30-0P 277328-31-1P 277328-32-2P 277328-33-3P 277328-35-5P 277328-36-6P 277328-37-7P 277328-38-8P 532983-31-6P 532983-32-7P 532983-33-8P 532983-35-0P 532983-36-1P 532983-37-2P 532983-38-3P 532983-39-4P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

IT 50-07-7, Mitomycin 57-22-7, Vincristine 57-83-0, Progesterone, biological studies 59-05-2, Methotrexate 125-84-8, Aminoglutethimide 147-94-4, Cytarabine 302-79-4, Tretinoin 434-07-1, Oxymetholone 488-41-5, Mitobronitol 566-48-3, Formestane 2363-58-8, Epitiostanol 3094-09-5, Doxifluridine 3543-75-7, Bendamustin hydrochloride 3778-73-2, Ifosfamide 4291-63-8, Cladribine 4533-39-5, Nitracrine 4759-48-2, Isotretinoin 6620-60-6, Proglumide 9014-02-2, Zinostatin 9050-67-3, Sizofilan 10318-26-0, Mitolactol 10540-29-1, Tamoxifen 13311-84-7, Flutamide 13425-98-4, Improsulfan 14769-73-4, Levamisole 17902-23-7, Tegafur 18016-80-3, Lisuride 18883-66-4, Streptozocin 20830-81-3, Daunorubicin 21362-69-6, Mepitiostane 21416-67-1, Razoxane 22181-94-8, Butocin 22668-01-5 23214-92-8, Doxorubicin 24279-91-2, Carboquone 27314-97-2, 3-Amino-1,2,4-benzotriazine-1,4-dioxide

29069-24-7, Prednimustine 29767-20-2, Teniposide 33419-42-0, Etoposide
39325-01-4, Picibanil 41575-94-4, Carboplatin 42471-28-3, Nimustine
51264-14-3, Amsacrine 53643-48-4, Vindesine 53910-25-1, Pentostatin
54350-48-0, Etretinate 55726-47-1, Enocitabine 58337-35-2, Elliptinium
acetate 61422-45-5, Carmofur 62304-98-7, Thymalfasin 70132-50-2
71486-22-1, Vinorelbine 74050-98-9, Ketanserin 81840-15-5, Vesnarinone
88876-88-4 90357-06-5, Bicalutamide 92118-27-9, Fotemustine
95058-81-4, Gemcitabine 95734-82-0, Nedaplatin 98631-95-9, Sobuzoxane
102676-47-1, Fadrozole 104958-90-9 108001-60-1 112809-51-5,
Letrozole 112887-68-0, Raltitrexed 120287-85-6, Cetrorelix
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

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IT **2916-68-9**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

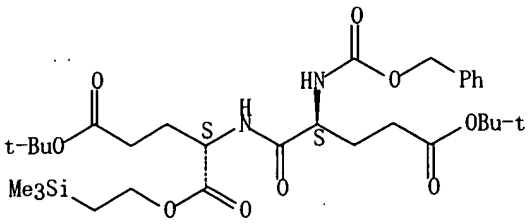
RN 2916-68-9 HCAPLUS
CN Ethanol, 2-(trimethylsilyl)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$Me_3Si-CH_2-CH_2-OH$

IT **277328-19-5P 277328-20-8P 277328-21-9P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of peptidyl vitronectin receptor antagonist pharmaceuticals for diagnosis and treatment of cancer)

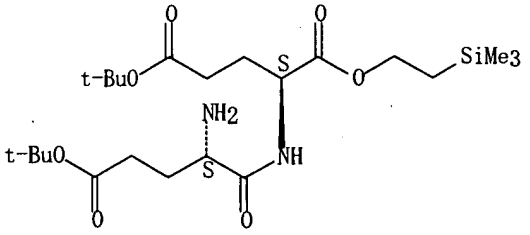
RN 277328-19-5 HCAPLUS
CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-L-α-glutamyl-, 1,25-bis(1,1-dimethylethyl) 21-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



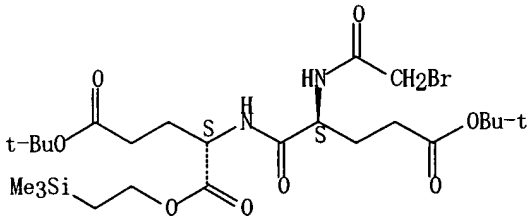
RN 277328-20-8 HCAPLUS
CN L-Glutamic acid, L-α-glutamyl-, 1,25-bis(1,1-dimethylethyl) 21-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 277328-21-9 HCAPLUS
CN L-Glutamic acid, N-(bromoacetyl)-L-α-glutamyl-, 1,25-bis(1,1-dimethylethyl) 21-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:376382** HCAPLUS
DN 138:384134
ED Entered STN: 16 May 2003
TI Vaccine compositions comprising aminoalkyl glucosaminide phosphate compounds as adjuvants and immunoeffectors for treating cancerous and infectious diseases
IN Johnson, David A.; Sowell, C. Gregory
PA Corixa Corporation, USA
SO U.S. Pat. Appl. Publ., 60 pp., Cont.-in-part of U.S. Ser. No. 905,160. CODEN: USXXCO
DT Patent
LA English
IC ICM A61K039-02
ICS A61K031-739; C07H005-04
INCL 514042000; 536053000; 536054000; 424234100
CC 15-2 (Immunochemistry)
Section cross-reference(s): 1, 63
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003092643	A1	20030515	US 2002-43086	20020108 <--
	US 6113918	A	20000905	US 1997-853826	19970508 <--

	US 6303347	B1	20011016	US 1999-439839	19991112 <--
	US 2002048588	A1	20020425	US 2001-905160	20010712 <--
	US 6764840	B2	20040720		
	US 2003199460	A1	20031023	US 2002-137730	20020430 <--
PRAI	US 1997-853826	A2	19970508 <--		
	US 1999-439839	A1	19991112		
	US 2001-905160	A2	20010712		
	US 2002-43086	A2	20020108		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003092643	ICM	A61K039-02
	ICS	A61K031-739; C07H005-04
	INCL	514042000; 536053000; 536054000; 424234100
US 2003092643	NCL	514/042.000; 536/053.000; 536/054.000; 424/234.100
	ECLA	C07H013/06C; C07H015/04D <--
US 6113918	NCL	424/278.100; 536/001.110; 536/018.400; 536/117.000; 536/119.000
	ECLA	C07H015/04D <--
US 6303347	NCL	435/101.000; 424/278.100; 536/001.110; 536/018.400; 536/117.000; 536/119.000
	ECLA	C07H015/04D <--
US 2002048588	NCL	435/101.000; 424/278.100; 536/001.110
	ECLA	C07H015/04D <--
US 2003199460	NCL	514/042.000; 536/053.000
	ECLA	C07H013/06C; C07H015/04D <--

OS MARPAT 138:384134

AB Aminoalkyl glucosaminide phosphate (AGP) compds. that are adjuvants and immunoeffectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosaminide ring and comprise three 3- alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Compns. and methods for using the compds. as adjuvants and immunoeffectors are also disclosed.

ST vaccine antigen tumor protein immune adjuvant aminoalkyl glucosaminide phosphate; cancer infection antigen vaccine immune adjuvant aminoalkyl glucosaminide phosphate

IT Macrophage
(activation; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Immunostimulants
(adjuvants; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Functional groups
(aminoalkyl phosphate; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Blood serum
Mucous membrane
(antibody production; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems
(aqueous; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems
(carriers; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Immunity
(cell-mediated; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT T cell (lymphocyte)
(cytotoxic; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating

cancerous and infectious diseases)

IT **Glycosides**
RL: BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(group; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antigens
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hepatitis B surface; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Solutions
(isotonic, agent; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Oils
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metabolizable; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems
(nasal, intra-; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Cytokines
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(production; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems
(solns.; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Toxoids
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetanus; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antigens
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tumor-associated; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Vaccines
(tumor; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Animal
Antioxidants
Egg, poultry
Emulsions
Human
Immunomodulators
Immunostimulants
Infection
Influenza virus
Mammalia
Microparticles
Microspheres
Surfactants
Vaccines
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.

as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antibodies and Immunoglobulins
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Ovalbumin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antigens
Polynucleotides
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Phosphatidylcholines, biological studies
Phosphatidylethanolamines, biological studies
Sphingomyelins
Sphingosines
Tocopherols
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antitumor agents
(vaccines; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Infection
(viral; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 125978-95-2P, Nitric oxide synthetase
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inducible; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 10102-43-9P, Nitric oxide, biological studies
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 66-84-2 76-05-1, Trifluoroacetic acid, reactions 99-73-0, 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8, Undecanoic acid 764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester 2528-61-2, Heptanoyl chloride 6791-49-7, L-Serinamide 15219-34-8, Oxalyl bromide 16357-59-8, 2-Ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline 17341-93-4, 2,2,2-Trichloroethyl chloroformate 22348-97-6, Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide methiodide 28715-21-1 58577-87-0 58577-88-1 66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl chloroformate 66937-71-1, N-(2-Hydroxyethyl)glycine tert-butyl ester 105464-42-4 109977-90-4 **122078-72-2** 133099-79-3 134304-48-6 142982-11-4 166193-98-2 216014-70-9 216014-83-4 252042-31-2
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 76062-98-1P 87357-76-4P 91681-56-0P 122105-45-7P 122210-01-9P
186383-49-3P 216013-03-5P 216013-05-7P 216013-06-8P 216013-07-9P
216013-10-4P **216013-11-5P** 216013-12-6P 216013-13-7P
216013-14-8P 216013-16-0P 216013-20-6P 216013-22-8P 216013-26-2P
216013-27-3P 216013-28-4P 216013-29-5P 216013-30-8P 216013-31-9P
216013-35-3P 216013-36-4P 216013-37-5P 216013-42-2P 216013-43-3P
216013-44-4P 216013-49-9P 216013-50-2P 216013-53-5P 216013-54-6P
216013-55-7P 216013-60-4P 216013-61-5P 216013-62-6P 216013-66-0P
216013-67-1P 216013-69-3P 216013-71-7P 216013-77-3P 216013-78-4P
216013-80-8P 216013-83-1P 216013-85-3P 216013-89-7P
216013-90-0P 216013-91-1P 216013-92-2P 216013-93-3P
216013-98-8P **216013-99-9P** 216014-00-5P 216014-01-6P
216014-02-7P 216014-07-2P **216014-08-3P** 216014-09-4P
216014-11-8P 216014-12-9P 216014-17-4P 216014-22-1P
216014-23-2P 216014-24-3P 216014-25-4P 216014-26-5P
216014-30-1P **216014-31-2P** 216014-32-3P 216014-33-4P
216014-34-5P 216014-38-9P 216014-40-3P 216014-41-4P 216014-42-5P
216014-44-7P 216014-47-0P 216014-48-1P 216014-52-7P 216014-53-8P
216014-57-2P 216014-59-4P 216014-60-7P 216014-65-2P 216014-66-3P
216014-72-1P 216014-73-2P 216014-77-6P 216014-80-1P 216014-84-5P
216014-85-6P 216014-89-0P 216014-90-3P 216014-93-6P 216014-94-7P
216014-99-2P 216015-00-8P 339078-53-4P 339078-54-5P
367273-92-5P 525604-08-4P 525604-09-5P 525604-12-0P
525604-15-3P 525604-20-0P 525604-23-3P 525604-28-8P 525604-32-4P
525604-35-7P 525604-38-0P 525604-41-5P 525604-44-8P 525604-47-1P
525604-50-6P 525604-53-9P 525604-56-2P 525604-59-5P 525604-62-0P
525604-65-3P 525604-68-6P 525604-76-6P 525604-79-9P 525604-81-3P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 216013-09-1P 216013-19-3P 216013-47-7P 216013-65-9P 216013-73-9P
216014-37-8P 216014-98-1P 339078-67-0P 339078-71-6P 339078-75-0P
339078-77-2P 339079-17-3P 367273-94-7P 525604-11-9P 525604-14-2P
525604-17-5P 525604-19-7P 525604-22-2P 525604-34-6P 525604-37-9P
525604-40-4P 525604-43-7P 525604-46-0P 525604-49-3P 525604-52-8P
525604-55-1P 525604-58-4P 525604-61-9P 525604-64-2P 525604-67-5P
525604-70-0P 525604-72-2P 525604-74-4P 525604-78-8P 525604-83-5P
525604-85-7P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 3416-24-8DP, 2-Deoxy-2-amino-glucose, aminoalkyl phosphate derivs.
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT 56-81-5, Glycerol, biological studies 63-89-8 83-44-3 102-71-6, Triethanolamine, biological studies 111-02-4, Squalene 121-44-8, Triethylamine, biological studies 360-65-6 998-07-2, 1,2-Dimyristoyl-sn-glycero-3-phosphoethanolamine 1305-62-0, Calcium hydroxide, biological studies 7732-18-5, Water, biological studies 10103-46-5, Calcium phosphate 21645-51-2, Aluminum hydroxide, biological studies 106392-12-5, PLURONIC F 68
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

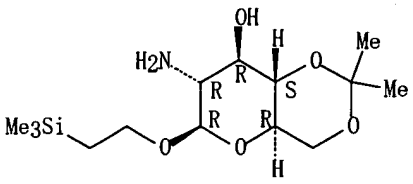
IT 525604-07-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(vaccine compns. comprising m p 43aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT **122078-72-2**

RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

RN 122078-72-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-amino-2-deoxy-4,6-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

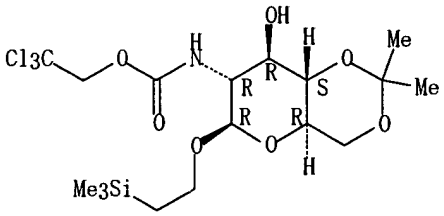
Absolute stereochemistry.



IT **216013-10-4P 216013-11-5P 216013-90-0P**
216013-99-9P 216014-08-3P 216014-23-2P
216014-31-2P 367273-92-5P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

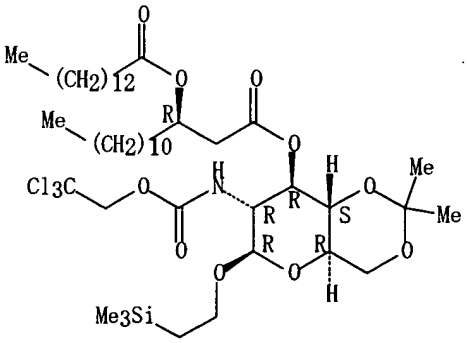
RN 216013-10-4 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 216013-11-5 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

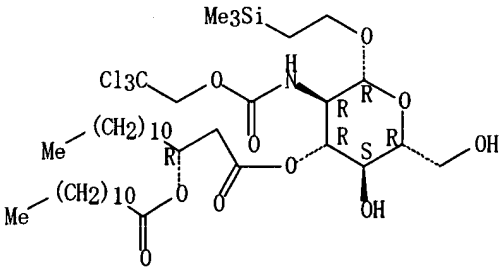
Absolute stereochemistry. Rotation (-).



RN 216013-90-0 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-

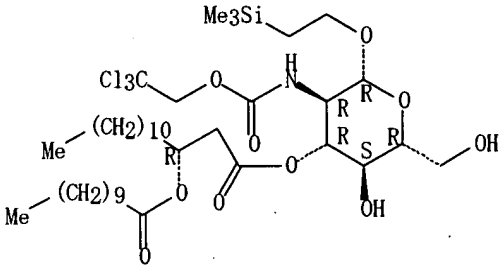
trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxododecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



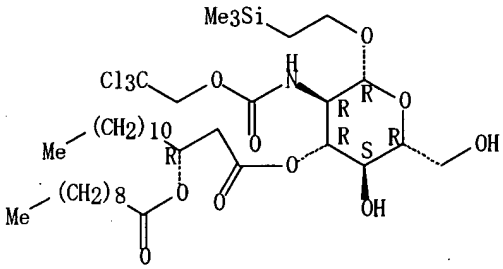
RN 216013-99-9 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxoundecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



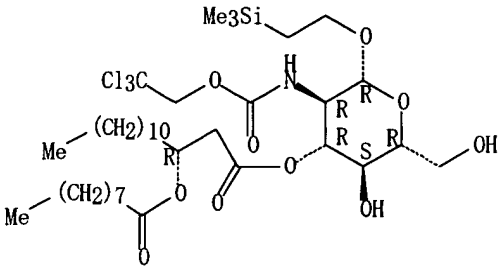
RN 216014-08-3 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxodecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



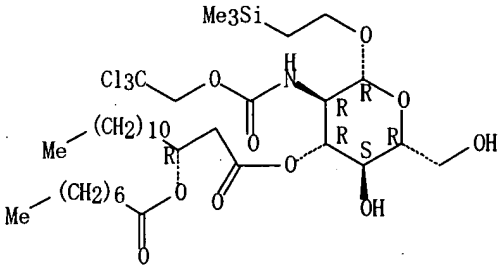
RN 216014-23-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxononyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



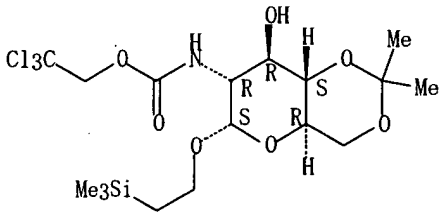
RN 216014-31-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxooctyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 367273-92-5 HCAPLUS
CN α-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2002:755212** HCAPLUS
DN 137:279361
ED Entered STN: 04 Oct 2002
TI Preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction
IN Garvey, David S.; Saenz De Tejada, Inigo; Gaston, Ricky D.; Khanapure, Subhash P.; Shelekhin, Tatiana E.; Wang, Tiansheng
PA USA
SO U.S. Pat. Appl. Publ., 61 pp., Cont.-in-part of U.S. 6,294,517.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-551
ICS A61K031-517; C07D043-02
INCL 514218000
CC 31-5 (Alkaloids)

Section cross-reference(s): 1, 21, 34, 63

FAN. CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 2002143007	A1	20021003	US 2002-146671	20020516	<--
	US 5932538	A	19990803	US 1996-595732	19960202	<--
	US 5994294	A	19991130	US 1996-714313	19960918	<--
	US 6294517	B1	20010925	US 1998-145143	19980901	<--
PRAI	US 1996-595732	A2	19960202	<--		
	US 1996-714313	A2	19960918	<--		
	US 1998-145143	A2	19980901	<--		
	WO 1997-US1294	A2	19970128	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2002143007	ICM	A61K031-551	
	ICS	A61K031-517; C07D043-02	
	INCL	514218000	
US 2002143007	NCL	514/218.000; 514/252.170; 514/266.210; 514/266.400; 544/284.000; 540/575.000	
	ECLA	A61K045/06; C07C381/00; C07D211/62; C07D233/24; C07D239/95; C07D401/04+239+217; C07D405/12+307B+239; C07D459/00C2	<--
US 5932538	NCL	514/002.000; 514/008.000; 514/023.000; 514/280.000; 514/423.000; 514/562.000; 514/644.000; 514/645.000	
	ECLA	C07D233/24; C07D239/95; C07D401/04+239+217; C07D405/12+307B+239; C07D459/00C2	<--
US 5994294	NCL	514/002.000; 424/085.100; 424/130.100; 514/018.000; 514/044.000; 514/242.000; 514/248.000; 514/280.000; 514/307.000; 514/396.000; 514/400.000; 514/471.000; 514/509.000; 514/523.000; 514/532.000; 514/649.000; 514/684.000; 514/929.000; 530/300.000; 536/026.100	
	ECLA	C07D233/24; C07D239/95; C07D401/04+239+217; C07D405/12+307B+239; C07D459/00C2	<--
US 6294517	NCL	514/002.000; 424/769.000; 424/773.000; 514/008.000; 514/280.000; 514/283.000; 514/565.000; 514/929.000; 514/968.000	
	ECLA	C07C381/00; C07D211/62; C07D233/24; C07D239/95; C07D401/04+239+217; C07D405/12+307B+239; C07D459/00C2	<--

OS MARPAT 137:279361

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, II, III, etc. [R1 = H, alkoxy; R2 = NMe(CH2)aNHCORc, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl, etc.; a= 2, 3; Rc = heterocyclic, alkyl, hydroxyalkyl, etc.; D = NO, NO2, etc.; R3 = CH2N(4-MeC6H4)(3-DOC6H4), CH2Ph, 2-methoxy-1,4-benzodioxin-2-yl, etc.; D1 = H or D with the proviso that D1 must be D if there is no other D in the compound; R4 = H, D, CORd; R5 = H, C(O)ORk, etc.; Rd = H, alkyl, cycloalkyl, etc.; Rk = H, alkyl] were prepared For example, nitrosylation of thiol IV (X = H), e.g., prepared from 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(methylethyl)phenyl acetate in 3-steps, with NaNO2/HCl afforded IV.HCL (X = NO) in 82% yield. Compds. I, II, III, etc., donate, transfer or release nitric oxide or elevate levels of endogenous endothelium-derived relaxing factor, and are useful for treatment of sexual dysfunctions in males and females. In erectile response of anesthetized rabbits (2.5 kg), S-nitrosoglutathione, e.g., prepared from glutathione and NaNO2/HCl, at 500 μg dosage was able to induce near maximal response relative to the standard dose of pap/phent/PGE1.

ST quinazoline nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; yohimbine deriv nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; glutathione deriv nitrosated nitrosylated prepn alpha adrenergic receptor antagonist; sexual dysfunction treatment nitrosated nitrosylated quinazoline yohimbine deriv; endothelium derived relaxing factor elevation nitrosated nitrosylated quinazoline

IT Thiols (organic), biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(S-nitroso, donates, transfers or releases nitric oxide; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Heart, disease
(angina pectoris, treatment of Printzmetal; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Prostate gland, disease
(benign hyperplasia; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Hyperplasia
(benign prostatic; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Ion channel blockers
(calcium, compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Mental disorder
(cognitive; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Dopamine agonists
Opioid antagonists
(compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Prostaglandins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Nervous system, disease
(degeneration; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Cognition
Sexual behavior
(disorder; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ergot, compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Heart, disease
(failure; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Alkanes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(halo, nitrated or nitrosylated derivs.; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Sexual behavior
(impotence, treatment of; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Bladder, disease
(incontinence; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Drug delivery systems
(injections, intracavernosal; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Alcohols, biological studies
Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nitrated or nitrosylated derivs.; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
IT Amines, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nitrated or nitrosylated; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(oral; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Ion channel openers
(potassium, compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Antianginal agents
Antihypertensives
Glaucoma (disease)
Human
Hypertension
(preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Anesthesia
(reversing the state of; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Blood vessel, disease
(spasm; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(transdermal; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Drug delivery systems
(transurethral; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Bladder
(treatment of overactive; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT Adrenoceptor antagonists
(β-, compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 116243-73-3, Endothelin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with antagonist of; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 9025-82-5, Phosphodiesterase
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with inhibitors of; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 58-61-7, Adenosine, biological studies 749-02-0, Spiperone 19794-93-5, Trazodone 21102-95-4, BMY 7378 37221-79-7, Vasoactive intestinal peptide 57368-81-7, SNAP 1069 77472-95-8, Chloroethylclonidine 89197-32-0, Efaroxan 157066-76-7, SNAP 5089 160970-54-7, KMD 3213 169505-93-5, RS 17053 179388-65-9, AH 11110A
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 56-85-9, Glutamine, biological studies 70-26-8, Ornithine 74-79-3D, L-Arginine, nitrated or nitrosylated derivs. 156-86-5D, L-Homoarginine, nitrated or nitrosylated derivs. 372-75-8, Citrulline 51209-75-7, S-Nitroso-cysteine 53054-07-2D, Nω-Hydroxy-L-arginine, nitrated or nitrosylated derivs. 56577-02-7, s-Nitroso-N-acetylcysteine 79032-48-7, S-Nitroso-N-acetylpenicillamine 122130-63-6, S-Nitroso-captopril 139427-42-2, S-Nitroso-homocysteine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(donates, transfers or releases nitric oxide; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 10102-43-9, Nitric oxide, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(donations, transfer or release of; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 1607-17-6P 23695-65-0P, Adamantan-2-thione 35231-36-8P 50746-09-3P, 3-Methyl-3-sulfanylbutyl acetate 154741-21-6P 183236-36-4P 194596-78-6P 194596-88-8P 194596-93-5P 194596-95-7P 194596-99-1P 194597-01-8P 194597-04-1P 194597-08-5P 194597-11-0P, N-[2-[4-(2-Furylcarbonyl)piperazinyl]-6,7-dimethoxyquinazolin-4-yl]-3-methyl-3-sulfanylbutanamide 194597-16-5P 194597-17-6P 194597-19-8P 194597-20-1P 194597-31-4P 251369-36-5P 251369-37-6P 251369-38-7P 251369-39-8P 260267-95-6P 260267-99-0P 260268-00-6P 260268-02-8P 260268-03-9P 260268-04-0P 260268-05-1P 260268-06-2P 260268-07-3P 260268-08-4P 260268-10-8P 260268-14-2P 260268-15-3P 260268-16-4P, 2-Methyl-1-piperazinyl-propan-2-thiol 260268-18-6P 260268-20-0P, 2-[2-[n-(2-Methyl-2-sulfanylpropyl)carbamoyl]phenyl]benzoic acid 260268-21-1P 260268-22-2P 260268-23-3P 260268-24-4P 260268-25-5P 260268-26-6P 464885-33-4P 464885-35-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 125978-95-2, Nitric oxide synthase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 50-53-3D, Chlorpromazine, nitrated or nitrosylated derivs. 50-60-2D, Phentolamine, nitrated or nitrosylated derivs. 51-50-3D, Dibenamine, nitrated or nitrosylated derivs. 52-86-8D, Haloperidol, nitrated or nitrosylated derivs. 54-32-0D, Moxisylyte, nitrated or nitrosylated derivs. 59-96-1D, Phenoxybenzamine, nitrated or nitrosylated derivs. 59-98-3D, Tolazoline, nitrated or nitrosylated derivs. 84-37-7D, Pseudoyohimbine, nitrated or nitrosylated derivs. 92-84-2D, Phenothiazine, nitrated or nitrosylated derivs. 110-85-0D, Piperazine, nitrated or nitrosylated derivs. 110-89-4D, Piperidine, nitrated or nitrosylated derivs. 120-72-9D, Indole, nitrated or nitrosylated derivs. 131-03-3D, Rauwolscine, nitrated or nitrosylated derivs. 253-82-7D, Quinazoline, nitrated or nitrosylated derivs. 483-04-5D, Raubasine, nitrated or nitrosylated derivs. 483-09-0D, Epi-3 α-yohimbine, nitrated or nitrosylated derivs. 486-04-4D, Corynathine, nitrated or nitrosylated derivs. 504-75-6D, Imidazoline, nitrated or nitrosylated derivs. 511-08-0D, Ergocristine, nitrated or nitrosylated derivs. 511-09-1D, Ergocryptine, nitrated or nitrosylated derivs. 523-13-7D, Yohimbol, nitrated or nitrosylated derivs. 549-84-8D, β-Yohimbine, nitrated or nitrosylated derivs. 564-36-3D, Ergocornine, nitrated or nitrosylated derivs. 613-67-2D, WB 4101, nitrated or nitrosylated derivs. 642-17-1D, Akuammigine, nitrated or nitrosylated derivs. 2671-50-3D, Apoyohimbine, nitrated or nitrosylated derivs. 4287-19-8D, Phenoxypropanolamine, nitrated or nitrosylated derivs. 6474-90-4D, Tetrahydroalstonine, nitrated or nitrosylated derivs. 8006-25-5D, Ergotoxine, nitrated or nitrosylated derivs. 19216-56-9D, Prazosin, nitrated or nitrosylated derivs. 23210-56-2D, Ifenprodil, nitrated or nitrosylated derivs. 26844-12-2D, Indoramin, nitrated or nitrosylated derivs. 34661-75-1D, Urapidil, nitrated or nitrosylated derivs. 34661-85-3D, 5-Methylurapidil, nitrated or nitrosylated derivs. 35795-16-5D, Trimazosin, nitrated or nitrosylated derivs. 36894-69-6D, Labetalol, nitrated or nitrosylated derivs. 40077-13-2D, BE 2254, nitrated or nitrosylated derivs. 41928-02-3D, 10-Hydroxy-yohimbine, nitrated or nitrosylated derivs. 57149-07-2D, Naftopil, nitrated or nitrosylated derivs. 57262-94-9D, Setiptiline, nitrated or nitrosylated derivs. 63590-64-7D, Terazosin, nitrated or nitrosylated derivs. 67339-62-2D, ARC 239, nitrated or nitrosylated derivs. 71620-89-8D, Reboxitine, nitrated or nitrosylated derivs. 72956-09-3D, Carvedilol, nitrated or nitrosylated derivs. 74050-98-9D, Ketanserin, nitrated or nitrosylated derivs. 74191-85-8D, Doxazosin, nitrated or nitrosylated derivs. 79944-58-4D, Idazoxan, nitrated or nitrosylated derivs. 80755-51-7D, Bunazosin, nitrated or nitrosylated derivs. 81403-80-7D, Alfuzosin, nitrated or nitrosylated derivs. 85650-52-8D, Mirtazipine, nitrated or nitrosylated derivs. 90402-40-7D, Abanoquil, nitrated or nitrosylated derivs. 90880-94-7, Endothelium-derived relaxing factor

90961-53-8D, Tedisamil, nitrated or nitrosylated derivs. 92642-97-2D, Benoxathian, nitrated or nitrosylated derivs. 102575-24-6D, RX 821002, nitrated or nitrosylated derivs. 102669-89-6D, Saterinone, nitrated or nitrosylated derivs. 103377-41-9D, Monatepil, nitrated or nitrosylated derivs. 104054-27-5D, Atipamezole, nitrated or nitrosylated derivs. 106133-20-4D, Tamsulosin, nitrated or nitrosylated derivs. 110706-39-3D, BRL 44409, nitrated or nitrosylated derivs. 113165-32-5D, Niguldipine, nitrated or nitrosylated derivs. 115219-10-8D, BAM 1303, nitrated or nitrosylated derivs. 118343-19-4D, BRL 44408, nitrated or nitrosylated derivs. 119905-05-4D, Delequamine, nitrated or nitrosylated derivs. 122830-14-2D, Deriglidole, nitrated or nitrosylated derivs. 140405-13-6D, 11-Hydroxy-yohimbine, nitrated or nitrosylated derivs. 152735-23-4D, SB 216469, nitrated or nitrosylated derivs. 194674-08-3D, HU 723, nitrated or nitrosylated derivs. 194674-19-6D, SL 89.0591, nitrated or nitrosylated derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

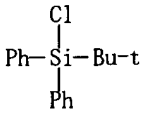
IT 54-32-0 70-18-8, reactions 73-05-2 77-92-9, Citric acid, reactions 100-51-6, Benzyl alcohol, reactions 108-30-5, Succinic anhydride, reactions 108-55-4, Glutaric anhydride 110-15-6, Succinic acid, reactions 110-85-0, Piperazine, reactions 110-87-2, Dihydropyran 115-77-5, Pentaerythritol, reactions 146-48-5, Yohimbine 540-88-5, tert-Butyl acetate 700-58-3, Adamantan-2-one 1126-09-6, Ethyl isonipecotate 3772-13-2, 2,2-Dimethylthiirane 4480-83-5, Diglycolic anhydride 6050-13-1, Dibenz[c,e]oxepin-5,7-dione 19216-56-9, 4-(4-Amino-6,7-dimethoxyquinazolin-2-yl)piperazinyl 2-furyl ketone 24424-99-5, Di-tert-butyldicarbonate 32047-53-3, 1-Amino-2-methylpropane-2-thiol hydrochloride 34300-94-2, 3-Methyl-3-sulfanylbutan-1-ol 39981-47-0, 1-Methylamino-2-methylpropan-2-thiol hydrochloride 40077-13-2 54322-10-0 57149-07-2, 3-[4-(2-Methoxyphenyl)piperazinyl]-1-naphthyloxypropan-2-ol **58479-61-1** 59681-08-2 59729-24-7, 3-Methyl-3-sulfanylbutanoic acid 61040-78-6, 2,4,6-Trimethoxybenzyl alcohol 260268-09-5 260268-11-9 260268-12-0 260268-17-5 464885-34-5
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reactant; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT 110-17-8P, Fumaric acid, preparation 260267-68-3P 260267-71-8P 260267-75-2P 260267-77-4P 260267-80-9P 260267-87-6P 260268-19-7P 464885-30-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compound; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

IT **57564-91-7P** 251369-32-1P 251369-33-2P 260267-69-4P 260267-72-9P 260267-76-3P 260267-78-5P 260267-81-0P 260267-85-4P 260267-88-7P 260267-89-8P 260268-01-7P 260268-13-1P 428520-29-0P 428520-30-3P 464885-27-6P 464885-28-7P 464885-29-8P 464885-31-2P 464885-32-3P
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(target compound; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

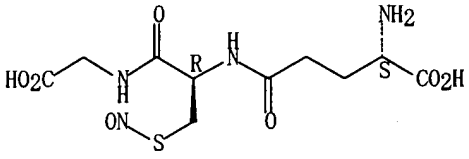
IT **58479-61-1**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reactant; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

RN 58479-61-1 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)diphenyl- (9CI) (CA INDEX NAME)



IT **57564-91-7P**
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)** ; USES (Uses)
(target compound; preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)
RN 57564-91-7 HCAPLUS
CN Glycine, L-γ-glutamyl-S-nitroso-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2002:345946** HCAPLUS
DN 136:341005
ED Entered STN: 09 May 2002
TI Preparation of cyclic peptide antifungal agents
IN Burkhardt, Frederick J.; Debono, Manuel; Nissen, Jeffrey S.; Turner, William W., Jr.
PA Eli Lilly and Company, USA
SO U.S., 33 pp., Cont.-in-part of U.S. 5,965,525.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K038-00
INCL 514011000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6384013	B1	20020507	US 1999-291900	19990414 <--
	ZA 9301830	A	19940915	ZA 1993-1830	19930315 <--
	IL 122315	A1	20020310	IL 1993-122315	19930315 <--
	JP 2002226500	A2	20020814	JP 2002-3969	19930318 <--
	JP 3520071	B2	20040419		
	US 5965525	A	19991012	US 1995-449056	19950524 <--
	US 5932543	A	19990803	US 1997-873480	19970612 <--
	US 6743777	B1	20040601	US 2002-87088	20020227 <--
	US 2003220236	A1	20031127	US 2003-378004	20030227 <--
	JP 2004115540	A2	20040415	JP 2003-412638	20031210 <--
PRAI	US 1992-854117	B2	19920319 <--		
	US 1992-992390	B2	19921216 <--		
	US 1993-32228	B3	19930317 <--		
	US 1995-449056	A2	19950524 <--		
	IL 1993-105048	A3	19930315 <--		
	JP 1993-58529	A3	19930318 <--		
	US 1999-291900	A1	19990414		
	US 2002-87088	B1	20020227		

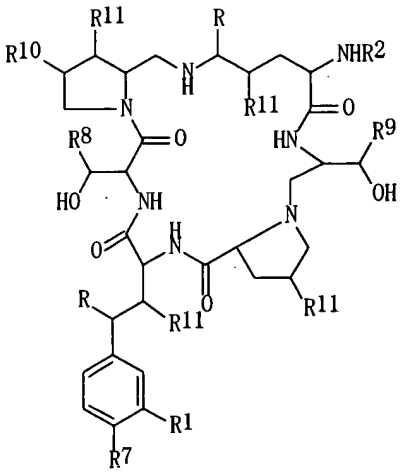
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6384013	ICM	A61K038-00
	INCL	514011000

US 6384013	NCL	514/011.000; 514/002.000; 514/009.000; 530/317.000; 530/329.000	
	ECLA	C07K007/56	<--
US 5965525	NCL	514/011.000; 530/310.000; 530/317.000	
	ECLA	C07K007/56	<--
US 5932543	NCL	514/011.000; 514/002.000; 514/009.000; 530/317.000	
	ECLA	C07K007/56	<--
US 6743777	NCL	514/011.000; 514/002.000; 514/009.000; 530/317.000; 530/329.000	
	ECLA	C07K007/56	<--
US 2003220236	NCL	514/009.000; 530/317.000	
	ECLA	C07K007/56	<--
JP 2004115540	FTERM	4C084/AA02; 4C084/AA07; 4C084/BA01; 4C084/BA17; 4C084/CA59; 4C084/DA43; 4C084/NA14; 4C084/ZB352; 4H011/AA02; 4H011/BA01; 4H011/BB09; 4H045/AA10; 4H045/BA13; 4H045/BA34; 4H045/EA29; 4H045/FA20; 4H050/AA03; 4H050/AB29	<--

OS MARPAT 136:341005

GI



I

AB Acyl cyclic peptides I (R, R11 = H, OH; R1 = H, OH, OSO3H; R2 = an acyl side chain; R7 = R1, phosphonooxy; R8 = H, Me, H2NCOCH2; R9, R10 = Me, H) were prepared as fungicides. Thus, I [R = R11 = OH, R1 = H, R2 = p-(pentyoxy)-p-terphenyl, R8 = R9 = R10 = Me, R7 = phosphonooxy] was prepared in chiral form (echinocandin B derivative) by N-acylation and selective O-phosphonylation. Compds. I are especially active against the infectious fungi Candida albicans and Candida parasilosis and inhibit the growth of Pneumocystis carinii, the causative organism of pneumocystis pneumonia in AIDs sufferers.

ST peptide cyclic prepn fungicide; echinocandin analog prepn fungicide

IT **Peptides, preparation**
RL: BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(cyclic; preparation of cyclic peptides as fungicides)

IT Fungicides
(preparation of cyclic peptides as fungicides)

IT 158935-94-5P 158935-95-6P 158935-96-7P 158935-97-8P 158935-98-9P
158935-99-0P 158936-00-6P 158936-01-7P 158936-02-8P 158936-03-9P
158936-04-0P 158936-05-1P 158936-06-2P 158936-07-3P 158936-08-4P
158936-09-5P 158936-10-8P 158936-11-9P 158936-12-0P 158936-13-1P
158936-14-2P 158936-15-3P 158936-16-4P 158936-17-5P 158936-18-6P
158936-19-7P 158936-20-0P 158936-21-1P 158936-22-2P 158936-23-3P
158936-24-4P 158936-25-5P 158936-26-6P 158936-27-7P 158936-28-8P
158936-29-9P 158936-30-2P 158936-31-3P 158936-32-4P 158936-33-5P

158936-34-6P 158936-35-7P 158936-36-8P 158936-37-9P 158936-38-0P
158936-39-1P 158936-40-4P 158936-41-5P 158936-42-6P 158936-43-7P
158936-44-8P 158936-45-9P 158936-46-0P 158936-47-1P 158936-48-2P
158936-49-3P 158936-50-6P 158936-51-7P 158936-52-8P 158936-53-9P
158936-54-0P 158936-55-1P 158936-56-2P 158936-57-3P 158936-58-4P
158936-59-5P 158936-60-8P 158936-61-9P 158936-62-0P 158936-63-1P
158936-64-2P 158936-65-3P 158936-66-4P 158936-67-5P 158936-68-6P
158936-69-7P 158936-70-0P 158936-71-1P 158936-72-2P 158936-73-3P
158936-74-4P 158936-75-5P 158936-76-6P 158936-77-7P 158936-78-8P
158936-79-9P 158936-80-2P 158936-81-3P 158936-82-4P 158936-83-5P
158936-84-6P 158936-85-7P 158936-86-8P 158936-87-9P 158936-88-0P
158936-89-1P 158936-90-4P 158936-91-5P 159000-67-6P 166663-26-9P
166663-28-1P 183211-59-8P 183211-60-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic peptides as fungicides)

IT 107-08-4, 1-Iodopropane 107-82-4 110-53-2, 1-Bromopentane 111-66-0, 1-Octene 536-74-3 540-38-5, 4-Iodophenol 542-69-8, 1-Iodobutane 619-44-3, Methyl 4-iodobenzoate 629-05-0, 1-Octyne 638-45-9, 1-Iodohexane 693-02-7, 1-Hexyne 764-93-2, 1-Decyne **1066-54-2** 1647-26-3, 1-Bromo-2-cyclohexylethane 2038-91-7 2346-07-8 2527-99-3, Methyl 5-bromofuran-2-carboxylate **2916-68-9**, 2-(Trimethylsilyl)ethanol 3034-86-4 6661-54-7 13295-53-9, Cyclobutylmethyl tosylate 21856-53-1, Cyclopentylmethyl tosylate 29558-77-8 60834-63-1 62124-28-1 63619-51-2 63619-63-6 63619-64-7 79404-91-4, Cilofungin 79411-15-7 108366-80-9 141430-54-8 158407-15-9 158937-74-7 158937-75-8 158937-76-9 158937-77-0 158937-78-1 158937-79-2 158937-80-5 158937-81-6 158937-82-7 158937-83-8 158937-84-9 158937-85-0
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of cyclic peptides as fungicides)

IT 166663-25-8P 213669-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic peptides as fungicides)

IT 5731-15-7P 25739-23-5P 41424-11-7P 42497-80-3P 52364-71-3P
52709-87-2P 59748-14-0P 59748-15-1P 59748-16-2P 75867-41-3P
82175-72-2P 89752-76-1P 117802-43-4P 117802-44-5P 118788-02-6P
140714-91-6P 144493-15-2P 144540-61-4P 158936-92-6P 158936-93-7P
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158937-09-8P 158937-10-1P 158937-11-2P 158937-12-3P 158937-13-4P
158937-14-5P 158937-15-6P 158937-16-7P 158937-17-8P 158937-18-9P
158937-19-0P 158937-20-3P 158937-21-4P 158937-22-5P 158937-23-6P
158937-24-7P 158937-25-8P 158937-26-9P 158937-27-0P 158937-28-1P
158937-29-2P 158937-30-5P 158937-31-6P 158937-32-7P 158937-33-8P
158937-34-9P 158937-35-0P 158937-36-1P 158937-37-2P 158937-38-3P
158937-39-4P 158937-40-7P 158937-41-8P 158937-42-9P 158937-43-0P
158937-44-1P 158937-45-2P 158937-46-3P 158937-47-4P 158937-48-5P
158937-49-6P 158937-50-9P 158937-51-0P 158937-52-1P 158937-53-2P
158937-54-3P 158937-55-4P 158937-56-5P 158937-57-6P 158937-58-7P
158937-59-8P 158937-60-1P 158937-61-2P 158937-62-3P 158937-63-4P
158937-64-5P 158937-65-6P 158937-66-7P 158937-67-8P 158937-68-9P
158937-69-0P 158937-70-3P 158937-71-4P 158937-72-5P 158937-73-6P
158937-86-1P 158937-87-2P 158937-88-3P 158937-89-4P 158937-90-7P
158937-91-8P 158937-92-9P 158937-93-0P 158937-94-1P 158937-95-2P
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158938-06-8P 158938-07-9P 158938-08-0P 158938-09-1P 158938-10-4P
158938-11-5P 158938-12-6P 158938-13-7P 158938-14-8P 158938-15-9P
158938-16-0P 158938-17-1P 160442-19-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclic peptides as fungicides)

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Abbott; US 4293482 A 1981 HCAPLUS
(2) Abbott; US 4304716 A 1981 HCAPLUS
(3) Anon; DE 2803581 1979 HCAPLUS

(4) Anon; EP 0365324 1990 HCAPLUS
(5) Anon; EP 359529 1990 HCAPLUS
(6) Anon; GB 2241956 1991 HCAPLUS
(7) Anon; GB 2242194 1991 HCAPLUS
(8) Anon; EP 447186 1991 HCAPLUS
(9) Anon; EP 448343 1991 HCAPLUS
(10) Anon; EP 448353 1991 HCAPLUS
(11) Anon; EP 448354 1991 HCAPLUS
(12) Anon; EP 448355 1991 HCAPLUS
(13) Anon; EP 448356 1991 HCAPLUS
(14) Anon; EP 462531 1991 HCAPLUS
(15) Anon; EP 486011 1992 HCAPLUS
(16) Anon; EP 503960 1992 HCAPLUS
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(18) Anon; WO 95271074 1995
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(20) Balkovec; US 5541160 A 1996 HCAPLUS
(21) Balkovec; US 5741775 A 1998 HCAPLUS
(22) Borromeo; US 5646111 A 1997 HCAPLUS
(23) Borromeo; US 5786325 A 1998 HCAPLUS
(24) Debono; US 4293489 A 1981 HCAPLUS
(25) Iwamoto; US 5376634 A 1994 HCAPLUS
(26) Jamison; US 5652213 A 1997 HCAPLUS
(27) Lagrandeur; US 5629290 A 1997 HCAPLUS
(28) Malamas; US 4927831 A 1990 HCAPLUS
(29) Rodriquez; US 5629289 A 1997 HCAPLUS
(30) Schmatz; US 5166135 A 1992 HCAPLUS

IT **1066-54-2 2916-68-9**, 2-(Trimethylsilyl)ethanol
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of cyclic peptides as fungicides)
RN 1066-54-2 HCAPLUS
CN Silane, ethynyltrimethyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$Me_3Si-C\equiv CH$

RN 2916-68-9 HCAPLUS
CN Ethanol, 2-(trimethylsilyl)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$Me_3Si-CH_2-CH_2-OH$

L40 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2002:182118** HCAPLUS
DN 136:217004
ED Entered STN: 14 Mar 2002
TI Preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors
IN Johnson, David A.; Sowell, C. Gregory
PA Corixa Corporation, USA
SO U.S., 37 pp., Cont.-in-part of U.S. 6,113,918.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K045-00
ICS C07H001-00; C07H011-04; C07H013-02
INCL 424278100
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 15, 63
FAN.CNT 10

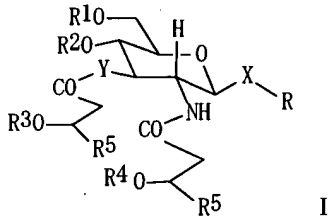
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6355257	B1	20020312	US 1998-74720	19980507 <--
	US 6113918	A	20000905	US 1997-853826	19970508 <--
	ES 2224397	T3	20050301	ES 1998-922138	19980507 <--
PRAI	US 1997-853826	A2	19970508 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 6355257	ICM	A61K045-00	
	ICS	C07H001-00; C07H011-04; C07H013-02	
	INCL	424278100	
US 6355257	NCL	424/278.100; 536/001.110; 536/117.000; 536/119.000	
	ECLA	C07H015/04D	<--
US 6113918	NCL	424/278.100; 536/001.110; 536/018.400; 536/117.000; 536/119.000	
	ECLA	C07H015/04D	<--

OS MARPAT 136:217004

GI



I

AB Aminoalkyl glucosamine phosphate compds. I (R = substituted alkyl; R1, R2 = H, phosphono; R3, R4 = fatty acid residue; R5 = undecyl; X = O, S; Y = O, NH) were prepared as adjuvants and immunoeffectors. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosamine ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immunoeffectors are also disclosed. Thus, N-carboxymethyl-N-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-O-phosphono-2-[(R)-3-decanoyloxytetradecanoylamino]-3-O-[(R)-3-decanoyloxytetradecanoyl]-β-D-glucopyranoside triethylammonium salt was prepared and tested as adjuvant and immunoeffector for anti-tetanus and anti-influenza activities.

ST virucide vaccine aminoalkyl glucosamine phosphate prepn; cytokine prodn vaccine aminoalkyl glucosamine phosphate; vaccine antiinfluenza aminoalkyl glucosamine phosphate prepn; immunization antitetanus aminoalkyl glucosamine phosphate prepn; antitetanus IgG aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn immunoeffector adjuvant

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Immunostimulants
(adjuvants; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antiviral agents
Immunization
Vaccines
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Cytokines
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT **Glycosides**
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 109361-17-3
RL: CAT (Catalyst use); USES (Uses)
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 216013-09-1P 216013-19-3P 216013-24-0P 216013-34-2P 216013-41-1P
216013-47-7P 216013-52-4P 216013-59-1P 216013-65-9P 216013-73-9P
216013-82-0P 216013-88-6P 216013-97-7P 216014-06-1P 216014-15-2P
216014-21-0P 216014-29-8P 216014-37-8P 216014-46-9P 216014-50-5P
216014-56-1P 216014-63-0P 216014-69-6P 216014-76-5P 216014-82-3P
216014-88-9P 216014-92-5P 216014-98-1P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 76062-98-1P 87357-76-4P 91681-56-0P 122105-45-7P 122210-01-9P
216013-02-4P 216013-03-5P 216013-05-7P 216013-06-8P 216013-07-9P
216013-10-4P **216013-11-5P** 216013-12-6P 216013-13-7P
216013-14-8P 216013-15-9P 216013-16-0P 216013-20-6P 216013-21-7P
216013-22-8P 216013-26-2P 216013-27-3P 216013-28-4P 216013-29-5P
216013-30-8P 216013-31-9P 216013-32-0P 216013-35-3P 216013-36-4P
216013-37-5P 216013-38-6P 216013-42-2P 216013-43-3P 216013-44-4P
216013-45-5P 216013-49-9P 216013-50-2P 216013-53-5P 216013-54-6P
216013-55-7P 216013-56-8P 216013-60-4P 216013-61-5P 216013-62-6P
216013-63-7P 216013-66-0P 216013-67-1P 216013-69-3P 216013-70-6P
216013-71-7P 216013-75-1P 216013-77-3P 216013-78-4P 216013-79-5P
216013-80-8P 216013-83-1P 216013-85-3P 216013-86-4P 216013-89-7P
216013-90-0P 216013-91-1P 216013-92-2P 216013-93-3P
216013-95-5P 216013-98-8P **216013-99-9P** 216014-00-5P
216014-01-6P 216014-02-7P 216014-04-9P 216014-07-2P
216014-08-3P 216014-09-4P 216014-11-8P 216014-12-9P
216014-13-0P 216014-16-3P 216014-17-4P 216014-19-6P 216014-22-1P
216014-23-2P 216014-24-3P 216014-25-4P 216014-26-5P
216014-27-6P 216014-30-1P **216014-31-2P** 216014-32-3P
216014-33-4P 216014-34-5P 216014-35-6P 216014-38-9P
216014-39-0P 216014-40-3P 216014-41-4P 216014-42-5P
216014-44-7P 216014-47-0P 216014-48-1P 216014-52-7P 216014-53-8P
216014-54-9P 216014-57-2P 216014-59-4P 216014-60-7P 216014-61-8P
216014-65-2P 216014-66-3P 216014-67-4P 216014-72-1P 216014-73-2P
216014-74-3P 216014-77-6P 216014-78-7P 216014-80-1P 216014-83-4P
216014-84-5P 216014-85-6P 216014-89-0P 216014-90-3P 216014-93-6P
216014-94-7P 216014-95-8P 216014-99-2P 216015-00-8P 216015-01-9P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 66-84-2, D-Glucosamine hydrochloride 99-73-0, 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8, Undecanoic acid 112-64-1, Myristoyl chloride 764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester 2528-61-2, Heptanoyl chloride 22348-97-6, Methyl 3-oxotetradecanoate 58577-87-0 65414-74-6, L-Serinamide hydrochloride 66270-36-8 66937-71-1 91578-89-1 **122078-72-2** 133099-79-3, D-Serine benzyl ester 142982-11-4 166193-98-2 216013-74-0 216014-70-9
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

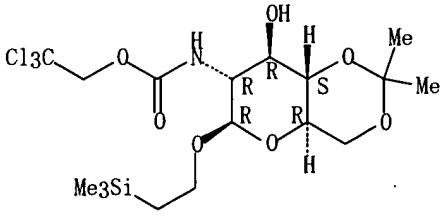
(1) Bulusu; Cyclic Analogues of Lipid A: Synthesis and Biological Activities 1992, P3463 HCAPLUS
(2) Eustache; Charbohydrate Research 1994, V251, P251 HCAPLUS
(3) Ikeda; Chem Pharm Bull 1993, V41(10), P1879 HCAPLUS
(4) Ikeda; Synthesis of Biologically Active N-Acylated L-serine Containing Glucosamine-4-Phosphate Derivatives of Lipid A 1993, P1879 HCAPLUS
(5) Miyajima; Chem Pharm Bull 1996, V44(12), P2268
(6) Miyajima; Lipid A and Related Compounds XXXI 1996, P2268

(7) Shimizu; Antitumor Activity and Biological Effects of Chemically Synthesized Monosaccharide Analogues of Lipid A in Mice 1985, P4621 HCAPLUS
(8) Shimizu; Biological Activities and Antitumor Effects of Synthetic Lipid A Analogs Linked N-Acylated Serine 1995, P425 HCAPLUS
(9) Shimizu; Biological Activities of Chemically Synthesized N-acylated Serine-linked Lipid A Analog in Mice 1994, P659 HCAPLUS

IT **216013-10-4P 216013-11-5P 216013-90-0P**
216013-99-9P 216014-08-3P 216014-23-2P
216014-31-2P 216014-39-0P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

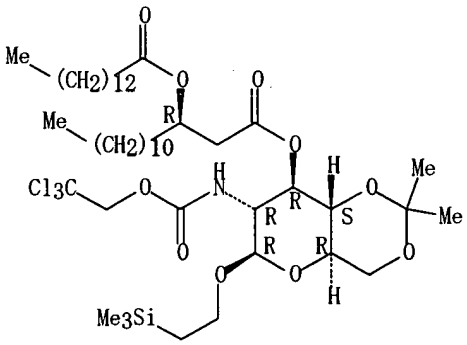
RN 216013-10-4 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



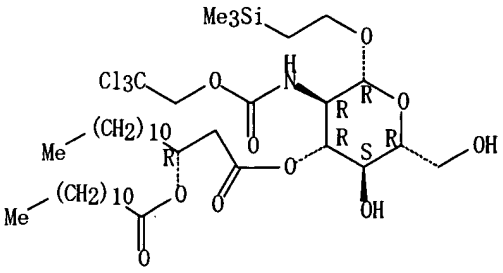
RN 216013-11-5 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-4,6-O-(1-methylethylidene)-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



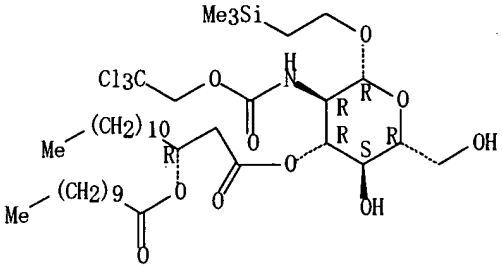
RN 216013-90-0 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxododecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



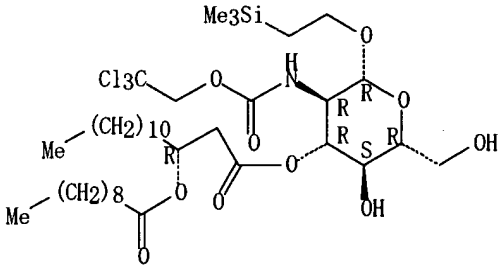
RN 216013-99-9 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxoundecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



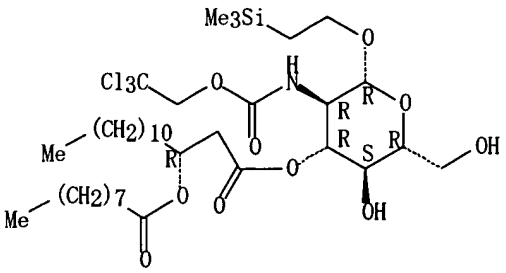
RN 216014-08-3 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxodecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



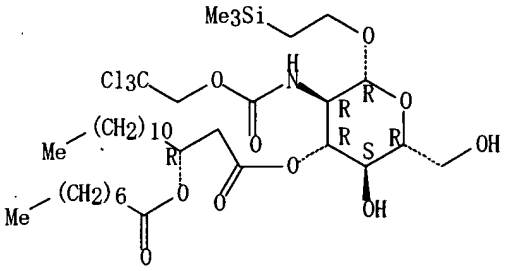
RN 216014-23-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxononyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



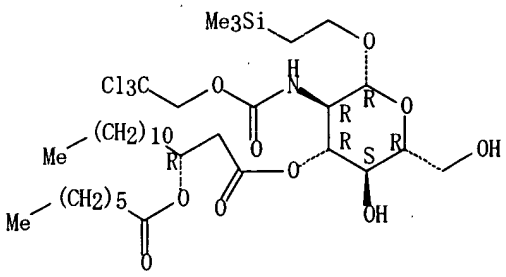
RN 216014-31-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxooctyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



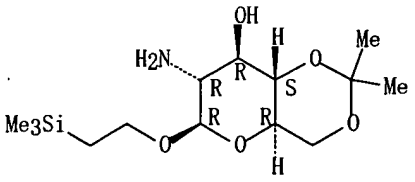
RN 216014-39-0 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3-[(3R)-3-[(1-oxoheptyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **122078-72-2**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)
RN 122078-72-2 HCAPLUS
CN β-D-Glucopyranoside, 2-(trimethylsilyl)ethyl 2-amino-2-deoxy-4,6-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2000:874218** HCAPLUS
DN 134:29709
ED Entered STN: 14 Dec 2000
TI Preparation and applications of tritioacetylating reagents
IN Saljoughian, Manoucher; Morimoto, Hiromi; Williams, Philip G.; Than, Chit
PA The Regents of the University of California, USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07D207-40
INCL 548545000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 27
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6160128	A	20001212	US 1998-177882	19981023 <--
PRAI US 1997-68398P	P	19971222 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6160128	ICM	C07D207-40
	INCL	548545000
US 6160128	NCL	548/545.000; 548/473.000; 548/475.000
	ECLA	C07D207/40B1; C07D209/48D5A2; C07D221/14A <--

OS CASREACT 134:29709
AB Novel acetylating and tritioacetylating reagents, e.g., N-tritioacetoxyphthalimide, -succinimide, and -naphthalimide, were and applied to the preparation of nonlabeled and radiolabeled organic compds. The invention also concerns synthesis of nonlabeled acetylated and tritioacetylated organic compds. from precursors containing a free amino, thiol, or hydroxy group. Peptides ACTH and neurotensin and CoA were among the compds. tritioacetylated.
ST tritioacetylating reagent prepn application; ACTH tritioacetylation; neurotensin tritioacetylation; CoA tritioacetylation; muramic acid tritioacetylation
IT Acylation
(tritioacetylation; preparation of tritioacetylating reagents)
IT 185244-40-0P
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tritioacetylating reagents)
IT **39379-15-2DP**, Neurotensin, tritioacetyl derivative 312296-07-4P
RL: **IMF (Industrial manufacture)**; PRP (Properties); **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of tritioacetylating reagents)
IT 83677-16-1P 312295-99-1P 312296-06-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of tritioacetylating reagents)
IT 9002-60-2D, Acth, tritioacetyl derivative
RL: PRP (Properties)
(preparation of tritioacetylating reagents)
IT 185244-37-5P 185244-38-6P 185244-39-7P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tritioacetylating reagents)

IT 52-90-4, L-Cysteine, reactions 62-49-7, Choline 64-69-7, Iodoacetic acid 100-46-9, Benzylamine, reactions 108-01-0, 2-Dimethylaminoethanol 524-38-9, n-Hydroxyphthalimide 598-21-0, Bromoacetyl bromide 1114-41-6, Muramic acid **2345-38-2**, Trimethylsilylacetic acid 6066-82-6, n-Hydroxysuccinimide 6207-89-2 7797-81-1, n-Hydroxynaphthalimide 9002-60-2, Acth, reactions 39379-15-2, Neurotensin 55672-92-9, Coenzyme a sodium salt
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of tritioacetylating reagents)
IT 72-89-9P, Acetyl coenzyme a 14464-29-0P, n-Acetoxysuccinimide 17646-20-7P 17720-64-8P, n-Acetoxyphthalimide 39028-27-8P 42014-51-7P 100873-54-9P 185244-35-3P 185244-36-4P 185244-41-1P 185244-42-2P 312296-00-7P 312296-01-8P 312296-02-9P 312296-03-0P 312296-04-1P 312296-05-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tritioacetylating reagents)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Aldrich Chemical Company; 1992 Aldrich Catalog 1992, P708
(2) Alexander, H; Journal of Medicinal Chemistry 1976, V19(11), P1279
(3) Baschang; J Labelled Compd Radiopharm 1983, V20, P691 HCAPLUS
(4) Chit, T; The Journal of Organic Chemistry 1995, V60
(5) de Groot, N; Biochemical and Biophysical Research Communications 1966, V25(1), P17 HCAPLUS
(6) Edward, G; Synthesis 1977, P277
(7) Hendrik, A; Fifteenth Northeast U S Meeting 1996
(8) Hiromi, M; Ninth Central U S Meeting 1996
(9) Lindsay, D; Biochem J 1971, V121, P737 MEDLINE
(10) Manouchehr, S; 212th ACS National Meeting 1996
(11) Manouchehr, S; Final Program and Abstracts 1996, PA17
(12) Manouchehr, S; The Journal of Organic Chemistry 1996, V61(26), P9625
IT **39379-15-2DP**, Neurotensin, tritioacetyl derivative
RL: **IMF (Industrial manufacture)**; PRP (Properties); **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of tritioacetylating reagents)
RN 39379-15-2 HCAPLUS
CN Neurotensin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **2345-38-2**, Trimethylsilylacetic acid
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of tritioacetylating reagents)
RN 2345-38-2 HCAPLUS
CN Acetic acid, (trimethylsilyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)

$Me_3Si-CH_2-CO_2H$

L40 ANSWER 10 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2000:508194** HCAPLUS
DN 133:120573
ED Entered STN: 27 Jul 2000
TI Preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism
IN Larner, Joseph; Price, John; Piccariello, Thomas; Huang, Laura
PA The University of Virginia Patent Foundation, USA
SO U.S., 15 pp., Cont.-in-part of U. S. 5,652,221.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-70
ICS C07H015-00
INCL 514035000
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1
FAN.CNT 3
PATENT NO. KIND DATE APPLICATION NO. DATE

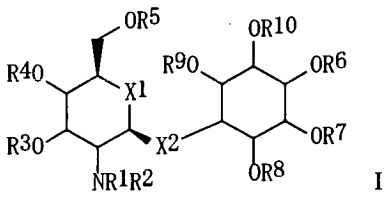
PI	US 6093697	A	20000725	US 1997-902338	19970729 <--
	US 5652221	A	19970729	US 1994-335015	19941107 <--
	CA 2180162	AA	19960517	CA 1995-2180162	19951107 <--
	CA 2297755	AA	19990211	CA 1998-2297755	19980729 <--
	WO 9906421	A1	19990211	WO 1998-US15383	19980729 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9885872	A1	19990222	AU 1998-85872	19980729 <--
	EP 1000077	A1	20000517	EP 1998-937080	19980729 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001512130	T2	20010821	JP 2000-505177	19980729 <--
	TW 467908	B	20011211	TW 1998-87112488	19981023 <--
PRAI	US 1994-335015	A2	19941107 <--		
	US 1997-902338	A	19970729 <--		
	WO 1998-US15383	W	19980729 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6093697	ICM	A61K031-70
	ICS	C07H015-00
	INCL	514035000
US 6093697	NCL	514/035.000; 514/025.000; 514/053.000; 514/062.000; 514/866.000; 536/017.200
	ECLA	C07H015/207; C07H017/04 <--
US 5652221	NCL	514/035.000; 514/025.000; 514/062.000; 514/866.000; 536/017.200 <--
WO 9906421	ECLA	C07H015/207 <--

OS MARPAT 133:120573

GI



I

AB Compds. are disclosed which have the formula I, wherein R1-R2 are each independently selected from the group consisting of: (a) a hydrogen atom; and (b) a lower alkyl group, straight or branched chain, having 1 to 8 carbon atoms; or R1-R2 and the nitrogen atom to which they are bonded may together form a heterocyclic group; R3-R10 are each independently selected from the group consisting of: (a) a hydrogen atom; (b) an alkyl group, straight or branched chain, having 1 to 24 carbon atoms; (c) a cycloalkyl group having 3 to 10 carbon atoms; (d) an alkenyl group, straight or branched chain, having 2 to 24 carbon atoms; (e) a cycloalkenyl group having 4 to 10 carbon atoms and one or more non-adjacent double bonds; (f) an aryl group having 6 to 10 carbon atoms; (g) an aralkyl group having 7 to 34 carbons atoms; (h) a heteroaryl group having 4 to 9 carbon atoms and at least one heteroatom selected from the group consisting of oxygen, nitrogen and sulfur; (i) a carboxyalkyl group, straight or branched chain, having 2 to 24 carbon atoms; (j) a carboxyaryl group having 7 to 34 carbon atoms; and (k) a heterocyclic group having 2 to 9 carbon atoms and at least one heteroatom selected from the group consisting of oxygen, sulfur and nitrogen; or any adjacent two of R3-R10 may together form a cycloalkyl group or heterocyclic group; and X1 and X2 are each independently selected from the group consisting of an oxygen atom, a sulfur atom and a nitrogen

atom. Pharmaceutical compns. containing these compds. and the use thereof for the treatment of disorders of glucose metabolism are also disclosed. Thus, 4'-O-[2-deoxy-2-amino-β-D-galactopyranosyl]-D-chiro-inositol was prepared and tested for its antidiabetic activity (no data).

ST deoxyaminogalactopyranosylchiroinositol prepn glucose metab disorder treatment antidiabetic; antidiabetic disaccharide aminodeoxygalactopyranosylinositol prepn glucose metab disorder treatment

IT Antidiabetic agents
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

IT **Cyclitols**
Disaccharides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

IT 179069-37-5P 285996-73-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

IT 70-34-8, 2,4-Dinitrofluorobenzene 1772-03-8, Galactosamine hydrochloride 3416-24-8, D-Glucosamine 7535-00-4, D-Galactosamine 40617-60-5 57819-56-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

IT 64449-12-3P **179069-38-6P** 179069-42-2P 219946-22-2P 219946-23-3P 219946-24-4P **219946-25-5P** 219946-27-7P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(3) Anon; EP 0052322 1982 HCAPLUS
(4) Anon; EP 0052322 1982 HCAPLUS
(5) Anon; EP 0058481 1982 HCAPLUS
(6) Anon; EP 0088046 1983 HCAPLUS
(7) Anon; EP 0088046 1983 HCAPLUS
(8) Anon; DE 3218121 1983 HCAPLUS
(9) Anon; DE 3218121 1983 HCAPLUS
(10) Anon; EP 0102324 1984 HCAPLUS
(11) Anon; EP 0102324 1984 HCAPLUS
(12) Anon; EP 0133988 1985 HCAPLUS
(13) Anon; EP 0133988 1985 HCAPLUS
(14) Anon; EP 0142641 1985 HCAPLUS
(15) Anon; EP 0142641 1985 HCAPLUS
(16) Anon; EP 0143949 1985 HCAPLUS
(17) Anon; EP 0245956 1987 HCAPLUS
(18) Anon; JP 04-28412 1992 HCAPLUS
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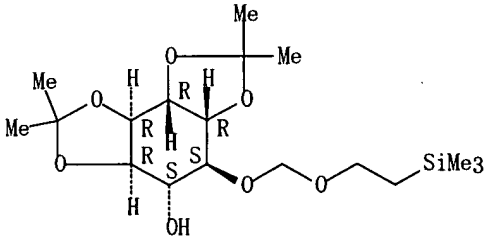
IT **179069-38-6P 219946-25-5P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of aminodeoxyglycosylinositol disaccharides as synthetic insulin mimetic for the treatment of disorders of glucose metabolism)

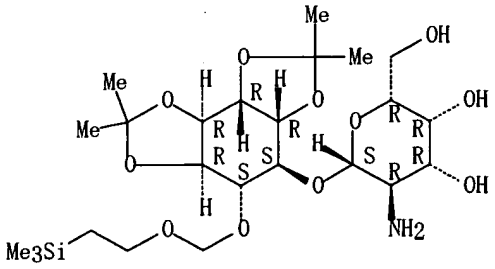
RN 179069-38-6 HCAPLUS
CN D-chiro-Inositol, 1,2:5,6-bis-O-(1-methylethylidene)-3-O-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 219946-25-5 HCAPLUS
CN D-chiro-Inositol, 3-O-(2-amino-2-deoxy-β-D-galactopyranosyl)-1,2:5,6-bis-O-(1-methylethylidene)-4-O-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 11 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2000:121638** HCAPLUS
DN 132:177252
ED Entered STN: 22 Feb 2000

TI Oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis

IN Arnold, Lyle John, Jr.; Hogrefe, Richard Isais; Reynolds, Mark Alan; Riley, Timothy Andrew; Schwartz, David Aaron; Vaghefi, Morteza Monir; Brown, Bob Dale

PA Genta Incorporated, USA

SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 154,014.
CODEN: USXXAM

DT Patent

LA English

IC ICM C07H021-04

INCL 536025300

CC 6-2 (General Biochemistry)
Section cross-reference(s): 3

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6028188	A	20000222	US 1994-342924	19941121	<--
	IL 128658	A1	20030312	IL 1994-128658	19941116	<--
	US 5792615	A	19980811	US 1997-812861	19970306	<--
	US 6060456	A	20000509	US 1997-960111	19971027	<--
PRAI	US 1993-154014	A2	19931116	<--		
	US 1993-154013	A	19931116	<--		
	US 1994-233778	A	19940426	<--		
	US 1994-238177	A	19940504	<--		
	IL 1994-111660	A3	19941116	<--		
	US 1995-481637	B1	19950607	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 6028188	ICM	C07H021-04	
	INCL	536025300	
US 6028188	NCL	536/025.300; 536/022.100; 536/024.500	
	ECLA	C07H021/00; C07H021/00C2	<--
US 5792615	NCL	435/006.000; 536/024.500; 536/025.300	
	ECLA	C07H021/00; C07H021/00C4	<--
US 6060456	NCL	514/044.000; 435/006.000; 435/091.100; 514/001.000; 536/022.100; 536/023.100; 536/024.100; 536/024.200; 536/024.300; 536/024.310; 536/024.320; 536/024.330; 536/025.300	
	ECLA	A61K048/00; C12N015/11B1; C07H021/00; C07H021/00C2	<--

OS MARPAT 132:177252

AB Oligomers having chirally pure phosphonate internucleosidyl linkages mixed with non-phosphonate internucleosidyl linkages which hybridize to RNA target sequences and methods for their preparation are provided. The oligonucleotides are prepared by linking together dimer, trimer, and/or tetramer synthons containing chiral phosphonate internucleoside linkages. Thus, several oligonucleotides with alternating phosphodiester-Rp methylphosphonate linkages were synthesized and the increased Tm and resistance to nuclease degradation in vitro and in vivo were demonstrated. One of these oligonucleotide analogs was shown to inhibit splicing/protein synthesis in a COS-7 cell model system.

ST oligonucleotide chiral phosphonate phosphodiester linked synthesis translation inhibition

IT RNA splicing
(inhibition of; oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT mRNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(oligonucleotides binding to; oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT Translation, genetic
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT **Antisense oligonucleotides**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT 259164-71-1P 259164-72-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT 168758-24-5P 168758-25-6P 168758-26-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT 2140-71-8, 2'-O-Methylguanosine 2140-72-9, 2'-O-Methylcytidine 40733-27-5 51747-24-1 **58479-61-1** 103285-22-9 114745-26-5 128192-22-3
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT 168635-65-2P 168635-66-3P 168635-68-5P 168635-69-6P 168635-71-0P 168635-72-1P 168635-73-2P 168635-74-3P 168635-75-4P 168635-77-6P 168635-78-7P 168635-79-8P 168635-80-1P 168635-81-2P 168635-82-3P 168635-83-4P 168752-52-1P 168752-53-2P 168752-54-3P 168752-55-4P 168752-56-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

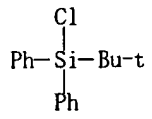
IT 243687-47-0, 1: PN: US6028188 SEQID: 1 unclaimed DNA 243687-49-2, 3: PN: US6028188 SEQID: 4 unclaimed DNA 243687-55-0, 4: PN: US6028188 SEQID: 5 unclaimed DNA 245081-48-5, PN: US5958901 SEQID: 1 unclaimed RNA 245081-49-6, PN: US5958901 SEQID: 2 unclaimed RNA 245081-50-9, PN: US5958901 SEQID: 4 unclaimed RNA 245081-51-0, PN: US5958901 SEQID: 6 unclaimed RNA 259128-15-9, 24: PN: US6028188 SEQID: 2 unclaimed DNA 259128-16-0, 2: PN: US6028188 SEQID: 3 unclaimed DNA 259128-17-1, 9: PN: US6028188 SEQID: 12 unclaimed DNA 259128-18-2 259128-19-3 259128-20-6 259128-21-7 259128-22-8 259128-23-9 259128-24-0 259128-25-1 259128-26-2 259128-27-3 259128-28-4 259128-29-5 259128-30-8
RL: PRP (Properties)
(unclaimed nucleotide sequence; oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

IT 245061-65-8 259111-50-7
RL: PRP (Properties)
(unclaimed sequence; oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; WO 9202532 1992 HCAPLUS
(2) Cook; US 5212295 1993 HCAPLUS
(3) Dreyfuss; US 5457026 1995 HCAPLUS
(4) Falkow; US 4358535 1982
(5) Walder; US 5403711 1995 HCAPLUS

IT **58479-61-1**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(oligonucleotides with chirally pure phosphonate- mixed with non-phosphonate internucleosidyl linkages and their use in inhibition of protein synthesis)

RN 58479-61-1 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)diphenyl- (9CI) (CA INDEX NAME)



L40 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1999:622240** HCAPLUS
DN 131:253316
ED Entered STN: 30 Sep 1999
TI Antisense modulation of Akt-1 expression
IN Monia, Brett P.; Cowsert, Lex M.
PA Isis Pharmaceuticals Inc., USA
SO U.S., 32 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07H021-04
ICS C12Q001-68; C12N015-85
INCL 435375000
CC 3-1 (Biochemical Genetics)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5958773	A	19990928	US 1998-212771	19981217 <--
	WO 2000036149	A1	20000622	WO 1999-US13208	19990610 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9946796	A1	20000703	AU 1999-46796	19990610 <--
PRAI	US 1998-212771	A	19981217 <--		
	WO 1999-US13208	W	19990610		

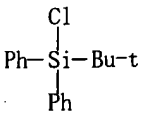
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5958773	ICM	C07H021-04
	ICS	C12Q001-68; C12N015-85
	INCL	435375000
US 5958773	NCL	435/375.000; 435/006.000; 435/091.100; 435/366.000; 536/023.100; 536/024.310; 536/024.330; 536/024.500
	ECLA	C12N015/11B5 <--
WO 2000036149	ECLA	C12N015/11B5 <--

AB Antisense compds., compns. and methods are provided for modulating the expression of Akt-1. The compns. comprise antisense compds., particularly antisense oligonucleotides, targeted to nucleic acids encoding Akt-1. Methods of using these compds. for modulation of Akt-1 expression are provided. Thus, phosphorothioate-linked 18-mers consisting of a central core of 10 deoxyribonucleosides flanked by 2'-methoxyethyl ribonucleosides, were synthesized. These antisense oligomers were targeted to the 5'-UTR, the coding region, or the 3'-UTR of the Akt-1 nucleic acid. When added to cells in culture, these oligonucleotide analogs inhibited Akt-1 expression by 25-90%.

ST antisense oligonucleotide human Akt1 gene regulation
IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(Akt-1; antisense modulation of Akt-1 expression)
IT **Antisense oligonucleotides**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**;

USES (Uses)
(analogs; antisense modulation of Akt-1 expression)
IT 244221-10-1P 244221-11-2P 244221-12-3P 244221-13-4P 244221-14-5P
244221-15-6P 244221-16-7P 244221-17-8P 244221-19-0P 244221-20-3P
244221-21-4P 244221-22-5P 244221-23-6P 244221-24-7P 244221-25-8P
244221-26-9P 244221-27-0P 244221-28-1P 244221-29-2P 244221-30-5P
244221-31-6P 244221-32-7P 244221-68-9P 244230-15-7P 244230-17-9P
244230-21-5P 244230-22-6P 244230-23-7P 244230-24-8P 244230-25-9P
244230-26-0P 244230-27-1P 244230-28-2P 244230-29-3P 244230-30-6P
244230-31-7P 244230-32-8P 244230-33-9P 244230-34-0P 244230-35-1P
244230-36-2P 244230-37-3P 244230-38-4P 244230-39-5P 244230-41-9P
244252-12-8P 244252-13-9P 244252-14-0P 244252-15-1P 244252-16-2P
244252-17-3P 244252-18-4P 244252-19-5P 244252-20-8P 244252-21-9P
244252-22-0P 244252-23-1P 244252-24-2P 244252-25-3P 244252-26-4P
244252-27-5P 244623-12-9P 244623-15-2P 244623-16-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antisense modulation of Akt-1 expression)
IT 50-00-0, Formaldehyde, reactions 60-34-4, Methylhydrazine 93-97-0
107-21-1, 1,2-Ethanediol, reactions 108-24-7, Acetic anhydride
109-86-4, 2-Methoxyethanol 288-88-0, 1H-1,2,4-Triazole 524-38-9,
N-Hydroxyphthalimide 1463-10-1 40615-36-9 **58479-61-1**,
tert-Butyldiphenylchlorosilane 102691-36-1
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(antisense modulation of Akt-1 expression)
IT 22423-26-3P, O2,2'-Anhydro-5-methyluridine 163759-49-7P 163759-50-0P
163759-94-2P 171763-19-2P 182495-98-3P 182495-99-4P 182496-00-0P
182496-01-1P 212061-24-0P 212061-25-1P 212061-26-2P 212061-27-3P
212061-28-4P 212061-29-5P 212061-30-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antisense modulation of Akt-1 expression)
IT 135889-42-8 220481-59-4 220481-60-7 244224-59-7, PN: US5958773
SEQID: 2 unclaimed DNA 244224-60-0, PN: US5958773 SEQID: 3 unclaimed DNA
244224-61-1, PN: US5958773 SEQID: 4 unclaimed DNA 244224-63-3, PN:
US5958773 SEQID: 46 unclaimed DNA 250230-24-1
RL: PRP (Properties)
(unclaimed nucleotide sequence; antisense modulation of Akt-1 expression)
IT 135930-84-6
RL: PRP (Properties)
(unclaimed protein sequence; antisense modulation of Akt-1 expression)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Alessi; Curr Opin Genet Dev 1998, V8, P55 HCAPLUS
(2) Bellacosa; Int J Cancer 1995, V64, P280 HCAPLUS
(3) Bellacosa; Science 1991, V254, P274 HCAPLUS
(4) Bos; Trends Biochem Sci 1995, V20, P441 HCAPLUS
(5) Branch; TIBS 1928, V23, P45
(6) Coffer; Eur J Biochem 1991, V201, P475 HCAPLUS
(7) Coffer; published erratum appears in Eur J Biochem 1992, V1(205(3)), P1217
(8) Crooke; Antisense Research and Application 1998, P1 HCAPLUS
(9) Downward; Curr Opin Cell Biol 1998, V10, P262 HCAPLUS
(10) Dudek; Science 1997, V275, P661 HCAPLUS
(11) Flanagan; Nature Biotech 1999, V17, P48 HCAPLUS
(12) Franke; Science 1997, V275, P665 HCAPLUS
(13) Jones; Cell Regul 1991, V2, P1001 HCAPLUS
(14) Staal; Genomics 1988, V2, P96 HCAPLUS
(15) Staal; Proc Natl Acad Sci U S A 1987, V84, P5034 HCAPLUS
IT **58479-61-1**, tert-Butyldiphenylchlorosilane
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(antisense modulation of Akt-1 expression)
RN 58479-61-1 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)diphenyl- (9CI) (CA INDEX NAME)



L40 ANSWER 13 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:788690** HCAPLUS
DN 130:49512
ED Entered STN: 16 Dec 1998
TI Fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding
IN Devlin, Robert F.; Dandliker, Walter B.; Arrhenius, Peter O. G.
PA Diatron Corporation, USA
SO U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 856,176, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM G01N033-533
INCL 435005000
CC 9-10 (Biochemical Methods)
Section cross-reference(s): 1, 2, 15, 26, 41
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5846703	A	19981208	US 1993-35633	19930323	<--
	US 5403928	A	19950404	US 1991-701449	19910515	<--
	ES 2163393	T3	20020201	ES 1991-912121	19910515	<--
	WO 9319366	A1	19930930	WO 1993-US2470	19930323	<--
	W: CA, FI, JP, NO					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
PRAI	US 1990-523601	B2	19900515	<--		
	US 1990-524212	B2	19900515	<--		
	US 1991-701449	A2	19910515	<--		
	US 1991-701465	B2	19910515	<--		
	US 1992-856176	B2	19920323	<--		
	WO 1993-US2470	A	19930323	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5846703	ICM	G01N033-533	
	INCL	435005000	
US 5846703	NCL	435/005.000; 435/007.100; 436/066.000; 436/172.000; 436/518.000; 436/536.000; 436/537.000; 436/546.000; 436/800.000; 436/815.000; 436/816.000; 436/817.000	
	ECLA	A61K049/00P4F; G01N033/94F	<--
US 5403928	NCL	540/128.000; 540/121.000; 540/472.000	
	ECLA	A61K041/00W; C07J043/00B; C07J051/00; C09B047/00; C09B047/073; C09B047/08; C09B047/24; G01N033/533; G01N033/58D; A61K047/48H4P; A61K047/48K6; A61K049/00P4F; A61K049/00P4C	<--

AB Fluorescence immunoassay methods (for determination of hormones, drugs, antigens, antibodies, etc.) are provided which use fluorescent dyes which are free of aggregation and serum binding. Such immunoassay methods are thus particularly useful for the assay of biol. fluids, such as serum, plasma, whole blood and urine. The carboxylic acid groups of a caged dicarboxy silicon phthalocyanine dye (preparation given) were converted to the imidazolide by reaction with carbonyl diimidazole. The dye was then reacted with goat anti-human IgG. The labeled antibody was used in a sandwich immunoassay for rubella antibody.
ST fluorescence immunoassay aggregation free dye; silicon phthalocyanine fluorescent dye rubella antibody immunoassay
IT Proteins, specific or class
RL: ANT (Analyte); ANST (Analytical study)
(El, peptide fragment of, of rubella virus,; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Immunoglobulins
RL: ANT (Analyte); ANST (Analytical study)

(G; antibody to, conjugates with caged dicarboxy silicon phthalocyanine dye, for Rubella anti-IgG probe for fluorescence immunoassay)

IT Blood
(caged dicarboxy silicon phthalocyanine dye interaction with lysate of whole; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Blood serum
(caged dicarboxy silicon phthalocyanine dye interaction with; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Glycosides
RL: ANT (Analyte); ANST (Analytical study)
(cardiac; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT **Peptides, biological studies**
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); **PUR (Purification or recovery)**; **SPN (Synthetic preparation)**; ANST (Analytical study); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(conjugates, with caged dicarboxy silicon phthalocyanine dye, of E1 protein of rubella virus; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Antibodies
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(conjugates, with caged dicarboxy silicon phthalocyanine dye, to human IgG; for Rubella anti-IgG probe for fluorescence immunoassay)

IT Polyoxyalkylenes, uses
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(conjugates, with planar fluorophore; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Antiarrhythmics
Antiarthritics
Antiasthmatics
Anticonvulsants
Antidepressants
Antitumor agents
Blood analysis
Body fluid
Fluorescent substances
Fluorometry
Pharmaceutical analysis
Urine analysis
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Haptens
Hormones, animal, analysis
Peptides, analysis
Steroids, analysis
RL: ANT (Analyte); ANST (Analytical study)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Antibodies
Antigens
RL: ANT (Analyte); ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Receptors
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Immunoassay
(fluorescence-polarization; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT Immunoassay
(fluorescence; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Macrocyclic compounds
Macrocyclic compounds
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(ligands, multidentate, with attached solubilizing polyoxyhydrocarbyl moieties; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Erythrocyte
(lysed; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Ligands
Ligands
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(macrocyclic, multidentate, with attached solubilizing polyoxyhydrocarbyl moieties; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Antibodies
RL: ANT (Analyte); ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(monoclonal; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Rubella virus
(peptide of; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Alcohols, uses
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(polyhydric, reaction products with planar fluorophore; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Polyethers, uses
Porphyrins
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(reaction products with planar fluorophore; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Polyoxyalkylenes, uses
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(reaction products with planar fluorophores; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Corrinoids
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(reaction products with solubilizing polyoxyhydrocarbyl moieties; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Carbohydrates, uses
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(water-soluble, reaction products with planar fluorophore; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT Globulins, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(γ-, caged dicarboxy silicon phthalocyanine dye interaction with; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT 151996-49-5P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-acetylprocainamide probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)
IT 151996-45-1P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-digitoxin probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-44-0P
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-digoxigenin probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-47-3P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-phenobarbital probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-51-9P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-phenytoin probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-50-8P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-primidone probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-46-2P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-theophylline probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-48-4P
RL: ARG (Analytical reagent use); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(as caged dicarboxy silicon phthalocyanine dye-thyroxine probe; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 151996-43-9P
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(as caged dicarboxy silicon phthalocyanine dye; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 51-48-9, Thyroxine, analysis 58-55-9, Theophylline, analysis 71-63-6, Digitoxin 32795-44-1, N-Acetylprocainamide
RL: ANT (Analyte); ANST (Analytical study)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 20830-75-5, Digoxin
RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 50-06-6, Phenobarbital, analysis 125-33-7, Primidone
RL: ANT (Analyte); RCT (Reactant); ANST (Analytical study); RACT (Reactant or reagent)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 500-77-6D, 21H,23H-Porphyrazine, reaction products with solubilizing polyoxyhydrocarbyl moieties 574-93-6D, Phthalocyanine, derivs., reaction products with polyoxyhydrocarbyl moieties 7125-35-1D, derivs., reaction

products with polyoxyhydrocarbyl moieties 7440-21-3D, Silicon, coordinates with polyoxyhydrocarbyl moiety-containing macrocyclic multidentate ligand, uses 7440-31-5D, Tin, coordinates with polyoxyhydrocarbyl moiety-containing macrocyclic multidentate ligand, uses 7440-56-4D, Germanium, coordinates with polyoxyhydrocarbyl moiety-containing macrocyclic multidentate ligand, uses 7723-14-0D, Phosphorus, coordinates with polyoxyhydrocarbyl moiety-containing macrocyclic multidentate ligand, uses 25322-68-3D, Polyethylene glycol, reaction products with planar fluorophores 100572-96-1D, Porphycene, derivs., reaction products with polyoxyhydrocarbyl moieties 129204-89-3D, reaction products with polyoxyhydrocarbyl moieties 134020-79-4D, Sapphyrin, derivs., reaction products with solubilizing polyoxyhydrocarbyl moieties 141098-53-5D, reaction products with polyoxyhydrocarbyl moieties
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 693-57-2DP, 12-Aminododecanoic acid, reaction products with caged dicarboxy silicon phthalocyanine dye
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 693-57-2, 12-Aminododecanoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 140889-30-1P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 91-15-6, Phthalonitrile 107-15-3, 1,2-Ethylenediamine, reactions 288-32-4, Imidazole, reactions 530-62-1 712-74-3, 1,2,4,5-Tetracyanobenzene 9004-74-4 10026-04-7, Silicon tetrachloride **17070-70-1**, 3-Isocyanatopropyldimethylchlorosilane
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(in caged dicarboxy silicon phthalocyanine dye preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 3468-11-9P 32130-27-1P 97241-14-0P 140871-10-9P 186523-59-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 110-72-5, N-Ethylethylenediamine 556-08-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-acetylprocainamide probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 72040-49-4P, Desethyl-N-acetylprocainamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-acetylprocainamide probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 39845-25-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-digitoxin probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 1672-46-4, Digoxigenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-digoxigenin probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 4442-17-5P, 3-Ketodigoxigenin 90360-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in caged dicarboxy silicon phthalocyanine dye-digoxigenin probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 2954-00-9P 2954-02-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-phenobarbital probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 3060-50-2 217321-36-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-phenytoin probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 58061-81-7P, Nitroprimidone 82169-60-6P, Aminoprimidone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-primidone probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 108-55-4, Glutaric anhydride 5440-00-6, 5,6-Diamino-1,3-dimethyluracil
RL: RCT (Reactant); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-theophylline probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 5438-71-1P, Theophylline 8-butyric acid 116266-55-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-theophylline probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 500-79-8, Thyroacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-thyroxine probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 217320-81-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in caged dicarboxy silicon phthalocyanine dye-thyroxine probe preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 17465-86-0, γ-Cyclodextrin
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(in competitive serum assay for digoxin; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

IT 13699-45-1, Myristoyl-lysolecithin 17364-18-0, Palmitoyl-lysolecithin 72490-82-5
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(red blood cells lysis with; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

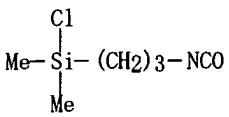
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; WO 88/04777 1988 HCAPLUS
(2) Anon; Hemmila Clin Chem 1985, V31(3), P359
(3) Arrhenuis; US 5403928 1995 HCAPLUS
(4) Barrett; Phthalocyanine and Related Compounds. Part XV 1939, P1809 HCAPLUS
(5) Dandliker; Cancer Research 1978, V38, P4212 MEDLINE
(6) Inada; US 4822877 1989 HCAPLUS

IT **17070-70-1**, 3-Isocyanatopropyldimethylchlorosilane
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(in caged dicarboxy silicon phthalocyanine dye preparation; fluorescence immunoassays using fluorescent dyes free of aggregation and serum binding)

RN 17070-70-1 HCAPLUS
CN Silane, chloro(3-isocyanatopropyl)dimethyl- (9CI) (CA INDEX NAME)



L40 ANSWER 14 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:774218** HCAPLUS
DN 130:25346
ED Entered STN: 10 Dec 1998
TI Preparation of novel inhibitors of collagenase-1 and stromelysin-1 metalloproteases and their pharmaceutical compositions
IN Campbell, David A.; Patel, Dinesh V.; Xiao, Xiao-yi
PA Affymax Technologies N.V., UK
SO U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 482,211, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K038-00
ICS A61K038-06
INCL 514018000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5840698	A	19981124	US 1995-549346	19951027 <--
	WO 9640204	A1	19961219	WO 1996-US9877	19960606 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9663823	A1	19961230	AU 1996-63823	19960606 <--
PRAI	US 1994-329420	B2	19941027	<--	
	US 1995-482211	B2	19950607	<--	
	US 1995-549346	A	19951027	<--	
	WO 1996-US9877	W	19960606	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5840698	ICM	A61K038-00
	ICS	A61K038-06
	INCL	514018000
US 5840698	NCL	514/018.000; 514/019.000; 530/331.000; 564/154.000
	ECLA	C07C323/60; C07D209/48D3A1A; C07K005/06A1B1 <--
WO 9640204	ECLA	C07C323/60; C07D209/48D3A1A; C07K005/06A1B1 <--

OS MARPAT 130:25346
AB Compds. HSCH2A(CH2)mCHMCONHCHQCOR [A = CO, CHOH; M, Q, Q' = independently H, (un)substituted alkyl, aryl, heteroaryl; R = NR1R2, NHCH(Q')CONR1R2; R1 = H, (un)substituted alkyl, aryl, heteroaryl, etc.; R2 = H or R1 and R2 form a heterocyclic or heteroaryl ring; m = 0-2] or their pharmaceutically acceptable salts, were prepared as novel inhibitors of collagenase-1 and stromelysin-1 metalloproteases. Thus, dipeptides [(S)- and (R)-2-isobutyl-4-oxo-5-mercaptopentanoyl]-L-β-cyclohexylalanine phenethylamide were prepared by a multistep procedure starting from 3-isobutylsuccinic anhydride, N-Boc-L-cyclohexylalanine, and phenethylamine. The disclosed inhibitors are mercaptoketone and mercaptoalc. compds. which are useful in pharmaceutical compns. and methods for treating or controlling disease states or conditions which involve tissue breakdown, for example, arthropathy, dermatol. conditions, bone resorption, inflammatory diseases, and tumor invasion and in the promotion of wound healing.
ST mercapto peptide prepn metalloprotease inhibitor; stromelysin inhibitor mercapto peptide; collagenase inhibitor mercapto peptide
IT **Peptides, preparation**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of metalloprotease inhibitors)

IT 9001-12-1, Collagenase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(1; preparation of metalloprotease inhibitors)

IT 186603-35-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of metalloprotease inhibitors)

IT 186602-20-0P 186602-22-2P 186602-45-9P 186602-63-1P 186602-68-6P
186602-77-7P 186602-82-4P 186602-88-0P 186603-06-5P 186603-10-1P
186603-30-5P 186603-62-3P 186603-72-5P 186603-73-6P 186603-88-3P
186603-89-4P 186603-90-7P 186603-91-8P 186603-92-9P 186603-93-0P
186603-94-1P 186603-95-2P 186603-96-3P 186603-97-4P 186603-98-5P
186603-99-6P 186604-00-2P 186604-01-3P 186604-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of metalloprotease inhibitors)

IT 79955-99-0, Stromelysin-1
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of metalloprotease inhibitors)

IT 64-04-0, Phenethylamine 78-77-3, Isobutyl bromide 96-27-5, 3-Mercapto-1,2-propanediol 105-45-3, Methyl acetoacetate 105-53-3, Diethyl malonate 507-09-5, Ethanethioic acid, reactions 629-04-9, Heptyl bromide 764-85-2, Nonanoyl chloride 1731-84-6, Methyl nonanoate 2235-01-0, Benzophenone dimethyl ketal 2365-48-2, Methyl thioglycolate **2916-68-9**, 2-Trimethylsilylethanol 5437-45-6, Benzyl bromoacetate 5950-34-5 14035-83-7, Isobutylsuccinic anhydride 37736-82-6 65710-58-9 101711-90-4 113543-30-9 168974-05-8 186602-71-1 **186603-51-0**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of metalloprotease inhibitors)

IT 607-83-0P 1118-91-8P 2985-37-7P 4361-06-2P, Isobutylmalonic acid 10203-58-4P 13331-73-2P 51756-09-3P 81143-90-0P 83544-05-2P 97228-23-4P 98442-22-9P, Propanedioic acid, (2-methylpropyl)-, phenylmethyl ester 143390-09-4P 143390-12-9P 157422-34-9P 166169-08-0P 171348-13-3P 172159-96-5P 186602-10-8P 186602-12-0P 186602-14-2P 186602-17-5P 186602-25-5P 186602-27-7P 186602-29-9P 186602-33-5P **186602-35-7P 186602-37-9P 186602-39-1P** 186602-41-5P 186602-43-7P **186602-48-2P** 186602-50-6P 186602-54-0P 186602-56-2P 186602-59-5P 186602-61-9P 186602-65-3P 186602-74-4P 186602-79-9P 186602-85-7P 186602-91-5P 186602-92-6P 186602-94-8P 186602-97-1P 186602-99-3P 186603-04-3P 186603-08-7P 186603-13-4P 186603-15-6P 186603-17-8P 186603-21-4P 186603-25-8P 186603-27-0P 186603-32-7P 186603-38-3P 186603-48-5P **186603-54-3P** 186603-56-5P 186603-57-6P 186603-59-8P 186603-60-1P 186603-63-4P 186603-64-5P 186603-66-7P 186603-67-8P 186603-68-9P 186603-69-0P 186603-70-3P 186603-71-4P 186603-74-7P 186603-76-9P 186603-77-0P 186603-78-1P 186603-79-2P 186603-81-6P 186603-82-7P 186603-84-9P 186603-85-0P 186603-86-1P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of metalloprotease inhibitors)

IT 186602-57-3P 186603-01-0P 186603-41-8P 186603-58-7P 186603-61-2P 186603-75-8P 186603-80-5P 186603-83-8P 186603-87-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of metalloprotease inhibitors)

RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD

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(67) Szabo; US 4891356 1990 HCAPLUS
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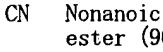
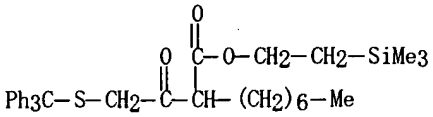
IT **2916-68-9**, 2-Trimethylsilylethanol **186603-51-0**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of metalloprotease inhibitors)

RN 2916-68-9 HCAPLUS

CN Ethanol, 2-(trimethylsilyl)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

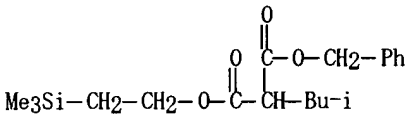


RN 186603-51-0 HCAPLUS
CN Nonanoic acid, 2-[[(triphenylmethyl)thio]acetyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

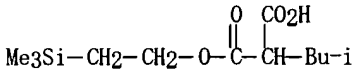


IT **186602-35-7P 186602-37-9P 186602-39-1P 186602-48-2P 186603-54-3P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of metalloprotease inhibitors)

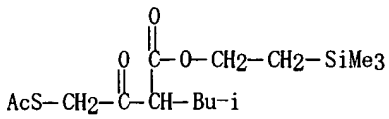
RN 186602-35-7 HCAPLUS
CN Propanedioic acid, (2-methylpropyl)-, phenylmethyl 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



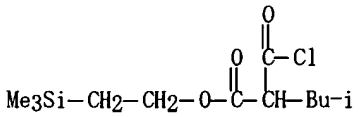
RN 186602-37-9 HCAPLUS
CN Propanedioic acid, (2-methylpropyl)-, mono[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)



RN 186602-39-1 HCAPLUS
CN Pentanoic acid, 2-[(acetylthio)acetyl]-4-methyl-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

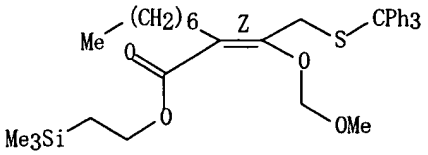


RN 186602-48-2 HCAPLUS
CN Pentanoic acid, 2-(chlorocarbonyl)-4-methyl-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



RN 186603-54-3 HCAPLUS
CN Nonanoic acid, 2-[1-(methoxymethoxy)-2-[(triphenylmethyl)thio]ethylidene]-, 2-(trimethylsilyl)ethyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L40 ANSWER 15 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:752223** HCAPLUS
DN 130:14166
ED Entered STN: 27 Nov 1998
TI Preparation of sialyl Lewis-x mimetics containing naphthyl backbones as selectin inhibitors
IN Anderson, Mark B.; Levy, Daniel E.; Tang, Peng Cho; Musser, John H.; Rao, Narasinga
PA Glycomed Inc., USA
SO U.S., 48 pp., Cont.-in-part of U. S. Ser. No. 446,185.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-70
ICS C07H015-00
INCL 514025000
CC 33-8 (Carbohydrates)
Section cross-reference(s): 1, 15
FAN.CNT 8

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PI	US 5837689	A	19981117	US 1996-604160	19960221	<--
	US 5750508	A	19980512	US 1993-78949	19930616	<--
	US 5658880	A	19970819	US 1994-289715	19940812	<--
	WO 9731006	A1	19970828	WO 1997-US2950	19970220	<--
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	EP 882057	A1	19981209	EP 1997-906768	19970220	<--
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	US 1994-289715	A2	19940812	<--		
	US 1995-446185	A2	19950519	<--		
	US 1996-604160	A	19960221	<--		
	WO 1997-US2950	W	19970220	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5837689	ICM	A61K031-70	
	ICS	C07H015-00	
	INCL	514025000	
US 5837689	NCL	514/025.000; 514/024.000; 514/042.000; 536/004.100; 536/017.200; 536/017.500; 536/017.900	
	ECLA	A61K031/70E5; C07D309/10; C07D405/12+309+209C; C07D407/12+309+305; C07D407/12+311C+309; C07D493/04+319B+311B; C07D499/00; C07H003/06; C07H011/00; C07H015/04; C07H015/04D; C07H015/18C	<--
US 5750508	NCL	514/025.000; 514/024.000; 514/053.000; 514/054.000; 536/004.100; 536/017.200; 536/017.500; 536/017.600; 536/017.900; 536/123.100; 536/123.130	
	ECLA	C07H003/06; C07H011/00	<--

US 5658880 NCL 514/008.000; 514/002.000; 514/024.000; 514/025.000;
514/042.000; 514/043.000; 514/052.000; 514/053.000;
514/054.000; 514/061.000; 514/062.000; 530/322.000;
536/004.100; 536/017.200; 536/017.300; 536/017.400;
536/017.500; 536/017.600; 536/017.900; 536/018.100;
536/018.400; 536/018.700; 536/115.000; 536/116.000;
536/117.000; 536/118.000; 536/119.000; 536/120.000;
536/121.000; 536/122.000; 536/123.130
ECLA C07H003/06; C07H011/00 <--
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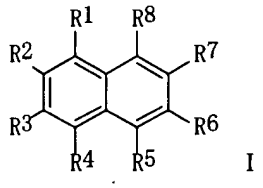

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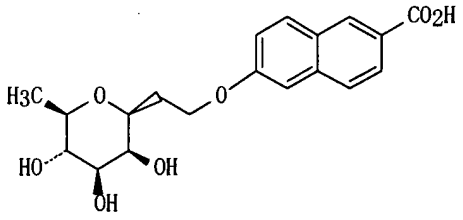

II

AB Compds. that possess selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs, derivs., or mimics of these groups, such that sialic acid and fucose or their mimics are separated by a linker that permits binding between those groups and the selecting, such compds. being represented by the following general structural I (R1-R8 = H, alkyl, OH, alkoxy, aryloxy, alkoxyaryl, amino, heterocycle). Thus, II was prepared and tested for its inhibition of E-, L-, and P-selectin (IC50 < 1.0 mM).

ST C glycoside naphthyl prepn selectin inhibitor; receptor naphthyl sialic acid mimetic prepn; naphthyl sialic acid prepn selectin inhibitor

IT **Glycosides**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(C-; preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT **Sialic acids**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT Receptors
Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT 173933-61-4P 216098-56-5P 216098-58-7P 216098-59-8P 216098-60-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT 178262-87-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT 135-19-3, 2-Naphthol, reactions 556-52-5, Oxiranemethanol 618-51-9, 3-Iodobenzoic acid 17295-12-4 **18388-03-9** 39197-94-9
60431-34-7 61495-42-9 69515-91-9 80300-30-7 178262-94-7
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RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

IT 24332-95-4P 75828-75-0P 124508-77-6P 142061-25-4P 145933-72-8P
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195513-76-9P 195513-77-0P 216098-52-1P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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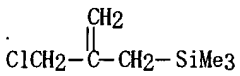
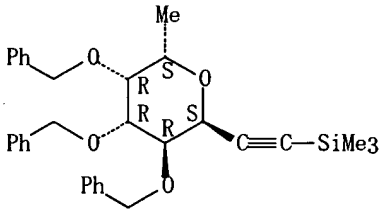
(34) Rao; US 5527890 1996 HCAPLUS
(35) Ratcliffe; US 5296594 1994 HCAPLUS
(36) Rosen; US 5318890 1994 HCAPLUS
(37) Smith; US 4849513 1989 HCAPLUS
IT **18388-03-9**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)
RN 18388-03-9 HCAPLUS
CN Silane, [2-(chloromethyl)-2-propenyl]trimethyl- (9CI) (CA INDEX NAME)



IT **178263-03-1P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing naphthyl backbones as selectin inhibitors)
RN 178263-03-1 HCAPLUS
CN L-glycero-D-galacto-Oct-7-ynitol, 2,6-anhydro-1,7,8-trideoxy-3,4,5-tris-O-(phenylmethyl)-8-(trimethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 16 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:719163** HCAPLUS
DN 129:343721
ED Entered STN: 12 Nov 1998
TI Preparation of inhibitors of metalloproteases and their pharmaceutical compositions
IN Campbell, David A.; Patel, Dinesh V.; Xiao, Xiao-yi
PA Affymax Technologies Nv, UK
SO U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 484,255, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07K005-00
INCL 530331000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5831004	A	19981103	US 1995-549345	19951027 <--
CA 2222923	AA	19961219	CA 1996-2222923	19960606 <--
WO 9640738	A1	19961219	WO 1996-US9932	19960606 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

AU 9662699	A1	19961230	AU 1996-62699	19960606	<--
AU 700239	B2	19981224			
EP 832100	A1	19980401	EP 1996-921482	19960606	<--
EP 832100	B1	20000405			
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US 5929278	A	19990727	US 1998-81466	19980519	<--
US 6307101	B1	20011023	US 1999-271801	19990317	<--
PRAI US 1994-329420	B2	19941027	<--		
US 1995-484255	B2	19950607	<--		
US 1995-549345	A	19951027	<--		
WO 1996-US9932	W	19960606	<--		
US 1998-81466	A1	19980519	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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	INCL	530331000	
US 5831004	NCL	530/331.000; 544/159.000; 546/309.000; 564/154.000	
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WO 9640738	ECLA	C07C323/60; C07K005/06A1B1; C07K005/06B1	<--
US 5929278	NCL	564/154.000; 544/159.000; 546/309.000	
	ECLA	C07C323/60; C07K005/06A1B1; C07K005/06B1	<--
US 6307101	NCL	564/154.000; 544/159.000; 546/309.000	
	ECLA	C07C323/60; C07K005/06A1B1; C07K005/06B1	<--

OS MARPAT 129:343721

AB Compds. HSCHR3ACHMCONR1R2 [A = CO, CHOH; M = (un)substituted alkyl; R1 = H, (un)substituted alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, heterocycloalkyl; R2 = H or R1 and R2 form a heterocyclic or heteroaryl ring; R3 = (CH2)nV, where n = 0-4 and V = H, (un)substituted alkyl, OR13, NR12R13, SR13 (R12 and R13 are H, (un)substituted alkyl, alkenyl, aryl, arylalkyl, acyl, heteroaryl, heterocyclyl, heterocycloalkyl, heteroarylalkyl)] were prepared as inhibitors of metalloproteases. Thus, dipeptides [(S)- and (R)-2-isobutyl-4-oxo-5-mercaptopentanoyl]-L-β-cyclohexylalanine phenethylamide were prepared by a multistep procedure starting from 3-isobutylsuccinic anhydride, N-Boc-L-cyclohexylalanine, and phenethylamine.

ST mercapto peptide prepn inhibitor metalloprotease

IT **Peptides, preparation**
RL: **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)

IT 81669-70-7, Metalloprotease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)

IT 64-04-0, Phenethylamine 96-27-5, 3-Mercapto-1,2-propanediol 105-45-3, Methyl acetoacetate 105-53-3, Diethyl malonate 629-04-9, Heptyl bromide 1731-84-6, Methyl nonanoate 2235-01-0, Benzophenone dimethyl ketal 2365-48-2, Methyl thioglycolate **2916-68-9**, 2-Trimethylsilylethanol 14035-83-7 37736-82-6 186602-71-1 215462-36-5
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)

IT 4361-06-2P 83544-05-2P 91682-30-3P 143390-09-4P 143390-12-9P 157422-34-9P 166169-08-0P 171348-13-3P 172159-96-5P 186602-10-8P 186602-12-0P 186602-14-2P 186602-17-5P 186602-27-7P 186602-29-9P 186602-33-5P **186602-35-7P 186602-37-9P** **186602-39-1P** 186602-41-5P 186602-43-7P **186602-48-2P** 186602-50-6P 186602-54-0P 186602-56-2P 186602-57-3P 186602-61-9P 186602-65-3P 186603-32-7P 186603-35-0P 186603-38-3P 186603-48-5P 186603-59-8P 186603-60-1P 186603-61-2P 186603-62-3P 186603-63-4P 215462-31-0P 215462-33-2P 215462-38-7P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of inhibitors of metalloproteases and their pharmaceutical

compns.)
IT 186602-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)
IT 51756-09-3P 97228-23-4P 186602-20-0P 186602-22-2P 186602-45-9P
186602-68-6P 186602-74-4P 186602-77-7P 186602-79-9P 186602-82-4P
186602-85-7P 186602-88-0P 186602-91-5P 186602-92-6P 186602-99-3P
186603-01-0P 186603-04-3P 186603-06-5P 186603-08-7P 186603-10-1P
186603-13-4P 186603-15-6P 186603-17-8P 186603-21-4P 186603-27-0P
186603-30-5P 186603-41-8P 215462-34-3P 215462-35-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)
RE.CNT 135 THERE ARE 135 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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(2) Anon; JP 56077296 1979 HCAPLUS
(3) Anon; EP 0185380 1986 HCAPLUS
(4) Anon; EP 0236872 1987 HCAPLUS
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(6) Anon; WO 8704349 1987 HCAPLUS
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(11) Anon; WO 8905819 1989 HCAPLUS
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(14) Anon; WO 9005141 1990 HCAPLUS
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(16) Anon; WO 9005719 1990 HCAPLUS
(17) Anon; EP 0423943 A2 1991 HCAPLUS
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(19) Anon; WO 9112287 1991 HCAPLUS
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Search done by Noble Jarrell

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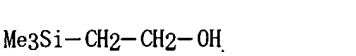
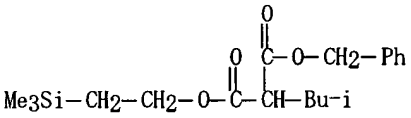
IT **2916-68-9**, 2-Trimethylsilylethanol
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)

RN 2916-68-9 HCAPLUS
CN Ethanol, 2-(trimethylsilyl)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



IT **186602-35-7P 186602-37-9P 186602-39-1P**
186602-48-2P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of inhibitors of metalloproteases and their pharmaceutical compns.)

RN 186602-35-7 HCAPLUS
CN Propanedioic acid, (2-methylpropyl)-, phenylmethyl 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



RN 186602-37-9 HCAPLUS
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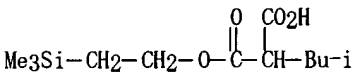

RN 186602-39-1 HCAPLUS
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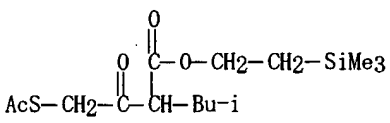

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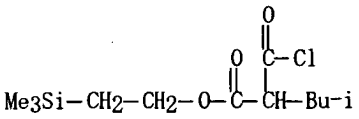
CN Pentanoic acid, 2-(chlorocarbonyl)-4-methyl-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:703420** HCAPLUS
DN 129:335730
ED Entered STN: 05 Nov 1998
TI Covalent polar lipid conjugates with neurologically active compounds for targeting
IN Yatvin, Milton B.; Stowell, Michael H. B.; Meredith, Michael J.
PA Oregon Health Sciences University, USA
SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 685,152.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K038-00
ICS A61K031-135; A61K009-127
INCL 514002000
CC 63-5 (Pharmaceuticals)
FAN.CNT 6

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PI	US 5827819	A	19981027	US 1996-735977	19961025	<--
	US 5149794	A	19920922	US 1990-607982	19901101	<--
	US 5256641	A	19931026	US 1992-911209	19920709	<--
	US 5543389	A	19960806	US 1993-142771	19931026	<--
	US 5965519	A	19991012	US 1996-685152	19960723	<--
	US 6024977	A	20000215	US 1997-923015	19970903	<--
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	US 1993-142771	A1	19931026	<--		
	US 1996-685152	A2	19960723	<--		
	US 1996-735977	A3	19961025	<--		
	US 1997-923015	A3	19970903	<--		
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5827819	ICM	A61K038-00
	ICS	A61K031-135; A61K009-127
	INCL	514002000
US 5827819	NCL	514/002.000; 424/450.000; 514/649.000
	ECLA	A61K031/00; A61K047/48H4B; A61K047/48H4; A61K047/48R; C07H019/06E; C07H019/10E <--
US 5149794	NCL	536/026.800; 424/450.000; 514/051.000; 514/078.000; 530/300.000; 530/329.000; 530/331.000; 536/026.600; 544/243.000; 564/153.000 <--
US 5256641	NCL	514/002.000; 514/078.000; 514/557.000; 514/885.000; 530/300.000; 530/329.000; 530/331.000 <--
US 5543389	NCL	514/002.000; 424/450.000; 514/078.000; 514/863.000; 530/300.000; 530/329.000; 530/331.000; 536/028.200; 536/051.000
	ECLA	A61K047/48H4; A61K047/48H4B; A61K047/48R; C07H019/06E; C07H019/10E <--
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		536/026.800; 544/243.000; 564/153.000
	ECLA	A61K047/48W4; C07H019/06E; C07H019/10E <--
US 6024977	NCL	424/450.000; 514/002.000; 514/211.110; 514/217.000; 514/222.200; 514/223.500; 514/224.800; 514/227.500; 514/649.000
	ECLA	C07H019/06E <--
US 6436437	NCL	514/171.000; 514/002.000; 514/217.000; 514/222.200; 514/223.500; 514/224.800; 514/227.500; 514/649.000
	ECLA	A61K031/00; A61K047/48W4; C07H019/06E; C07H019/10E; A61K047/48H4B; A61K047/48H4; A61K047/48R; A61K047/48W8 <--

AB A method of facilitating the entry of drugs into cells and tissues at physiol. protected sites at pharmacokinetically useful levels and also a method of targeting drugs to specific organelles within the cell are described. This polar lipid/drug conjugate targeting invention embodies an advance over other drug targeting methods known in the prior art, because the invention provides drug concns. in such physiol. protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technol. is appropriate for use with psychotropic, neurotropic and neurol. drugs, agents and compds., for rapid and efficient introduction of such agents across the blood-brain barrier. Further, the invention provides means for retention and prolonged enzymic release of psychotropic, neurotropic and neurol. drugs, agents and compds. comprising the conjugates of the invention, in the brain and central nervous system. Methotrexate (I) linked to sphingosine via an ester linkage to 6-hydroxyhexanoic acid spacer was prepared Growth inhibitory effects of I conjugate was tested on murine NIH3T3 cells. The prodrug was ineffective in inhibiting cell growth or survival in the absence of brain extract Upon addition of brain extract, a significant increase in I cytotoxicity was observed, which was consistent with cleavage of the ester linkage by the brain extract-derived esterase.

ST polar lipid conjugate neurol drug targeting; methotrexate sphingosine hydroxyhexanoate conjugate drug targeting

IT Cardiolipins
Ceramides
Phosphatidic acids
Phosphatidylcholines, biological studies
Phosphatidylethanolamines, biological studies
Phosphatidylglycerols
Phosphatidylinositols
Phosphatidylserines
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugates with drugs; covalent polar lipid conjugates with neurol. active compds. for targeting)

IT Antidepressants
(conjugates; covalent polar lipid conjugates with neurol. active compds. for targeting)

IT Lipids, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates; covalent polar lipid conjugates with neurol. active compds. for targeting)

IT Carotenes, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugates; covalent polar lipid conjugates with neurol. active compds. for targeting)

IT **Peptides, biological studies**
RL: **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(conjugates; covalent polar lipid conjugates with neurol. active compds. for targeting)

IT Psychotropics
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 50-67-9D, conjugates, biological studies 52-86-8D, Haloperidol, conjugates 58-73-1D, Diphenhydramine, conjugates 59-92-7D, Levodopa, conjugates 70-18-8D, Glutathione, conjugates 77-67-8D, Ethosuximide, conjugates 92-84-2D, 10H-Phenothiazine, conjugates 99-66-1D, Valproic acid, conjugates 115-67-3D, Paramethadione, conjugates 123-78-4D, Sphingosine, conjugates with drugs 125-33-7D, Primidone, conjugates 127-48-0D, Trimethadione, conjugates 132-17-2D, conjugates 144-11-6D, conjugates 261-31-4D, Thioxanthene, conjugates 298-46-4D, Carbamazepine, conjugates 541-15-1D, Carnitine, acyl derivs., conjugates with drugs 616-91-1D, n-Acetylcysteine, conjugates 768-94-5D, Amantadine, conjugates 1977-10-2D, Loxapine, conjugates 5786-21-0D, Clozapine, conjugates 12794-10-4D, Benzodiazepine, conjugates 25451-15-4D, Felbamate, conjugates 25614-03-3D, Bromocriptine, conjugates 29331-92-8D, conjugates 60142-96-3D, Gabapentin, conjugates 66104-22-1D, Pergolide, conjugates 84057-84-1D, Lamotrigine, conjugates
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 9001-66-5D, Monoamine oxidase, inhibitors, conjugates
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 59-92-7, Levodopa, reactions 123-78-4, Sphingosine 1191-25-9, 6-Hydroxyhexanoic acid 29331-92-8 **54925-64-3**, tert-Butyl dimethyl silyl imidazole 60142-96-3, Gabapentin 161392-58-1
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 215163-81-8P 215163-82-9P 215163-84-1P 215163-86-3P 215163-92-1P 215163-93-2P 215163-94-3P 215163-95-4P 215163-96-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 181424-96-4P 215163-83-0P 215163-85-2P 215163-87-4P 215163-88-5P 215163-89-6P 215163-90-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

IT 59-05-2, Methotrexate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

RE.CNT 211 THERE ARE 211 CITED REFERENCES AVAILABLE FOR THIS RECORD

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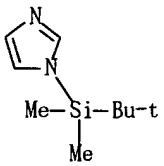
IT **54925-64-3**, tert-Butyl dimethyl silyl imidazole
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(covalent polar lipid conjugates with neurol. active compds. for targeting)

RN 54925-64-3 HCAPLUS
CN 1H-Imidazole, 1-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)



L40 ANSWER 18 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

AN **1998:534879** HCAPLUS
DN 129:161815
ED Entered STN: 24 Aug 1998
TI Preparation of sialyl Lewisx mimetics containing phenyl backbones as selectin inhibitors
IN Anderson, Mark B.; Levy, Daniel E.; Tang, Peng Cho; Musser, John H.; Rao, Narasinga; Cui, Jing Rong
PA Glycomed Incorporated, USA
SO U.S., 55 pp., Cont.-in-part of U.S. Ser. No. 446,185.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-70
ICS C07H015-00
INCL 514025000
CC 33-8 (Carbohydrates)
Section cross-reference(s): 15, 63
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5789385	A	19980804	US 1996-604162	19960221	<--
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	US 5658880	A	19970819	US 1994-289715	19940812	<--
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	US 1995-446185	A2	19950519	<--		
	US 1996-604162	A	19960221	<--		
	WO 1997-US2916	W	19970214	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5789385	ICM	A61K031-70	
	ICS	C07H015-00	
	INCL	514025000	
US 5789385	NCL	514/025.000; 514/024.000; 514/042.000; 536/004.100; 536/017.200; 536/017.500; 536/017.900	
	ECLA	A61K031/70E5; C07D309/10; C07D405/12+309+209C; C07D407/12+309+305; C07D407/12+311C+309; C07D493/04+319B+311B; C07D499/00; C07H003/06; C07H011/00; C07H015/04D; C07H015/18C	<--
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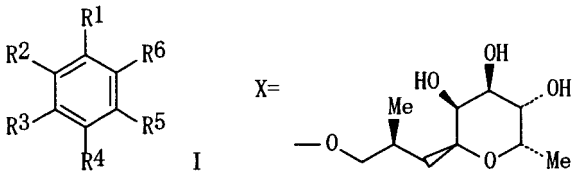
	ECLA	C07H003/06; C07H011/00	<--

OS MARPAT 129:161815
GI



AB Compds. that possess selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs, derivs., or mimics of these groups, such that sialic acid and fucose or their mimics are separated by a linker that permits binding between those groups and the selecting, such compds. being represented by the following general structural formula I (R1-R6 = independently H, alkyl, OH, alkoxy, aryloxy, alkoxyaryl, amino,, CO2H, carboxylate, sialic acid derivs.). Thus, I (R1 = CO2H, R2 = X, R3-R6 = OH) was prepared and tested as E-, L-, and P-selectin inhibitor (IC50 = 0.01 to >4 mM).

ST fucose sialic acid prepn selectin inhibitor

IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(E-; preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(L-; preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(P-; preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT **Sialic acids**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT 501-52-0P, 3-Phenylpropanoic acid 4046-02-0P 7400-08-0P 21646-00-4P 72690-58-5P 173933-61-4P 178262-82-3P 178262-84-5P 178262-87-8P 178263-24-6P 195451-09-3P 195451-10-6P 195451-11-7P 195451-13-9P 195451-15-1P 211189-63-8P 211189-67-2P 211189-68-3P 211189-69-4P 211189-70-7P 211189-71-8P 211189-72-9P 211189-73-0P 211189-74-1P 211189-75-2P 211189-76-3P 211189-77-4P 211189-78-5P 211189-79-6P 211189-80-9P 211189-81-0P 211189-82-1P 211189-83-2P 211189-84-3P 211189-85-4P 211189-86-5P 211189-87-6P 211189-88-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT 83-87-4 99-24-1 102-37-4, Ethyl caffeate 120-47-8, p-Hydroxybenzoic acid ethyl ester 618-51-9, m-Iodobenzoic acid 1738-78-9 2150-47-2, Methyl 2,4-dihydroxybenzoate 3843-74-1, Methyl caffeate **14630-40-1**, Bistrimethylsilylacetylene **18388-03-9** 24332-95-4 25878-60-8 25941-03-1 60431-34-7 80300-30-7 211189-64-9
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

IT 151909-89-6P 162006-85-1P **178263-03-1P** 185334-37-6P 185334-38-7P 185334-39-8P 185334-41-2P 185334-43-4P 185334-44-5P 185334-45-6P 185334-55-8P 185334-56-9P 194992-32-0P 195451-14-0P 195451-16-2P 211189-55-8P 211189-56-9P 211189-61-6P 211189-62-7P 211189-65-0P 211189-66-1P 211304-12-0P 211304-13-1P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP

(Preparation); **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD

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(12) Anon; WO 9300919 1993 HCAPLUS
(13) Anon; WO 9408051 1994 HCAPLUS
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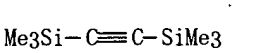
IT **14630-40-1**, Bistrimethylsilylacetylene **18388-03-9**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

RN 14630-40-1 HCAPLUS
CN Silane, 1,2-ethynediylbis[trimethyl- (9CI) (CA INDEX NAME)



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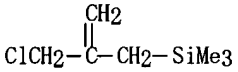
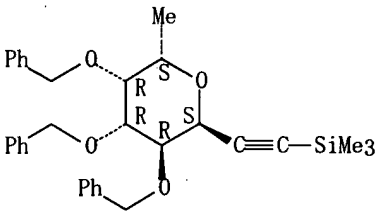
CN Silane, [2-(chloromethyl)-2-propenyl]trimethyl- (9CI) (CA INDEX NAME)



IT **178263-03-1P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of sialyl Lewisx mimetics containing Ph backbones as selectin inhibitors)

RN 178263-03-1 HCAPLUS
CN L-glycero-D-galacto-Oct-7-ynitol, 2,6-anhydro-1,7,8-trideoxy-3,4,5-tris-O-(phenylmethyl)-8-(trimethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 19 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1997:456106** HCAPLUS
DN 127:190987
ED Entered STN: 21 Jul 1997
TI Enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines
IN Froehler, Brian; Wagner, Rick; Matteucci, Mark; Jones, Robert J.; Gutierrez, Arnold J.; Pudlo, Jeff
PA Gilead Sciences, Inc., USA
SO U.S., 104 pp., Cont.-in-part of U.S. Ser. No. 965,941, abandoned.

CODEN: USXXAM
DT Patent
LA English
IC ICM C07H021-00
ICS C07H021-02; C07H021-04; C12Q001-68
INCL 435006000
CC 33-10 (Carbohydrates)
Section cross-reference(s): 3, 7
FAN.CNT 2

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PI	US 5645985	A	19970708	US 1992-976103	19921125	<--
	US 5484908	A	19960116	US 1991-799824	19911126	<--
	EP 1256589	A2	20021113	EP 2002-13297	19921124	<--
	EP 1256589	A3	20030917			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
	TW 393513	B	20000611	TW 1993-82101747	19930308	<--
	AU 9463453	A1	19940915	AU 1994-63453	19940601	<--
	AU 679508	B2	19970703			
	US 6235887	B1	20010522	US 1994-338352	19941114	<--
	US 5830653	A	19981103	US 1995-473481	19950607	<--
	US 6380368	B1	20020430	US 1996-599738	19960212	<--
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PRAI	US 1991-799824	A2	19911126	<--		
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	US 1994-338352	A1	19941114	<--		
	US 1996-599738	A3	19960212	<--		
	US 2001-24818	A1	20011218			
	US 2002-294203	A3	20021114			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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	ICS	C07H021-02; C07H021-04; C12Q001-68	
	INCL	435006000	
US 5645985	NCL	435/006.000; 536/024.300; 536/024.310; 536/024.320; 536/024.500; 536/026.800	
	ECLA	C07H019/06E; C07H019/10; C07H019/10E; C07H019/20; C07H021/00C2; C07H021/00C4; C12Q001/68B12; C12Q001/68B12+525/101	<--
US 5484908	NCL	536/024.310; 536/024.500	
	ECLA	C07H019/06E; C12Q001/68B12+525/101; C12Q001/68B12; C07H019/10; C07H019/10E; C07H019/20; C07H021/00C4; C07H021/00C2	<--
EP 1256589	ECLA	C07H019/10E; C07H019/20; C07H021/00C4; C12Q001/68B12+525/101	<--
US 6235887	NCL	536/023.100; 536/022.100	
	ECLA	C07H019/06E; C07H019/10; C07H019/10E; C07H021/00C4; C07H021/00C2; C12Q001/68B12	<--
US 5830653	NCL	435/006.000; 435/325.000; 435/375.000; 514/044.000; 536/024.500	
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US 6380368	NCL	536/022.100; 435/006.000; 536/024.300; 536/024.310; 536/024.320; 536/024.500; 536/028.520; 536/028.530	
	ECLA	C07H019/06E; C07H019/10; C07H019/10E; C07H019/20; C07H021/00C2; C07H021/00C4; C12Q001/68B12+525/101; C12Q001/68B12	<--
US 2003096980	NCL	536/023.100; 435/006.000	
	ECLA	C07H021/00C4; H04L012/24A2	<--
US 2003170680	NCL	435/006.000; 514/044.000; 514/085.000; 536/023.100; 544/081.000; 544/082.000	

	ECLA	C07H021/00C4 <—
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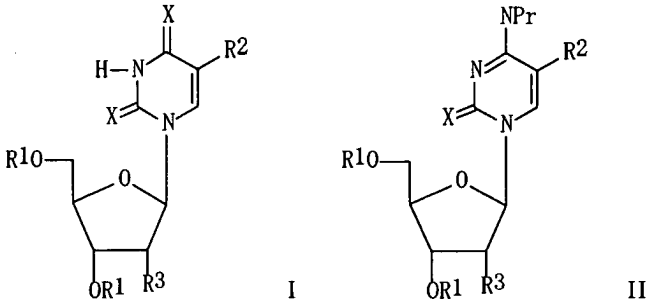
	ECLA	C07H019/06E; C07H019/10; C07H019/10E; C07H019/20; C07H021/00C2; C07H021/00C4; C12Q001/68B12; C12Q001/68B12+525/101 <—

OS MARPAT 127:190987

GI



I II

AB Nucleomonomer nucleosides I and II [X = O, S; R1 = H, blocking group; H-phosphonate, phosphoramidite, alkylphosphonamidite; R2 = (un)substituted alkenyl or alkynyl, alkynylheteroaryl; Pr = (H)2 or protecting group; R3 = H, OH, F, OMe, OEt, SMe, SEt] were prepared and incorporated into DNA duplexes and triplexes. Novel oligodeoxyribonucleotides are disclosed which have enhanced ability with respect to forming duplexes or triplexes compared with oligomers containing only conventional bases. The oligomers contain the bases 5-(1-propynyl)uracil, 5-(1-propynyl)cytosine or related analogs. The oligomers of the invention are capable of (i) forming triplexes with various target sequences such as virus or oncogene sequences by coupling into the major groove of a target DNA duplex at physiol. pH or (ii) forming duplexes by binding to single-stranded DNA or to RNA encoded by target genes. The oligomers of the invention can be constructed to have any desired sequence, provided the sequence normally includes one or more bases that is replaced with the analogs of the invention. Compns. of the invention can be used used for diagnostic purposes in order to detect viruses or disease conditions. Thus, 5-propynyl-2'-O-allyluridine was prepared and incorporated into DNA duplexes and triplexes.

ST propynylpyrimidine DNA duplex triplex prepn ethynylpyrimidine; structure activity RNase inhibition DNA prepn; RNase inhibition DNA duplex triplex prepn; antigen T inhibition DNA duplex triplex; DNA duplex triplex prepn modified pyrimidine

IT Structure-activity relationship
(RNase inhibition; enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT Antigens
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(T; enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT **DNA**
Oligodeoxyribonucleotides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; BIOL (Biological study); **PREP (Preparation)**
(duplexes and triplexes; enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT 193846-15-0P 193846-16-1P 193846-17-2P 193846-18-3P 193846-19-4P 193846-20-7P 193846-21-8P 193846-22-9P 193846-23-0P 193846-24-1P 193846-25-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT 9050-76-4, Rnase H
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT 54-42-2, 5-Iodo-2'-deoxyuridine 80-70-6, 1,1,3,3-Tetramethylguanidine 109-04-6, 2-Bromopyridine 611-53-0, 5-Iodo-2'-deoxycytidine 873-69-8, 2-Pyridinealdoxime 155603-89-7 188254-39-9 193631-85-5
193631-86-6
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT 13737-05-8P, 2-Trimethylstannylpyridine 31462-54-1P, 2-Iodopyrimidine 37496-13-2P, 2-Trimethylstannylthiophene 37972-24-0P 143325-17-1P
151091-78-0P 151091-79-1P 151091-80-4P 151124-36-6P 155603-87-5P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT 148266-78-8P 148266-79-9P 150741-26-7P 150741-33-6P 150793-08-1P
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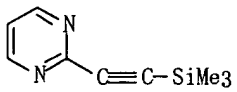
194047-29-5P 194047-30-8P 194047-31-9P 194047-32-0P 194047-33-1P
194165-94-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

IT **193631-86-6**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(enhanced triple-helix and double-helix formation with oligodeoxyribonucleotides containing modified pyrimidines)

RN 193631-86-6 HCAPLUS
CN Pyrimidine, 2-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



L40 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1996:410943** HCAPLUS
DN 125:109693
ED Entered STN: 16 Jul 1996
TI Polynucleotide reagent containing chiral subunits and methods of use
IN Summerton, James E.; Weller, Dwight D.

PA Antivirals Inc., USA
SO U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 988,895, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C12Q001-68
ICS C07D413-00; C08G079-02; C08G059-00
INCL 435006000
CC 9-14 (Biochemical Methods)
Section cross-reference(s): 3, 33
FAN.CNT 11

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5521063	A	19960528	US 1993-15211	19930209	<--
	EP 639582	A2	19950222	EP 1994-116630	19860314	<--
	EP 639582	A3	19950906			
	EP 639582	B1	19980916			
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
	US 5217866	A	19930608	US 1986-944707	19861218	<--
	US 5142047	A	19920825	US 1987-100033	19870923	<--
	EP 962463	A1	19991208	EP 1999-109726	19901220	<--
	EP 962463	B1	20020710			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	JP 2002167441	A2	20020611	JP 2001-179272	19901220	<--
	US 5185444	A	19930209	US 1991-799681	19911121	<--
	US 5378841	A	19950103	US 1993-74120	19930608	<--
	US 5506337	A	19960409	US 1994-242159	19940511	<--
	US 5698685	A	19971216	US 1995-414018	19950331	<--
PRAI	US 1985-712396	B2	19850315	<--		
	US 1986-911258	B2	19860924	<--		
	US 1986-944707	A2	19861218	<--		
	US 1987-100033	A2	19870923	<--		
	US 1989-454057	B1	19891220	<--		
	US 1991-799681	A1	19911121	<--		
	US 1992-988895	B2	19921210	<--		
	EP 1986-902595	A3	19860314	<--		
	US 1986-907842	A2	19860910	<--		
	US 1989-454055	A2	19891220	<--		
	US 1989-454056	A1	19891220	<--		
	EP 1991-902085	A3	19901220	<--		
	JP 1991-502891	A3	19901220	<--		
	US 1991-719732	A2	19910620	<--		
	US 1992-979158	A1	19921128	<--		
	US 1993-15211	A2	19930209	<--		
	US 1994-242159	A3	19940511	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5521063	ICM	C12Q001-68
	ICS	C07D413-00; C08G079-02; C08G059-00
	INCL	435006000
US 5521063	NCL	435/006.000; 528/398.000; 528/399.000; 528/403.000; 528/405.000; 528/406.000; 544/081.000; 544/082.000; 544/118.000; 544/123.000
	ECLA	A61K047/48H4; A61K047/48K6; C07H021/00C4; C07H021/00C2; C07K014/00B1; C08G079/02; C12Q001/68B; C12Q001/68B2D<--
EP 639582	ECLA	A61K047/48K6; C07H021/00C2; C07H021/00C4; C07K014/00B1; C12Q001/68B; C12Q001/68B2D <--
US 5217866	NCL	435/006.000; 436/501.000 <--
US 5142047	NCL	544/118.000; 544/122.000; 544/123.000 <--
EP 962463	ECLA	C07H021/00C4; C08G079/02 <--
US 5185444	NCL	544/081.000; 528/398.000; 528/399.000; 528/403.000; 528/405.000; 528/406.000; 544/082.000 <--
US 5378841	NCL	544/118.000; 544/123.000 <--
US 5506337	NCL	528/391.000; 435/DIG.039; 528/398.000; 528/399.000; 528/403.000; 528/405.000; 528/406.000; 528/422.000; 528/423.000; 528/425.000
	ECLA	A61K047/48H4; A61K047/48K6; C07D417/14R+265D+239B; C07D473/00B4A; C07H021/00C4; C07H021/00C2; C07K014/00B1; C08G079/02; C12Q001/68B; C12Q001/68B2D;

C12Q001/68B12 <--
US 5698685 NCL 536/024.300; 435/006.000; 435/DIG.019
ECLA A61K047/48K6; C07H021/00C2; C07H021/00C4; C07K014/00B1; C12Q001/68B; C12Q001/68B2D <--

AB The present invention describes an assay system wherein target polynucleotide mols. are captured on a support by base-specific binding to support-bound polymers which are themselves substantially uncharged, and the target polynucleotides can be detected on the basis of their backbone charge. The assay system may also include polycationic reporter mols. which are designed to bind to the fully charged analyte backbone but not to the uncharged (or substantially uncharged) polymer backbone. In one embodiment, the reporter mols. are composed of a polycationic moiety or tail designed to bind electrostatically to a fully charged polynucleotide, under conditions where the reporter does not bind to the less charged or uncharged binding polymer carried on the diagnostic reagent.

ST polynucleotide detection morpholino based polymer; nucleic acid uncharged analog polynucleotide detection; DNA detection morpholino based polymer; RNA detection morpholino based polymer; ribonucleoside morpholino polymer prepn nucleotide detection

IT Nucleosides, preparation
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(morpholino-based polymers containing; polynucleotides detection with reagent containing chiral subunits)

IT Polymers, preparation
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(morpholino-based; polynucleotides detection with reagent containing chiral subunits)

IT Chromophores and Chromophoric systems
Fluorescent substances
Isotope indicators
Nucleic acid hybridization
Polymer-supported reagents
(polynucleotides detection with reagent containing chiral subunits)

IT Deoxyribonucleic acids
Ribonucleic acids
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(polynucleotides detection with reagent containing chiral subunits)

IT Enzymes
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(polynucleotides detection with reagent containing chiral subunits)

IT Analysis
(clin., polynucleotides detection with reagent containing chiral subunits)

IT Nucleotides
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(poly-, polynucleotides detection with reagent containing chiral subunits)

IT **Nucleic acid bases**
RL: ARG (Analytical reagent use); **SPN (Synthetic preparation)**; ANST (Analytical study); **PREP (Preparation)**; USES (Uses)
(purine, morpholino-based polymers containing; polynucleotides detection with reagent containing chiral subunits)

IT **Nucleic acid bases**
RL: ARG (Analytical reagent use); **SPN (Synthetic preparation)**; ANST (Analytical study); **PREP (Preparation)**; USES (Uses)
(pyrimidine, morpholino-based polymers containing; polynucleotides detection with reagent containing chiral subunits)

IT 58-96-8DP, Uridine, morpholino-based polymers containing 25322-68-3DP, reaction products with cytidine-morpholinyl hexamer compds. 56931-10-3P 73942-16-2P 109205-43-8DP, morpholino-based polymers containing 118662-85-4P 179072-89-0P 179072-90-3P 179072-91-4P 179072-92-5DP, reaction products with polyethyleneglycol 179072-93-6DP, morpholino-based polymers containing 179072-94-7DP, morpholino-based polymers containing 179072-95-8DP, morpholino-based polymers containing 179072-96-9DP, morpholino-based polymers containing 179072-97-0DP, morpholino-based polymers containing 179072-98-1DP, morpholino-based

polymers containing 179072-99-2DP, morpholino-based polymers containing 179073-00-8DP, morpholino-based polymers containing 179073-01-9DP, morpholino-based polymers containing 179073-02-0DP, morpholino-based polymers containing 179073-03-1DP, morpholino-based polymers containing 179073-04-2DP, morpholino-based polymers containing 179073-05-3DP, morpholino-based polymers containing 179073-06-4DP, morpholino-based polymers containing 179073-07-5DP, morpholino-based polymers containing 179073-08-6DP, morpholino-based polymers containing 179073-09-7DP, morpholino-based polymers containing 179073-10-0DP, morpholino-based polymers containing 179073-11-1DP, morpholino-based polymers containing 179073-12-2DP, morpholino-based polymers containing 179073-13-3DP, morpholino-based polymers containing 179073-14-4DP, morpholino-based polymers containing 179238-40-5DP, morpholino-based polymers containing 179311-76-3P
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(polynucleotides detection with reagent containing chiral subunits)

IT 140679-44-3P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(polynucleotides detection with reagent containing chiral subunits)

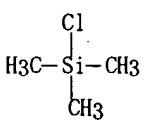
IT 67-56-1, Methanol, reactions **75-77-4**, Trimethylchlorosilane, reactions 76-83-5, Trityl chloride 100-74-3, N-Ethylmorpholine 104-15-4, reactions 109-78-4, 3-Hydroxypropionitrile 118-00-3D, Guanosine, morpholino-based polymers containing 120-18-3, 2-Naphthalenesulfonic acid 124-40-3, Dimethylamine, reactions 504-63-2, 1,3-Dihydroxypropane 538-75-0, Dicyclohexylcarbodiimide 616-47-7, N-Methylimidazole 676-97-1, Methylphosphonic dichloride 676-98-2, Methylthiophosphonic dichloride 677-43-0 1066-51-9, Aminomethylphosphonic acid 1498-51-7, Ethyl dichlorophosphate 1498-64-2, Ethyl dichlorothiophosphate 1498-65-3 3982-91-0, Thiophosphoryl chloride 7664-41-7, Ammonia, reactions 7803-51-2, Phosphine 10025-87-3, Phosphorus oxychloride 13089-48-0 17579-99-6, Methyltriphenoxyphosphonium iodide 19293-62-0, 4,4'-Dimethoxybenzhydrylamine 25322-68-3 26763-71-3, Toluenesulfonyl chloride 28521-72-4 28920-43-6, 9-Fluorenylmethoxycarbonyl chloride 39946-94-6 40615-36-9 57683-72-4, Bis[2-(succinimidooxycarbonyloxy)ethyl]sulfone 169471-39-0
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(polynucleotides detection with reagent containing chiral subunits)

IT 21967-06-6P 64350-24-9P 87424-18-8P 88121-73-7P 121230-83-9P 137022-17-4P 137022-18-5P 137022-19-6P 137022-20-9P 179072-88-9P 179073-15-5P 179073-17-7P 179073-18-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polynucleotides detection with reagent containing chiral subunits)

IT 179073-16-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(polynucleotides detection with reagent containing chiral subunits)

IT **75-77-4**, Trimethylchlorosilane, reactions
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(polynucleotides detection with reagent containing chiral subunits)

RN 75-77-4 HCAPLUS
CN Silane, chlorotrimethyl- (8CI, 9CI) (CA INDEX NAME)



L40 ANSWER 21 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1995:964979** HCAPLUS
DN 124:176075
ED Entered STN: 06 Dec 1995

TI Process for making HIV protease inhibitors
IN Askin, David; Eng, Kan K.; Volante, Ralph P.
PA Merck and Co., Inc., USA
SO U.S., 18 pp. Cont.-in-part of U.S. Ser. No. 93,225, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07F009-02
ICS C07D407-02
INCL 548113000
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5463067	A	19951031	US 1994-187664	19940126	<--
	CA 2167183	AA	19950126	CA 1994-2167183	19940711	<--
	WO 9502584	A2	19950126	WO 1994-US7706	19940711	<--
	WO 9502584	A3	19950309			
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	AU 9473588	A1	19950213	AU 1994-73588	19940711	<--
	AU 676079	B2	19970227			
	EP 708762	A1	19960501	EP 1994-922511	19940711	<--
	EP 708762	B1	20010328			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	CN 1130380	A	19960904	CN 1994-193304	19940711	<--
	CN 1066723	B	20010606			
	JP 08509985	T2	19961022	JP 1994-504632	19940711	<--
	HU 74583	A2	19970128	HU 1996-77	19940711	<--
	RU 2125561	C1	19990127	RU 1996-103642	19940711	<--
	PL 179039	B1	20000731	PL 1994-312613	19940711	<--
	AT 200079	E	20010415	AT 1994-922511	19940711	<--
	ES 2155091	T3	20010501	ES 1994-922511	19940711	<--
	PT 708762	T	20010731	PT 1994-922511	19940711	<--
	SK 282616	B6	20021008	SK 1996-55	19940711	<--
	CZ 293953	B6	20040818	CZ 1996-131	19940711	<--
	IL 110342	A1	19981227	IL 1994-110342	19940717	<--
	ZA 9405234	A	19950228	ZA 1994-5234	19940718	<--
	US 5491238	A	19960213	US 1995-458379	19950602	<--
	US 5496948	A	19960305	US 1995-459069	19950621	<--
	FI 9600184	A	19960314	FI 1996-184	19960115	<--
	NO 9600168	A	19960315	NO 1996-168	19960115	<--
	CN 1252402	A	20000510	CN 1999-111790	19990810	<--
	GR 3035645	T3	20010629	GR 2001-400119	20010329	<--
	LV 12825	B	20020920	LV 2002-39	20020315	<--
PRAI	US 1993-93225	B2	19930716	<--		
	US 1994-187664	A	19940126	<--		
	WO 1994-US7706	W	19940711	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5463067	ICM	C07F009-02	
	ICS	C07D407-02	
	INCL	548113000	
US 5463067	NCL	548/113.000; 544/137.000; 544/349.000; 544/360.000; 544/364.000; 544/368.000; 544/390.000; 546/121.000; 546/146.000; 546/173.000; 546/176.000; 546/252.000; 546/271.700; 546/337.000; 548/217.000	
	ECLA	C07D263/52D; C07D413/06+303+263	<--
WO 9502584	ECLA	C07D263/52D; C07D413/06+303+263	<--
US 5491238	NCL	546/271.700; 544/364.000; 544/368.000; 544/388.000; 546/174.000; 546/337.000; 548/113.000; 548/236.000; 548/455.000; 549/398.000	
	ECLA	C07D263/52D; C07D413/06+303+263	<--
US 5496948	NCL	544/368.000; 544/117.000; 544/119.000; 544/120.000; 544/121.000; 544/124.000; 544/128.000; 544/131.000;	

544/137.000; 544/349.000; 544/360.000; 544/365.000;
546/022.000; 546/146.000; 546/271.700; 546/337.000;
546/342.000; 548/217.000 <--

OS MARPAT 124:176075
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title intermediates [I; R3 = H, alkyl, (un)substituted aryl, (un)substituted heterocyclyl; r = 0-5; a = R or S configuration] are made by reacting glycidol or an activated derivative (II; X = H, sulfonyl leaving group) with an amide (III) in the presence of a strong base [e.g., tert-BuLi, BuLi, PhLi, KN[(Me)3Si]2, etc.]. This process and intermediates are useful for synthesizing HIV protease inhibitor compds. (e.g., IV).

ST HIV protease inhibitor prepn; epoxide reaction prepn HIV protease inhibitor

IT Epoxides
RL: RCT (Reactant); RACT (Reactant or reagent)
(glycidol derivs.; reaction in preparing HIV protease inhibitors)

IT 109-72-8, reactions 591-51-5 594-19-4 598-30-1 865-47-4
1068-55-9 **1070-89-9 4039-32-1** 4111-54-0 4439-90-1
5674-02-2 32400-20-7 38227-87-1 **40949-94-8**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(base; process for making HIV protease inhibitors)

IT 98-97-5, Pyrazinecarboxylic acid 116-11-0 141-82-2, Propanedioic acid, reactions 645-45-4, Benzenepropanoyl chloride 872-85-5, 4-Pyridinecarboxaldehyde 6959-48-4 24424-99-5 70987-78-9 126456-43-7 150323-35-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for making HIV protease inhibitors)

IT 84228-93-3P 121885-09-4P 121885-10-7P 162105-19-3P 166740-50-7P 166740-51-8P 166740-52-9P 166740-53-0P 166740-54-1P 166740-55-2P 166941-48-6P 166941-49-7P 173656-52-5P 173656-53-6P 173656-54-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for making HIV protease inhibitors)

IT **150378-17-9P**
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(process for making HIV protease inhibitors)

IT 60-29-7, Diethyl ether, uses 109-99-9, uses 110-71-4 1634-04-4, MTBE
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; process for making HIV protease inhibitors)

IT **1070-89-9 4039-32-1 40949-94-8**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(base; process for making HIV protease inhibitors)

RN 1070-89-9 HCAPLUS
CN Silanamine, 1,1,1-trimethyl-N-(trimethylsilyl)-, sodium salt (8CI, 9CI) (CA INDEX NAME)

$Me_3Si-NH-SiMe_3$

● Na

RN 4039-32-1 HCAPLUS
CN Silanamine, 1,1,1-trimethyl-N-(trimethylsilyl)-, lithium salt (9CI) (CA INDEX NAME)

Me3Si—NH—SiMe3

● Li

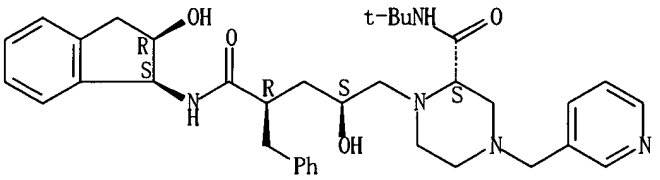
RN 40949-94-8 HCAPLUS
CN Silanamine, 1,1,1-trimethyl-N-(trimethylsilyl)-, potassium salt (9CI) (CA INDEX NAME)

Me3Si—NH—SiMe3

● K

IT **150378-17-9P**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(process for making HIV protease inhibitors)
RN 150378-17-9 HCAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-(3-pyridinylmethyl)-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 22 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1995:913775** HCAPLUS
DN 124:146762
ED Entered STN: 14 Nov 1995
TI Oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy
IN Gmeiner, William H.; Iversen, Patrick L.
PA University of Nebraska, USA
SO U.S., 16 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07H021-02
ICS C07H021-04
INCL 536025500
CC 33-10 (Carbohydrates)
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5457187	A	19951010	US 1993-164089	19931208 <--
	US 5663321	A	19970902	US 1995-474810	19950607 <--
	US 5614505	A	19970325	US 1995-526337	19950911 <--
	US 5741900	A	19980421	US 1995-526296	19950911 <--
PRAI	US 1993-164089	A1	19931208 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5457187	ICM	C07H021-02
	ICS	C07H021-04

	INCL	536025500	
US 5457187	NCL	536/025.500	
	ECLA	C07H021/00C2	<--
US 5663321	NCL	536/025.500; 424/152.100	
	ECLA	C07H021/00C2	<--
US 5614505	NCL	514/050.000; 536/025.500; 536/025.600	<--
US 5741900	NCL	536/025.310; 536/025.300	
	ECLA	C07H021/00C4	<--

AB A homo-oligonucleotide consisting essentially of between 2 and 26 monomers of 5-fluorodeoxyuridine 5'-monophosphate (FdUMP) covalently linked via 3'- to 5'-phosphodiester linkages, where at the 3'- or 5'-terminus there is covalently linked a mol. selected from the group consisting of cholesterol, ethyl-spaced adamantane, 1,2-di-hexadecylglycerol and poly-L-lysine, is synthesized and used as a polymeric drug delivery system for production of FdUMP, the potent inhibitor of thymidylate synthetase (TS) and an important target in cancer chemotherapy. Thus, e.g., the phosphoramidites of 5'-O-[4,4'-dimethoxytrityl]-[2'-O-t-butyl-dimethylsilyl]-5-fluorouridine and 5'-O-[4,4'-dimethyloxytrityl]-5-fluorodeoxyuridine were prepared and used in the solid phase synthesis of FrUn and FdUn (homo-oligomeric 5-fluorouridine and 5-fluorodeoxyuridine, resp., polymer length n). In cytotoxicity studies, the ratio of the estimated LD50 for fluorouridine monomer over fluorouridine polymer of length n (FdU/FdUn) was 14.7 (n = 8), 28.9 (n = 12), and 51.6 (n = 16), giving a relative potency per residue of 1.8, 2.4, and 3.2, resp.

ST oligonucleotide fluorouracil polymeric drug cancer chemotherapy

IT Neoplasm inhibitors
Pharmaceutical dosage forms
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

IT 57-88-5DP, Cholesterol, conjugates with homo-oligonucleotides of 5-fluorodeoxyuridine 5'-monophosphate and 5-fluorouridine 5'-monophosphate 134-46-3DP, 5-Fluorodeoxyuridine 5'-monophosphate, homo-oligonucleotides, conjugated with lipophilic or cationic moieties 770-71-8DP, 1-(Hydroxymethyl)adamantane, conjugates with homo-oligonucleotides of 5-fluorodeoxyuridine 5'-monophosphate and 5-fluorouridine 5'-monophosphate 796-66-7DP, 5-Fluorouridine 5'-monophosphate, homo-oligonucleotides, conjugated with lipophilic or cationic moieties 13071-60-8DP, conjugates with homo-oligonucleotides of 5-fluorodeoxyuridine 5'-monophosphate and 5-fluorouridine 5'-monophosphate **25104-18-1DP**, Poly-L-lysine, conjugates with homo-oligonucleotides of 5-fluorodeoxyuridine 5'-monophosphate and 5-fluorouridine 5'-monophosphate 162757-39-3P 162953-17-5P 173150-30-6P 173249-47-3P 173249-48-4P 173249-49-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

IT 157770-11-1P 157770-12-2P
RL: BYP (Byproduct); PREP (Preparation)
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

IT 316-46-1, 5-Fluorouridine **18162-48-6**, tert-Butyldimethylsilyl chloride 40615-36-9, 4,4'-Dimethoxytrityl chloride
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

IT 104495-48-9P 142246-63-7P 157770-09-7P, 5'-O-[4,4'-Dimethoxytrityl]-5-fluorouridine 157770-10-0P, 5'-O-[4,4'-Dimethoxytrityl]-[2'-O-tert-butyl-dimethylsilyl]-5-fluorouridine 173241-78-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

IT 51-21-8, 5-Fluorouracil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)

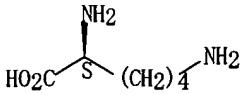
IT **25104-18-1DP**, Poly-L-lysine, conjugates with homo-oligonucleotides of 5-fluorodeoxyuridine 5'-monophosphate and 5-fluorouridine

5'-monophosphate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)
RN 25104-18-1 HCAPLUS
CN L-Lysine, homopolymer (9CI) (CA INDEX NAME)

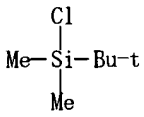
CM 1

CRN 56-87-1
CMF **C6 H14 N2 O2**

Absolute stereochemistry.



IT **18162-48-6**, tert-Butyldimethylsilyl chloride
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(oligonucleotides containing 5-fluorouracil as polymeric drug delivery systems in cancer chemotherapy)
RN 18162-48-6 HCAPLUS
CN Silane, chloro(1,1-dimethylethyl)dimethyl- (9CI) (CA INDEX NAME)



L40 ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1995:810933** HCAPLUS
DN 124:56728
ED Entered STN: 26 Sep 1995
TI Preparation of bradykinin antagonist pseudopeptide derivatives of olefinic aminoalkanoic acids
IN Kyle, Donald J.
PA Scios Nova, Inc., USA
SO U.S., 36 pp. Cont.-in-part of U.S. Ser. No. 957,879.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K038-08
INCL 514016000
CC 34-3 (Amino Acids, Peptides, and Proteins)
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5444048	A	19950822	US 1993-118981	19930909 <--
	US 5521158	A	19960528	US 1992-957879	19921008 <--
	US 5541286	A	19960730	US 1994-281907	19940728 <--
	CA 2171446	AA	19950316	CA 1994-2171446	19940909 <--
	CA 2171446	C	20041123		
	WO 9507294	A1	19950316	WO 1994-US10128	19940909 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 716661	A1	19960619	EP 1994-929158	19940909 <--
	EP 716661	B1	20000405		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 11500100	T2	19990106	JP 1994-508795	19940909 <--
	AT 191486	E	20000415	AT 1994-929158	19940909 <--
	ES 2148347	T3	20001016	ES 1994-929158	19940909 <--

	US 5817756	A	19981006	US 1995-401595	19950309	<--
	US 5610142	A	19970311	US 1995-416524	19950403	<--
PRAI	US 1992-957879	A2	19921008	<--		
	US 1993-118550	A	19930909	<--		
	US 1993-118558	A	19930909	<--		
	US 1993-118981	A2	19930909	<--		
	US 1993-119341	A	19930909	<--		
	US 1994-281904	A	19940728	<--		
	US 1994-281906	A	19940728	<--		
	US 1994-281907	A	19940728	<--		
	US 1994-281908	A	19940728	<--		
	US 1994-119341	A	19940909	<--		
	WO 1994-US10128	W	19940909	<--		
	US 1994-353426	B2	19941209	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5444048	ICM	A61K038-08	
	INCL	514016000	
US 5444048	NCL	514/016.000; 514/017.000; 514/018.000; 530/314.000; 530/323.000; 530/329.000; 530/332.000	
	ECLA	C07K007/18	<--
US 5521158	NCL	514/016.000; 530/314.000; 530/329.000	
	ECLA	C07K007/18	<--
US 5541286	NCL	530/314.000; 530/329.000; 530/330.000	
	ECLA	C07K007/18	<--
WO 9507294	ECLA	C07K007/18	<--
US 5817756	NCL	530/331.000; 530/330.000	
	ECLA	C07K007/18	<--
US 5610142	NCL	514/016.000; 514/015.000; 514/017.000; 530/314.000; 530/328.000	
	ECLA	C07K007/18	<--

OS MARPAT 124:56728

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pseudopeptide compds. based on a modified bradykinin sequence having the formula A-B-C-D-E-F-G-R [A, B = L- or D-Arg or -Lys; C = Q - Q2, etc.; D = Ser, Thr, Gly, Ala, Val; E = D-Phe, tetrahydroisoquinoline-3-carboxylic acid residue (D-Tic), D-trans-Hype represented by D-trans-Q3; wherein R = H, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, (un)substituted aryl, aralkyl, R1NHCO; wherein aryl is selected from Ph, naphthyl, CH2Ph, or naphthylmethyl; R1 = alkyl, aryl; X = O, S, SO, SO2; F = (2S,3aS,7aS)-octahydro-1H-indole-2-carboxylic acid (Oic), (S,S,S)-2-azabicyclo[3.3.0]octane-3-carboxylic acid (Aoc), Phe, Tic, Q3; G = Arg, Lys; R = OH, NH2, alkoxy], which have an affinity for bradykinin receptor and are potent bradykinin receptor antagonists and are useful in treating conditions and diseases of a mammal and human in which an excess of bradykinin or related kinins are produced or injected such as by insect bites, are prepared Amino acids at positions 2 through 5 are replaced by olefinic aminoalkenoyl groups to reduce the peptidic nature of the compds. Thus, H-D-Arg-Arg-Q4-Ser-D-Tic-Oic-Arg-NH2 (I) was prepared by the solid phase method using N-Boc-3-[2-(aminomethyl)phenyl]-2-propenoic acid, i.e. Boc-Q4-OH (preparation given), N-Boc-protected amino acids, and Boc-Arg(Tos)-PAM resin. II showed binding affinity to human bradykinin receptor expressed in H20.2 cells and the bradykinin receptor in guinea pig terminal ileum with Ki value of 27 and 120±8 nM, resp.

ST pseudopeptide contg aminoalkanoic acid prepn; bradykinin antagonist pseudopeptide contg aminoalkenoic acid; insect bite treatment bradykinin antagonist; aminomethylphenylpropenoic acid contg pseudopeptide

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

IT **Peptides, preparation**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(pseudo-, preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

IT 168824-56-4P 168824-57-5P 168824-58-6P 168824-59-7P 168824-60-0P
168824-61-1P 168824-62-2P 168824-63-3P 168824-64-4P 171662-55-8P
171662-56-9P 171662-57-0P 171662-58-1P 171662-59-2P 171662-60-5P
171662-61-6P 171662-62-7P 171662-63-8P 171662-64-9P 171662-65-0P
171662-66-1P 171662-67-2P 171662-68-3P 171662-69-4P 171662-70-7P
171662-71-8P 171662-72-9P 171662-73-0P 171662-74-1P 171662-75-2P
171662-76-3P 171662-77-4P 171662-78-5P 171662-79-6P 171662-80-9P
171662-81-0P 171662-82-1P 171662-83-2P 171662-84-3P 171662-85-4P
171662-86-5P 171662-87-6P 171662-88-7P 171662-89-8P 171662-90-1P
171662-91-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

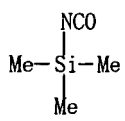
IT 58-82-2, Bradykinin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

IT 75-36-5, Acetyl chloride 96-33-3 100-39-0, Benzyl bromide 124-63-0, Methanesulfonyl chloride 143-33-9, Sodium cyanide 358-23-6, Trifluoromethanesulfonic anhydride 1067-74-9, Methyl diethylphosphonoacetate **1118-02-1**, Trimethylsilyl isocyanate 1515-75-9, Methyl 2,4-pentadienoate 1745-81-9, 2-Allylphenol 2605-67-6, Methoxycarbonylmethylenetriphenylphosphorane 3132-99-8, 3-Bromobenzaldehyde 3433-80-5, 2-Bromobenzyl bromide 4530-20-5 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 13836-37-8D, PAM resin-bound 24424-99-5, Di-tert-butyl dicarbonate 26628-22-8, Sodium azide 39959-54-1, 3-Bromobenzylamine hydrochloride 89395-29-9, (1R,6S)-(-)-cis-8-Oxabicyclo[4.3.0]nonan-7-one
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

IT 19472-74-3P 39966-61-5P 51496-94-7P 52727-66-9P 65185-58-2P
89711-08-0P 89711-09-1P 121505-93-9P 126799-87-9P, 2-Bromobenzyl azide 139200-36-5P 162356-90-3P 171662-92-3P 171662-93-4P
171662-94-5P 171662-95-6P 171662-96-7P 171662-97-8P 171662-98-9P
171662-99-0P 171663-00-6P 171663-01-7P 171663-02-8P 171663-03-9P
171663-04-0P 171663-05-1P 171663-06-2P 171663-07-3P 171663-08-4P
171663-09-5P 171663-10-8P 171663-11-9P 171663-12-0P 171663-13-1P
171663-14-2P 171663-15-3P 171663-16-4P 171663-17-5P 171663-18-6P
171663-19-7P 171663-20-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

IT **1118-02-1**, Trimethylsilyl isocyanate
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of pseudopeptide derivs. containing olefinic aminoalkanoic acids as bradykinin receptor antagonists)

RN 1118-02-1 HCAPLUS
CN Silane, isocyanatotrimethyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L40 ANSWER 24 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1995:511411** HCAPLUS

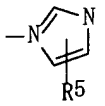
DN 122:291536
ED Entered STN: 27 Apr 1995
TI Preparation of antithrombotic peptides and pseudopeptides.
IN Klein, Scott I.; Molino, Bruce F.; Czekaj, Mark; Gardner, Charles; Becker, Michael R.; Dener, Jeffrey M.; Pelletier, Jeffrey C.
PA USA
SO U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 677,006, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC C07K005-06; C07K005-08; C07K005-10; A61K037-02
INCL 514018000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5332726	A	19940726	US 1992-859779	19920330 <--
	US 4952562	A	19900828	US 1989-415006	19890929 <--
PRAI	US 1989-415006	A2	19890929 <--		
	US 1990-460777	B2	19900104 <--		
	US 1990-534385	B2	19900607 <--		
	US 1991-677006	B2	19910328 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5332726	IC	C07K005-06IC C07K005-08IC C07K005-10IC A61K037-02
	INCL	514018000
US 5332726	NCL	514/018.000; 514/019.000; 514/020.000; 530/331.000; 562/560.000; 562/571.000
	ECLA	C07K005/02C; C07K005/02D; C07K005/06A1A3; C07K005/06C1A; C07K005/06C1; C07K005/08B1; C07K005/08H; C07K005/10B; C07K005/10V; C07K014/75 <--
US 4952562	NCL	514/018.000; 530/330.000; 530/331.000 <--

OS MARPAT 122:291536
GI

Q1= 

AB A(CH2)m1(CR1R2)h1Bk(CR3R4)h2(CH2)m2DCH[(CH2)nCO2H]COZ [A = cyano, Q1, (NH)xC(N:R5)(NH)x1R6, etc.; B, D = CH2NH, CH2S, CH2O, etc.; Z = OR6, N-containing heterocyclyl, amino acid or dipeptide residue, etc.; R1-R6 = H, alkyl, cycloalkyl, cycloalkylmethyl, (substituted) aryl, aralkyl; h1, h2, k = 0,1; m1, m2 = 0-9; n = 1-3; x, x1 = 0,1], were prepared Thus, arginylglycylaspartylisobutylamide (solution phase preparation given) inhibited fibrinogen-mediated platelet aggregation with IC50 = 3.6 μM.
ST peptide prepn antithrombotic; pseudopeptide prepn antithrombotic
IT Anticoagulants and Antithrombotics
Blood platelet aggregation inhibitors
(preparation of antithrombotic peptides and pseudopeptides)
IT **Peptides, preparation**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of antithrombotic peptides and pseudopeptides)

IT 131116-97-7P	131116-99-9P	131117-01-6P	131117-02-7P	131117-04-9P
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136624-93-6P	136624-95-8P	136624-97-0P	136624-99-2P	136625-00-8P
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162545-25-7P 162545-26-8P 162678-42-4P 162678-44-6P 162678-45-7P
162808-11-9P 162872-28-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antithrombotic peptides and pseudopeptides)

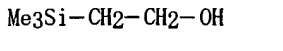
IT 60-32-2 75-04-7, Ethylamine, reactions 78-81-9, Isobutylamine 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 298-12-4, Glyoxylic acid 867-13-0, Triethyl phosphonoacetate 929-17-9, 7-Aminoheptanoic acid 1147-76-8 1184-90-3, Aminoiminomethanesulfonic acid 1212-53-9, Z-Gly-OMe 2480-93-5, BOC-Orn(Z)-OH **2916-68-9**, 2-Trimethylsilylethanol 2986-19-8, S-Methylisothiourea 4048-33-3, 6-Amino-1-hexanol 4530-20-5, BOC-Gly-OH 5545-52-8, Z-Asp(OtBu)-OH 5680-79-5, Glycine methyl ester hydrochloride 7536-58-5 10236-14-3, Triethyl 4-phosphonocrotonate 13139-15-6, BOC-Leu-OH 13211-31-9 13734-34-4, BOC-Phe-OH 29022-11-5, FMOC-Gly-OH 29022-11-5D, FMOC-Gly-OH, p-alkoxybenzyl alc. resin-bound 30925-18-9 58521-45-2, BOC-leucinal 68858-20-8D, FMOC-Val-OH, p-alkoxybenzyl alc. resin-bound 71989-14-5, FMOC-Asp(OtBu)-OH 72198-14-2, 6-Guanidinohexanoic acid hydrochloride 77128-70-2, FMOC-Sar-OH 84000-11-3, FMOC-MeVal-OH 98930-01-9 102185-38-6 105743-57-5 141321-54-2 162545-32-6 162545-33-7
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of antithrombotic peptides and pseudopeptides)

IT 72-18-4DP, L-Valine, p-alkoxybenzyl alc. resin-bound 542-53-0P 2480-23-1DP, p-alkoxybenzyl alc. resin-bound 6404-29-1P 67561-03-9P 75937-12-1P 116299-36-6P 127507-79-3P 131117-14-1P 131117-15-2P 131117-16-3P 131117-17-4P 131117-18-5P 131117-19-6P 131117-20-9P 131117-21-0P 131117-22-1P 131117-23-2P 131117-24-3P **131117-25-4P 131117-26-5P 131117-27-6P** **131117-28-7P** 131117-29-8P 131117-30-1DP, p-alkoxybenzyl alc. resin-bound 131117-31-2DP, p-alkoxybenzyl alc. resin-bound 131117-32-3DP, p-alkoxybenzyl alc. resin-bound 143140-35-6P 146453-32-9P 146648-20-6P 146648-21-7P 146648-22-8P 146648-23-9P 146648-27-3P 146648-28-4P 146648-31-9P 146648-32-0P 146648-33-1P 146648-34-2P 146648-37-5P 146648-38-6P 146648-39-7P 146648-42-2P 146648-45-5P 146648-52-4P 146648-53-5P 146648-58-0P 162545-27-9P 162545-28-0P 162545-29-1P 162545-30-4DP, p-alkoxybenzyl alc. resin-bound 162545-31-5P 162545-34-8P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of antithrombotic peptides and pseudopeptides)

IT **2916-68-9**, 2-Trimethylsilylethanol
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of antithrombotic peptides and pseudopeptides)

RN 2916-68-9 HCAPLUS

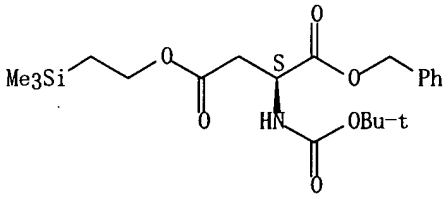
CN Ethanol, 2-(trimethylsilyl)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



IT **131117-25-4P 131117-26-5P 131117-27-6P**
131117-28-7P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of antithrombotic peptides and pseudopeptides)

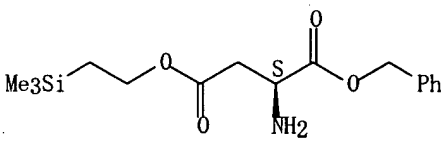
RN 131117-25-4 HCAPLUS
CN L-Aspartic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(phenylmethyl) 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



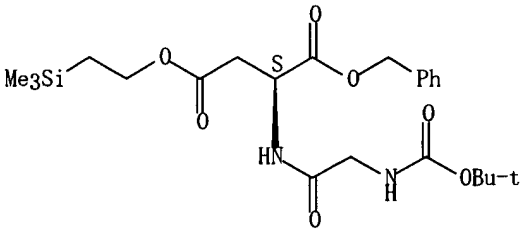
RN 131117-26-5 HCAPLUS
CN L-Aspartic acid, 1-(phenylmethyl) 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



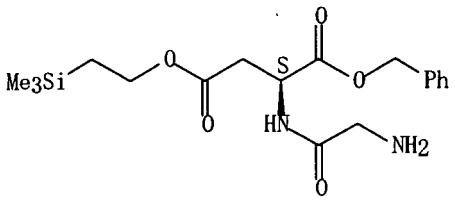
RN 131117-27-6 HCAPLUS
CN L-Aspartic acid, N-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-, 1-(phenylmethyl) 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131117-28-7 HCAPLUS
CN L-Aspartic acid, N-glycyl-, 1-(phenylmethyl) 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



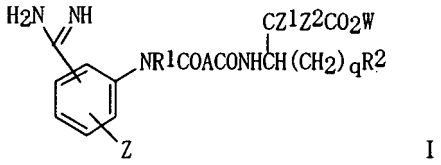
L40 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1995:487827** HCAPLUS
DN 122:240452
ED Entered STN: 14 Apr 1995
TI Preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors.
IN Bovy, Philippe R.; Rico, Joseph G.; Rogers, Thomas E.; Tjoeng, Foe S.; Zablocki, Jeffery A.
PA G.D. Searle and Co., USA; Monsanto Co.
SO U.S., 36 pp. Cont.-in-part of U.S. 5,239,113.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07C229-34
ICS C07C229-42
INCL 560035000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 25
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5344957	A	19940906	US 1992-953601	19921006	<--
	US 5239113	A	19930824	US 1992-866933	19920410	<--
	AT 150302	E	19970415	AT 1992-921348	19921006	<--
	ES 2099282	T3	19970516	ES 1992-921348	19921006	<--
	EP 542708	A1	19930519	EP 1992-870167	19921014	<--
	EP 542708	B1	20010530			
	R: PT					
	PT 542708	T	20011130	PT 1992-870167	19921014	<--
	US 5625093	A	19970429	US 1995-452621	19950525	<--
	US 5703125	A	19971230	US 1995-455612	19950531	<--
	US 5886208	A	19990323	US 1997-835598	19970410	<--
	US 5973003	A	19991026	US 1997-938856	19970926	<--
PRAI	US 1991-777811	B2	19911015	<--		
	US 1992-866933	A2	19920410	<--		
	US 1992-953601	A3	19921006	<--		
	US 1994-221913	B2	19940401	<--		
	US 1995-452621	A3	19950525	<--		
	US 1995-455612	A1	19950531	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5344957	ICM	C07C229-34	
	ICS	C07C229-42	
	INCL	560035000	
US 5344957	NCL	560/035.000; 556/465.000; 562/440.000	
	ECLA	C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D	<--
US 5239113	NCL	562/440.000; 560/013.000; 560/035.000; 562/430.000	<--
EP 542708	ECLA	C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D	<--
US 5625093	NCL	560/035.000	
	ECLA	C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D	<--
US 5703125	NCL	514/539.000; 514/531.000; 514/563.000; 560/035.000; 562/440.000	
	ECLA	C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D	<--

US 5886208 NCL 560/035.000; 562/440.000
ECLA C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D <--
US 5973003 NCL 514/538.000; 514/534.000; 560/035.000; 562/440.000; 562/561.000
ECLA C07C257/18; C07C259/06; C07C311/46; C07C317/50; C07F007/08C6D <--
OS MARPAT 122:240452
GI



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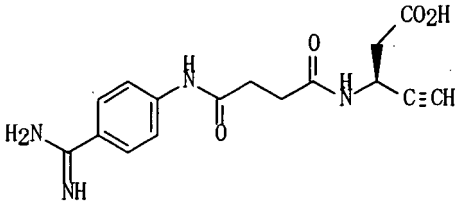


II

AB Title compds. [I; R1 = H, (substituted) alkyl, alkenyl, aryl, alicyclyl, PhCH2, PhCH2CH2; R2 = H, (substituted) alkyl, alkenyl, alkynyl, alicyclyl, aryl; A = (substituted) alkyl, alkenyl, alkynyl, alicyclyl; W = H, (substituted) alkyl, alkenyl, alkynyl, alicyclyl, aryl; Z, Z1, Z2 = H, alkyl, halo, alkoxy, cyano, sulfonyl, carboxyl, alkoxycarbonyl, OH; q = 0-6], were prepared Thus, 4-aminobenzamidine dihydrochloride was coupled with succinic anhydride using pyridine/dimethylaminopyridine in DMF to give 4-[[4-(aminoiminomethyl)phenyl]amino]-4-oxobutanoic acid. This in DMF was treated with N-methylmorpholine, iso-Bu chloroformate, Et (S)-3-amino-4-pentynoate, diisopropylethylamine, and dimethylaminopyridine to give the diamide ester, which was saponified with pig liver esterase to give title compound II. II inhibited ADP-induced aggregation in dog platelet-rich plasma with IC50 = 0.07 M.

ST amidinophenylaminodioxoalkylaminoalkanoate prepn platelet aggregation inhibitor

IT **Peptides, preparation**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(analogs; preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT Blood platelet aggregation inhibitors
(preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT 149193-97-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(o]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT 149177-90-2P 149193-36-2P 149193-37-3P 149193-38-4P 149193-40-8P
149193-41-9P 149193-42-0P 149193-43-1P 149193-46-4P 149193-47-5P
149193-48-6P 149193-49-7P 149193-50-0P 149193-51-1P 149193-52-2P
149193-53-3P 149727-05-9P 149727-07-1P 149727-11-7P 149727-13-9P
149727-15-1P 149727-17-3P 149727-19-5P 149727-21-9P 149727-23-1P
149727-25-3P 149727-26-4P 149727-28-6P 149727-29-7P 149727-30-0P
149727-32-2P 149727-36-6P 149727-40-2P 149727-42-4P 149727-44-6P
149727-46-8P 149727-48-0P 149727-50-4P 149727-52-6P 149727-54-8P
149727-55-9P 149727-57-1P 149727-65-1P 149727-69-5P 149727-71-9P
149727-72-0P 149727-74-2P 149727-80-0P 149727-84-4P 149727-86-6P

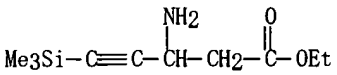
149727-90-2P 149727-94-6P 149727-96-8P 149727-98-0P 149728-00-7P
149728-02-9P 149728-04-1P 149728-12-1P 149728-13-2P 149751-90-6P
149820-73-5P 149820-74-6P 162146-62-5P 162206-88-4P 162207-01-4P
162207-03-6P 162207-05-8P 162207-06-9P 162207-07-0P 162207-11-6P
162207-12-7P 162207-23-0P 162207-25-2P 162207-29-6P 162207-32-1P
162207-35-4P 162207-45-6P 162207-48-9P 162207-51-4P 162207-56-9P
162207-57-0P 162301-37-3P 162301-38-4P 162301-39-5P 169237-80-3P
185545-21-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT 108-30-5, Succinic anhydride, reactions 108-31-6, 2,5-Furandione, reactions 108-55-4, Glutaric anhydride 108-59-8, Dimethyl malonate 108-98-5, Thiophenol, reactions 541-48-0, 3-Aminobutyric acid 1490-25-1, 3-Carbomethoxypropionyl chloride 1664-54-6, 3-Amino-3-phenylpropionic acid 1830-54-2, Dimethyl 3-oxoglutarate 2459-05-4, Monoethyl fumarate 2498-50-2, 4-Aminobenzamidine dihydrochloride 3999-55-1 4100-80-5, Methylsuccinic anhydride 4244-84-2, β-Alanine ethyl ester hydrochloride 5303-65-1, Ethyl 3-aminobutyrate 5303-65-1 5457-44-3, Dimethyl 3-oxoadipate 7536-58-5 10420-33-4, Dimethyl acetylsuccinate 20925-27-3, 4-Amino-2-chlorobenzonitrile 22560-81-2 32807-28-6, Methyl 4-chloroacetoacetate 40420-22-2 62462-05-9 79069-16-2 79492-23-2 93715-84-5 149177-93-5 149178-01-8 149178-02-9 149178-03-0 149193-74-8 149193-75-9 149193-76-0 149193-77-1 **149193-78-2** 149193-87-3 149193-93-1 149193-94-2 149193-95-3 149193-96-4 149251-15-0 149251-16-1 162207-65-0 162207-72-9 169237-44-9
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT 31420-66-3P 53313-12-5P 77313-09-8P 92780-87-5P 92828-40-5P 149177-96-8P 149177-98-0P 149193-62-4P 149193-63-5P 149193-64-6P 149193-65-7P 149193-66-8P 149193-72-6P 149193-73-7P 149193-86-2P 149520-00-3P 149520-01-4P 149751-91-7P 149751-93-9P 149751-94-0P 153982-08-2P 153982-10-6P 153982-11-7P 153982-13-9P 153982-16-2P 153982-17-3P 162207-59-2P 162207-59-2P 162207-60-5P 162207-61-6P 162207-62-7P 162207-64-9P 162207-66-1P 162207-68-3P 162207-78-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

IT **149193-78-2**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of [[[(amidinophenyl)amino]dioxoalkyl]amino]alkanoates as platelet aggregation inhibitors)

RN 149193-78-2 HCAPLUS
CN 4-Pentynoic acid, 3-amino-5-(trimethylsilyl)-, ethyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1993:517758** HCAPLUS
DN 119:117758
ED Entered STN: 18 Sep 1993
TI preparation of pyridinone and pyrimidinone-containing oligodeoxyribonucleotide duplexes.
IN Bischofberger, Norbert W.; Matteucci, Mark D.
PA Genentech, Inc., USA
SO U.S., 16 pp.
CODEN: USXXAM
DT Patent
LA English

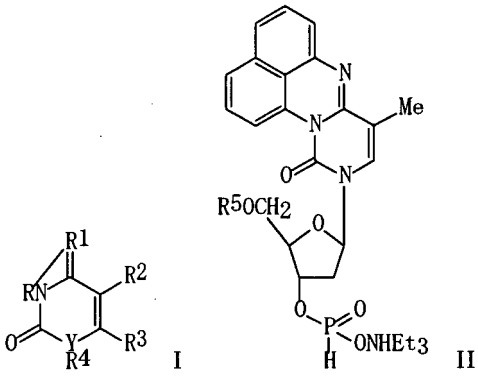
IC ICM C07H019-073
ICS C07H021-04; C07H015-18
INCL 536027000
CC 33-10 (Carbohydrates)
Section cross-reference(s): 9
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI US 5175273	A	19921229	US 1988-213957	19880701	<--
PRAI US 1988-213957		19880701	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5175273	ICM	C07H019-073	
	ICS	C07H021-04; C07H015-18	
	INCL	536027000	
US 5175273	NCL	536/026.130	<--

OS MARPAT 119:117758
GI



I II

AB Pyridinone and pyrimidinone-containing nucleosides I (RR1 = polycyclic up to 4 aromatic fused rings; R1 = N, CR2; R2, R3 = H, halo, alkyl, NO2, heterocyclyl; R4 = ribosyl, deoxyribosyl; Y = C, N), were prepared and incorporated into oligodeoxyribonucleotide duplexes. Thus, compound II (R5 = 4,4'-dimethoxytrityl) was prepared and incorporated into DNA duplexes, which are useful as hybridization probes. The fluoroscence of the polycyclic base can be followed as an integral label and detected as a measure of the presence of a complementary nucleic acid.

ST oligodeoxyribonucleotide pyridinone pyrimidinone duplex fluoroscence; nucleotide oligodeoxyribo pyrimidinone pyridinone duplex fluoroscence; DNA duplex pyrimidinone pyridinone fluoroscence; pyrimidinone nucleotide prepn incorporation DNA duplex; pyridinone nucleotide prepn incorporation DNA duplex

IT **Deoxyribonucleic acids**
RL: PRP (Properties); **SPN (Synthetic preparation); PREP (Preparation)**
(duplex, preparation and melting temperature of)

IT Nucleotides
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(oligo-, deoxyribo-, duplexes, preparation and melting temperature of)

IT 103842-30-4 149593-61-3
RL: PRP (Properties)
(melting temperature of)

IT 119693-98-0P 119693-99-1P 119787-11-0P 119787-12-1P 119787-13-2P
119818-27-8P 119850-49-6P 119850-50-9P 120949-45-3P 149593-53-3P
149593-54-4P 149593-55-5P 149593-56-6P 149593-57-7P 149593-58-8P
149593-59-9P 149593-60-2P 149593-62-4P 149593-65-7P 149593-67-9P
149593-69-1P 149593-71-5P 149593-73-7P 149593-75-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and melting temperature of)

IT 114021-22-6P 119680-05-6P 119680-06-7P 119680-08-9P 119680-09-0P

119680-10-3P 119680-12-5P 119680-13-6P 119680-14-7P 119680-16-9P
119680-18-1P 119693-95-7P 119693-96-8P 148725-89-7P 148725-90-0P
148725-91-1P 148725-92-2P 148725-93-3P 148725-94-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in synthesis of polyglicooxy ribonucleotide duplexes)

IT 119680-03-4P 119680-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in synthesis of polyglycooxy nucleotides duplexes)

IT 99-56-9 452-58-4, 2,3-Pyridinediamine 1758-68-5 **40949-94-8** 82921-43-5
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reaction of, in synthesis of oligodeoxyribonucleotide duplexes)

IT 6161-23-5 6979-97-1 13030-62-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of oligooxyribonucleotide duplexes)

IT 771-97-1, 2,3-Naphthalenediamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with nucleoside)

IT 95-54-5, 1,2-Benzenediamine 479-27-6, 1,8-Naphthalenediamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thymidine)

IT **40949-94-8**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reaction of, in synthesis of oligodeoxyribonucleotide duplexes)

RN 40949-94-8 HCAPLUS
CN Silanamine, 1,1,1-trimethyl-N-(trimethylsilyl)-, potassium salt (9CI) (CA INDEX NAME)

$Me_3Si-NH-SiMe_3$

● K

L40 ANSWER 27 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1974:463664** HCAPLUS
DN 81:63664
ED Entered STN: 12 May 1984
TI Synthesis of 1-(tetrahydro-2-furanyl)-5-fluorouracil (ftorafur) by direct fluorination
IN Townsend, Leroy B.; Earl, Robert A.
SO U. S. Pat. Appl., 11 pp.
CODEN: XAXXAV
DT Patent
LA English
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

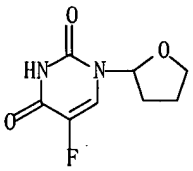
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI US 405532		19731009	US 1973-405532	19731009	<--
US 3948897		19760000	US		<--

CLASS

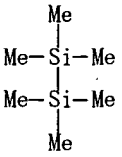
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 3948897	NCL	544/313.000; 544/229.000	<--

GI For diagram(s), see printed CA Issue.
AB (Tetrahydrofuranyl)fluorouracil (I) an antitumor agent (no data), was prepared by direct fluorination of II with F3CFO followed by extraction with CHCl3. II was obtained by treating 2,4-bis(trimethylsilyl)uracil with 2-chlorotetrahydro-furan in CH2Cl2. Use of CH2Cl2 increased the yield up to 50% over published values and that of CHCl3 improved separation of I from impurities.
ST fluorotetrahydrofuranyluracil; tetrahydrofuranyluracil fluorination;

ftorafur; solvent fluorination uracil
IT 75-09-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(as solvent for condensation chlorotetrahydrofuran with 2,4-bis(trimethylsilyl)uracil in preparation of tetrahydrofuranyluracil)
IT 67-66-3, uses and miscellaneous
RL: RCT (Reactant); RACT (Reactant or reagent)
(as solvent for extraction of fluorofur in preparation thereof)
IT 373-91-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorination by, of (tetrahydrofuranyl)uracil)
IT 13369-70-5P **17902-23-7P** 18002-26-1P
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of)
IT 1191-99-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrogen chloride)
IT **1450-14-2**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reaction of, with uracil)
IT 7647-01-0, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with dihydrofuran)
IT 66-22-8, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with hexamethyldisilane)
IT **17902-23-7P**
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of)
RN 17902-23-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-fluoro-1-(tetrahydro-2-furanyl)- (9CI) (CA INDEX NAME)



IT **1450-14-2**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reaction of, with uracil)
RN 1450-14-2 HCAPLUS
CN Disilane, hexamethyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



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